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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/CAPLW records now contain indexing from 1907 to the
present
NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 10 SEP 22 DIPPR file reloaded
NEWS 11 DEC 08 INPADOC: Legal Status data reloaded
NEWS 12 SEP 29 DISSABS now available on STN
NEWS 13 OCT 10 PCTFULL: Two new display fields added
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 16 NOV 24 MSDS-CCOHS file reloaded
NEWS 17 DEC 08 CABA reloaded with left truncation
NEWS 18 DEC 08 IMS file names changed
NEWS 19 DEC 09 Experimental property data collected by CAS now available
in REGISTRY
NEWS 20 DEC 09 STN Entry Date available for display in REGISTRY and CA/CAPLW

NEWS EXPRESS NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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10087715.2
CAS ONLINE

FILE 'HOME' ENTERED AT 16:24:39 ON 10 DEC 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

0.21

TOTAL

SESSION

0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 16:24:53 ON 10 DEC 2003

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STRUCTURE FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

DICTIONARY FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

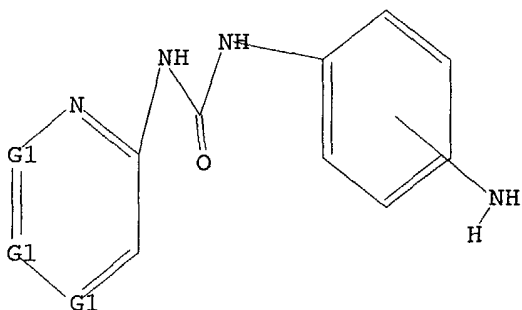
Uploading 10087715.2

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 N, CH

G2 H, Cb, Cy, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.40	0.61

FULL ESTIMATED COST

FILE 'MARPAT' ENTERED AT 16:25:20 ON 10 DEC 2003
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS23) (20031205ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6642272 04 NOV 2003
DE 10317295 30 OCT 2003
EP 1361251 12 NOV 2003
JP 2003321470 11 NOV 2003
WO 2003092890 13 NOV 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s 12 sss full

L2 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s 11 sss full

FULL SEARCH INITIATED 16:25:52 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 12706 TO ITERATE

87.1% PROCESSED	11069 ITERATIONS	50 ANSWERS
100.0% PROCESSED	12706 ITERATIONS (1 INCOMPLETE)	64 ANSWERS
SEARCH TIME: 00.00.33		

L2 64 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
104.95	105.56

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:26:31 ON 10 DEC 2003
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FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24
FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 64 L2

=> s CHK1

L4 379 CHK1

=> s 13 and 14

L5 0 L3 AND L4

=> s 14 and pyrazine

L6 3 L4 AND PYRAZINE

=> s 14 and quinoxzline

L7 0 L4 AND QUINOXZLINE

=> s 14 and pyridazine

L8 1 L4 AND PYRIDAZINE

=> s 14 and pyrimidine

L9 4 L4 AND PYRIMIDINE

=> s 14 and triazine

L10 0 L4 AND TRIAZINE

=> d 13 fbib hitstr abs total

L3 ANSWER 1 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:837035 CAPLUS

DN **139:337787**

TI Preparation of novel methoxybenzamides for use in MCH receptor related disorders

IN Hoegberg, Thomas; Bjurling, Anna Emelie; Receveur, Jean-Marie; Little, Paul Brian; Elling, Christian E.; Norregaard, Pia Karina; Ulven, Trond

PA 7TM Pharma A/S, Den.

SO PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087045	A1	20031023	WO 2003-DK231	20030408

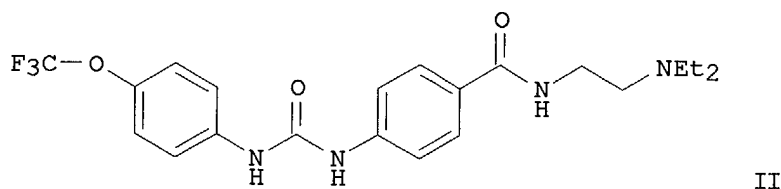
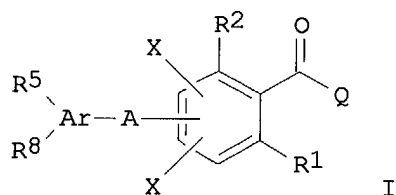
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DK 2002-519 A 20020409
 DK 2002-520 A 20020409
 DK 2002-524 A 20020409
 DK 2002-1818 A 20021125

OS MARPAT 139:337787
 GI



AB Title compds. I [wherein A = a linker, e.g. CHR7CONR7, CONR7, OCONR7, SO2NR7, CHR7NR7CO, NR7COR7, hexahydro-2-oxo-pyrimidine-1,3-diyl, 2-oxoimidazolidine-1,3-diyl, 1,2,4-oxadiazolediyl, 1,3,4-oxadiazolediyl, CH=CH, OCHR7, NR7CHR7, SCHR7, or (un)substituted imidazolediyl or 1,2,4-triazolediyl; Ar = independently (hetero)aryl; R1 = alkoxy; R2 = H, OH, NH2, or alkoxy; COQ = amino-substituted amide; R5 and R6 = independently H, halo, alkoxy, OH, (di)alkylamino, hydroxyalkyl, carboxamido, acyl(amido), CHO, nitrile, alkyl, alkenyl, alkynyl, SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, SO2NH2, (di)alkylaminosulfonyl, or alkylsulfonyl; R7 = independently H, alkyl, or alkenyl; R8 = halo, (alkyl)(cyclo)alkyl, alkenyl, alkynyl, (alkyl)(hetero)aryl, (alkyl)heterocyclyl, (aryl)alkoxy, aryloxy, dialkylamino, (di)alkylcarbamoyle, (di)arylcarbamoyle, alkanoyl(amino), aroyl(amino), SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, or R6ArB; B = a single bond or connecting moiety; X = H, halo, SMe, CF3, OCF3, SCF3, OMe, alkyl, or alkenyl; and physiologically acceptable salts, complexes, solvates, and prodrugs thereof] were prepared as melanin-concentrating hormone (MCH) receptor modulators. For example, coupling of procainamide with 4-trifluoromethoxyphenyl isocyanate in the presence of TEA in CH2Cl2

gave II (59%). In assays of [125I]-MCH binding and phosphatidylinositol turnover using transiently transfected COS-7 cells or stably transfected CHO cells expressing the human MCH-1 receptor, II exhibited activity with IC50 values of 0.07 .mu.M and 0.29 .mu.M, resp. Administration of II (10 mg/kg i.p.) to male Sprague Dawley rats resulted in a significant redn. of their cumulative food intake over 6 h. Thus, I and their pharmaceutical compns. are useful in the treatment or prevention of obesity, depression, diabetes, bulimia, and other MCH receptor related disorders (no data).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:777749 CAPLUS

DN **139:277029**

TI Preparation and formulation of menthol substituted antithrombotic PAI-1 inhibitors

IN Bauer, Shawn; Mohan, Raju; Shaw, Kenneth J.; Wu, Qingyu; Ye, Bin; Buckman, Brad O.; Ghannam, Ameen; Griedel, Brian D.; Khim, Seock-Kyu; Zhao, Zuchun

PA Schering Aktiengesellschaft, Germany

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DT Patent

LA English

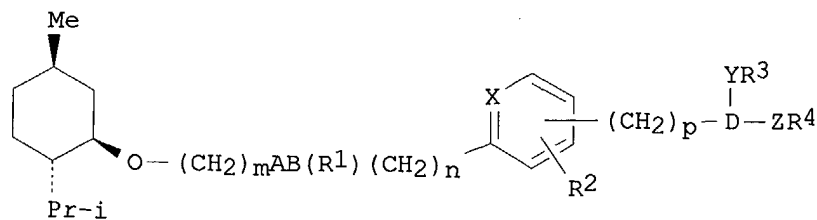
FAN.CNT 1

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PI	WO 2003080564	A1	20031002	WO 2003-US7506	20030312
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

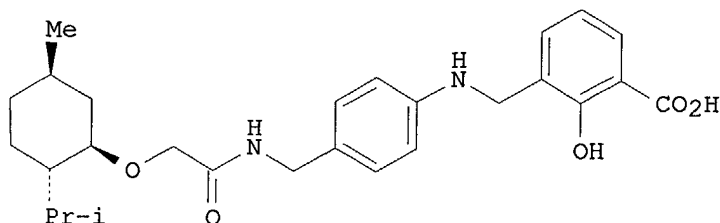
US 2002-365932PP 20020320

OS MARPAT 139:277029

GI



I



II

AB Menthol-substituted compds. of formula I [R1 = H, alkyl, alkylene, aryl, haloalkyl, menthoxyalkyl, heterocyclo, absent; R2 = H, alkoxy, amino, alkylaminocarbonyl, alkyl, etc.; R3 = Ph, CO2H, alkoxy, etc.; R4 = dibenzodioxepinone, pyridinyl, etc.; A = carbonyl, absent; B = N, O, absent; AB = heterocyclo; D = N, O, absent; X = C, N; Y = alkylene, aryl, carbonyl, absent; DY = heterocyclo; Z = alkylene, sulfonyl, aminocarbonyl, carbonyl, absent; m, n, p = 0-2] are prepd. which are useful as antithrombotic agents by inhibiting plasminogen activator inhibitor-1 (PAI-1). The compds. are useful in the treatment of disease-states characterized by thrombotic activity. Pharmaceutical compns. contg. I are described. Thus, II was prepd. from 4-nitrobenzylamine hydrochloride, menthoxyacetyl chloride and 2-hydroxy-3-carboxybenzaldehyde in 90% yield.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:656580 CAPLUS

DN 139:197369

TI Preparation of aryl ureas with angiogenesis inhibiting activity

IN Dumas, Jacques; Scott, William J.; Elting, James; Hatoum-Makdad, Holia

PA Bayer Corporation, USA

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

LA English

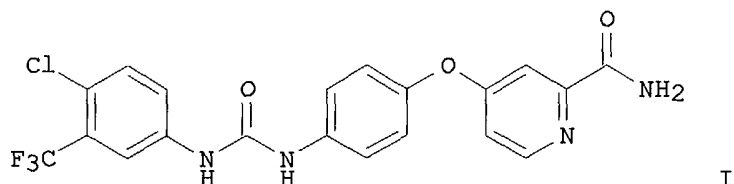
FAN.CNT 1

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PI	WO 2003068228	A1	20030821	WO 2003-US4103	20030211
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NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG

US 2003207870 A1 20031106 US 2002-354950PP 20020211
US 2003-361858 20030211
US 2002-354950PP 20020211

OS MARPAT 139:197369
GI



AB The title compds. ANHCONHB [A, B = (un)substituted Ph, naphthyl, 5-6 membered monocyclic heteroaryl, etc.], useful for treating diseases mediated by the VEGF induced signal transduction pathway characterized by abnormal angiogenesis or hyperpermeability processes, were claimed. Preps. of three title ureas are described. E.g., a 3-step synthesis of the urea I (starting from Me 4-chloro-2-pyridinecarboxylate hydrochloride), was given. The KDR (VEGFR2) assay for testing the title ureas is described.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:551189 CAPLUS

DN **139:101121**

TI Preparation of 1,1'-biphenyl derivatives as biaromatic ligand activators of peroxisome proliferator-activated receptors subtype gamma (PPAR gamma receptors)

IN Bernardon, Jean-Michel; Clary, Laurence; Terranova, Eric

PA Fr.

SO U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003134885	A1	20030717	US 2002-326054	20021223
				FR 2001-16750 A	20011221
				US 2002-351425PP	20020128
				FR 2002-2647 A	20020301
	FR 2833949	A1	20030627	FR 2001-16750	20011221
	FR 2836683	A1	20030905	FR 2002-2647	20020301

PATENT FAMILY INFORMATION:

FAN 2003:492185

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2833949	A1	20030627	FR 2001-16750	20011221
	WO 2003055867	A1	20030710	WO 2002-FR4232	20021209

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003134885

A1

20030717

FR 2001-16750 A 20011221

US 2002-326054 20021223

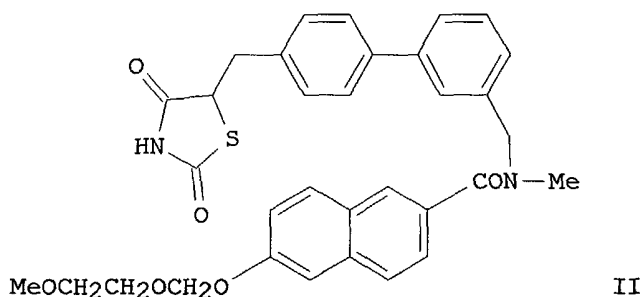
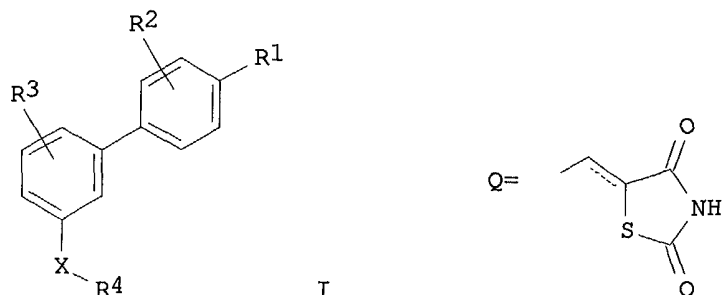
FR 2001-16750 A 20011221

US 2002-351425PP 20020128

FR 2002-2647 A 20020301

OS MARPAT 139:101121

GI



AB The title compds. [I; R1 = Q, CH₂CHR₆COR₅; R₂, R₃ = H, C1-6 alkyl, aryl, halo, HO, C1-6 alkoxy, aryloxy, aralkyloxy, a polyether radical, NO₂, C1-6 alkyl-(un)substituted NH₂ group; X = N-(un)substituted CH₂NHCO, NHCONH, NHCOCH₂, or NHCH₂CO whether read from left to right or vise versa; R₄ = each (un)substituted Ph, benzyl, phenethyl, thienyl, furyl, or pyridyl; R₅ = HO, C1-9 alkoxy; R₆ = C1-6 alkyl, OR₁₄, SR₁₄; wherein R₁₄ = C1-12 alkyl, CF₃, aryl, aralkyl] are prepd. Novel pharmaceutical/cosmetic compns. contain at least one biarom. ligand activator of a PPAR.γ. receptor, such biarom. ligand having the structural formula I and are well suited,

inter alia, for regulating and/or restoring skin lipid metab., for treating a wide variety of dermatol. afflictions, and for preventing and/or treating the signs of aging and/or dry skin. Thus, 1.27 g 5-(3'-methylaminomethylbiphenyl-4-ylmethyl)thiazolidine-2,4-dione was condensed with 1.97 g 6-(2-methoxyethoxymethoxy)naphthalene-2-carboxylic acid using 1-hydroxybenzotriazole, Et3N, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 at room temp. for 3 h to give 1.97 g (62%) of 6-(2-methoxyethoxymethoxy)-N-[4'-(2,4-dioxothiazolidin-5-ylmethyl)biphenyl-3-ylmethyl]-N-methylnaphthalene-2-carboxamide (II). II in vitro activated PPAR.alpha. and PPAR.gamma. receptors expressed in Hela cells by 22.9 and 93.3%, resp., with AC50 of >50,000.0 and 0.55 nM, resp. (AC50 = 50% activation of the basal signal relative to the ref. agonist (-)-3-[4-[2-(benzoxazol-2-ylmethylamino)ethoxy]phenyl]-2-ethoxypropionic acid). Various formulations contg. specific I compds., e.g. tablet contg. II, were illustrated.

L3 ANSWER 5 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:527541 CAPLUS

DN **139:79171**

TI Phenylurea derivatives as vanilloid VR1 receptor antagonists and pharmaceuticals containing them

IN Yura, Takeshi; Motegi, Muneto; Ikegami, Yuka; Masuda, Tsutomu; Kokubo, Toshio; Urbahns, Klaus; Yoshida, Osahiro; Marushige, Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshiya; Tsukimi, Yasuhiro

PA Bayer A.-G., Germany

SO Jpn. Kokai Tokkyo Koho, 136 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003192659	A2	20030709	JP 2001-395032	20011226
	WO 2003055848	A2	20030710	WO 2002-EP14216	20021213
	WO 2003055848	A3	20031023		
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JP 2001-395032 A 20011226

JP 2001-395033 A 20011226

PATENT FAMILY INFORMATION:

FAN 2003:525400

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003192660	A2	20030709	JP 2001-395033	20011226
	WO 2003055848	A2	20030710	WO 2002-EP14216	20021213
	WO 2003055848	A3	20031023		

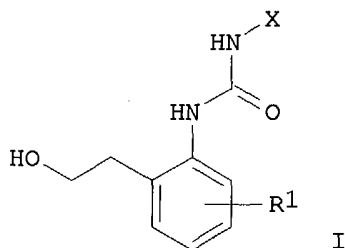
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2001-395032 A 20011226

JP 2001-395033 A 20011226

OS MARPAT 139:79171
GI



AB The phenylurea derivs. I (X = Ph, benzyl, pyridyl, carbazolyl, fluorenyl, thienyl, pyrimidyl benzodioxolyl, indazolyl, quinolyl, naphthyl, or naphthyl-C1-6 alkyl, among them, (hetero)arom. group is optionally substituted with R1, R2, R3; R1, R2, R3 = H, halo, C1-6 alkyl, C1-6 haloalkyl, NO2, cyano, C1-6 alkoxy, OH, piperidino, furyl, thienyl, benzyloxy, anilino, C1-6 alkylcarbamoyl, etc.), their tautomers, their stereoisomers, or their salts are claimed. Also claimed are pharmaceuticals contg. I, their tautomers, their stereoisomers, or their salts for prophylaxis and/or treatment of urge incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritis pain, neuralgia, neuropathies, hyperesthesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence, inflammatory disorders, etc. N-(3,4-Dichlorophenyl)-N'-[2-(2-hydroxyethyl)phenyl]urea, prepd. from 2-H2NC6H4CH2CH2OH and 3,4-Cl2C6H3NCO, inhibited capsaicin-induced Ca2+ influx into CHO cells expressing human VR1 receptors at IC50 .1toreq.0.1 .mu.M.

L3 ANSWER 6 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:492185 CAPLUS

DN **139:69254**

TI Preparation of 4-(2,4-dioxothiazolidin-5-ylmethyl)biphenyl derivatives as new ligand activators of PPAR.gamma. receptors for use in human medicine and in cosmetics

IN Bernardon, Jean Michel; Clary, Laurence

PA Galderma Research & Development, Fr.

SO Fr. Demande, 50 pp.

CODEN: FRXXBL
 DT Patent
 LA French
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2833949	A1	20030627	FR 2001-16750	20011221
	WO 2003055867	A1	20030710	WO 2002-FR4232	20021209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003134885	A1	20030717	FR 2001-16750	A 20011221
				US 2002-326054	20021223
				FR 2001-16750	A 20011221
				US 2002-351425PP	20020128
				FR 2002-2647	A 20020301

PATENT FAMILY INFORMATION:

FAN 2003:551189

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003134885	A1	20030717	US 2002-326054	20021223
				FR 2001-16750	A 20011221
				US 2002-351425PP	20020128
				FR 2002-2647	A 20020301
	FR 2833949	A1	20030627	FR 2001-16750	20011221
	FR 2836683	A1	20030905	FR 2002-2647	20020301
OS	MAREPAT 139:69254				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

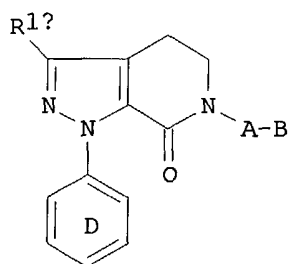
AB The title compds. [I; R1 = II, CH2CHR6COR5; R2, R3 = H, alkyl, aryl, etc.; X = CH2NR8CO, NR8CONR9, NR8COCH2, NR8CH2CO; R4 = (un)substituted Ph, CH2Ph, thienyl, etc.; R5 = OH, alkoxy; R6 = alkyl, alkoxy, thioxy, aryloxy, etc.; R8 = H, alkyl; R9 = H, alkyl], useful in pharmaceutical compns. intended for a use in medicine human or veterinary (in dermatol., in the field of the cardiovascular diseases, the immunizing diseases and/or the diseases related to the metab. of the lipids), or in cosmetic compns., were prepd. and formulated. Thus, reacting 5-(3'-methylaminomethylbiphenyl-4-ylmethyl)thiazolidine-2,4-dione (multi-step synthesis given) with cyclopentanepropionyl chloride afforded 25% III which showed Kd of 250.0 nM against PPAR.gamma. receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

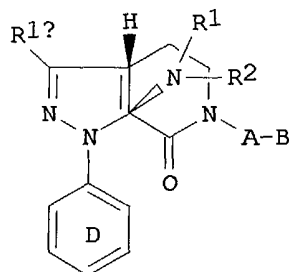
L3 ANSWER 7 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:472339 CAPLUS
 DN **139:53014**
 TI Synthesis of 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones
 IN Zhou, Jiacheng; Oh, Lynette M.; Ma, Philip; Li, Hui-yin
 PA Bristol-Myers Squibb Company, USA
 SO PCT Int. Appl., 143 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

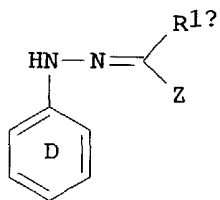
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003049681	A2	20030619	WO 2002-US38559	20021203
	WO 2003049681	A3	20030918		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003181466	A1	20030925	US 2001-339085PP	20011210
				US 2002-308741	20021203
				US 2001-339085PP	20011210
OS	MARPAT 139:53014				
GI					



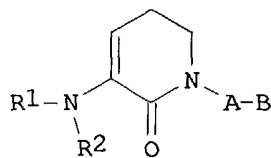
I



II



III



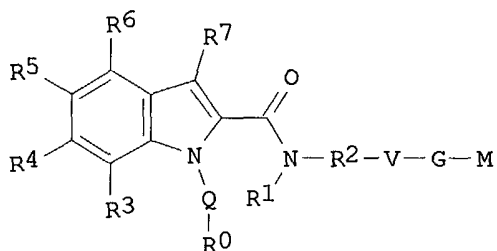
IV

AB A novel process and intermediates thereof for making 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones (shown as I; variables defined below; e.g. 1-(4-methoxyphenyl)-7-oxo-6-[4-(2-oxopiperidin-1-yl)phenyl]-4,5,6,7-

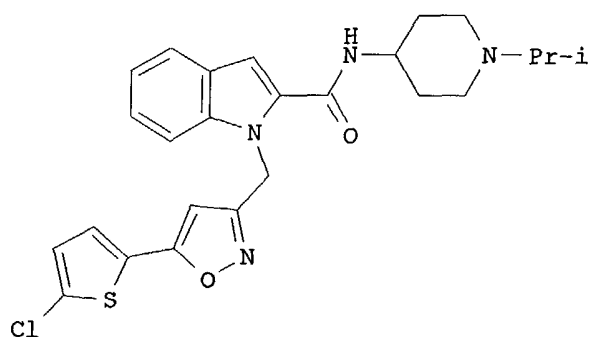
tetrahydro-1H-pyrazolo[3,4-c]pyridine-3-carboxylic acid amide) from appropriate Ph hydrazines is described. These compds. are useful as factor Xa inhibitors (no data). I are made from II using an acid, e.g. trifluoroacetic, sulfuric, nitric, hydrochloric. For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepd. (95% yield) from 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one (1.0 mmol) in CH₂Cl₂ on treatment with CF₃CO₂H (2.0 mL). II are made from III and IV in the presence of base (e.g. triethylamine, diisopropylethylamine, and N-methylmorpholine). For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepd. (65% yield) from 2,2,2-trifluoro-N-(3-cyano-4-fluorophenyl)ethanehydrazonoyl mesylate (4.0 mmol) and N-(4-iodophenyl)-3-morpholino-5,6-dihydro-2H-pyridin-2-one (4.0 mmol) in toluene (18 mL) in the presence of N-methylmorpholine (16.0 mmol). For I-IV: ring D = 4-chlorophenyl, 4-methoxyphenyl, 2-cyanophenyl, 2-(aminomethyl)phenyl, 2-(PgNHCH₂)phenyl, 3-cyanophenyl, 3-(aminomethyl)phenyl, 3-(PgNHCH₂)phenyl, 3-cyano-4-fluorophenyl, (3-amino)benz[d]isoxazol-6-yl, and (3-PgNH)benz[d]isoxazol-6-yl (Pg is an amine protecting group). R₁ and R₂ = C₁-6 alkyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, and benzyl; alternatively, NR₁R₂ is a 3-8 membered ring consisting of C atoms, N, and 0-1 O atoms; R_{1a} = H, CH₃, CH₂CH₃, CH₂CH₂CH₃, CH₂F, CH₂Cl, Br, CH₂Br, CN, CH₂CN, CF₃, CH₂CF₃, CH₂OCH₃, CO₂CH₃, CH₂CO₂CH₃, CO₂CH₂CH₃, CH₂CO₂CH₂CH₃, CH₂SCH₃, S(O)CH₃, CH₂S(O)CH₃, S(O)CH₂CH₃, CH₂S(O)CH₂CH₃, C(O)NH₂, CH₂C(O)NH₂, SO₂NH₂, CH₂SO₂NH₂, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyridin-2-yl N-oxide, pyridin-3-yl N-oxide, pyridin-4-yl N-oxide, imidazol-1-yl, CH₂-imidazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-5-yl, CH₂-1,2,3,4-tetrazol-1-yl, and CH₂-1,2,3,4-tetrazol-5-yl, provided that R_{1a} forms other than an N-halo, N-N, N-S, N-O, or N-CN bond. A = Ph substituted with 0-1 R₄, pyridyl substituted with 0-1 R₄, and pyrimidyl substituted with 0-1 R₄; B = Bl, Cl, Br, I, OMs, OTs, OSO₂Ph, CH₂Br, CH₂OH, and CHO; alternatively, A-B is H; Bl is Y or X-Y; X = C₁-4 alkylene, -CR₂(CHR₂R_{2b})(CH₂)_t-, -C(O)-, -CR₂(OR₂)-, -CR₂(SR₂)-, -C(O)CR₂R_{2a}-, -CR₂R_{2a}C(O)-, -S(O)p-, -S(O)pCR₂R_{2a}-, -CR₂R_{2a}S(O)p-, -S(O)NR₂-, -NR₂S(O)2-, -NR₂S(O)2CR₂R_{2a}-, -CR₂R_{2a}S(O)2NR₂-, -NR₂S(O)2NR₂-, -C(O)NR₂-, -NR₂C(O)-, -C(O)NR₂CR₂R_{2a}-, -NR₂C(O)CR₂R_{2a}-, -CR₂R_{2a}C(O)NR₂-, -CR₂R_{2a}NR₂C(O)-, -NR₂C(O)O-, -OC(O)NR₂-, -NR₂C(O)NR₂-, -NR₂-, -NR₂CR₂R_{2a}-, -CR₂R_{2a}NR₂-, O-, -CR₂R_{2a}O-, and -OCR₂R_{2a}-. Y = C₃-10 carbocycle substituted with 0-2 R_{4a}, and 5-10 membered heterocycle contg. = 1-4 heteroatoms N, O, and S, substituted with 0-2 R_{4a}; addnl. details are given in the claims. For III: Z = Cl, Br, I, OSO₂Me, OSO₂Ph, OSO₂C₆H₄Me-p.

L3 ANSWER 8 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2003:414216 CAPLUS
 DN 139:6766
 TI Preparation of indole-2-carboxamides as factor Xa inhibitors
 IN Nazare, Marc; Essrich, Melanie; Will, David William; Matter, Hans; Ritter, Kurt; Wehner, Volkmar
 PA Aventis Pharma Deutschland GmbH, Germany
 SO Eur. Pat. Appl., 90 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1314733	A1	20030528	EP 2001-127809	20011122
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	WO 2003044014	A1	20030530	WO 2002-EP12500	20021108
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003199689	A1	20031023	EP 2001-127809 A	20011122
				US 2002-301397	20021121
				EP 2001-127809 A	20011122
OS	MARPAT 139:6766				
GI					



I



II

AB The title compds. [I; R0 = (un)substituted monocyclic or bicyclic 6-14 membered aryl, monocyclic or bicyclic 5-14 membered heteroaryl, etc.; Q = a bond, CO, SO2, etc.; R1 = H, alkyl; R2 = a bond, alkylene; R1 and R2 together with the N atom and V to which they are bonded form (un)substituted 5-7 membered cyclic group contg. up to 1-4 heteroatoms chosen from N, S or O; V = (un)substituted 3-7 membered cyclic residue contg. up to 1-4 heteroatoms chosen from N, S or O, 6-14 membered aryl,

etc.; G = a bond, (CH₂)_m, (CH₂)_mO(CH₂)_n, etc.; n, m = 0-6; M = H, alkyl, aryl, etc.; R₃-R₇ = H, halo, alkyl, etc.] which exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses, were prepd. Thus, amidation of 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-indole-2-carboxylic acid with 1-isopropylpiperidin-4-ylamine.HCl (preps. given) in the presence of BOP-Cl, Et₃N and DCM afforded II which showed K_i of 0.0033 .μM against factor Xa. The compds. I are reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa), and can in general be applied in conditions in which an undesired activity of factor Xa and/or factor VIIa is present or for the cure or prevention of which an inhibition of factor Xa and/or factor VIIa is intended. The invention furthermore relates to processes for the prepn. of compds. I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preps. comprising them.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:301073 CAPLUS

DN 138:321300

TI Preparation of cyclic sulfone derivatives as inhibitors of matrix metalloproteinases, aggrecanase and/or TNF-α converting enzyme (TACE)

IN Duan, Jingwu; Xue, Chu-Biao

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003031431	A1	20030417	WO 2002-US32168	20021007
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-327816PP	20011009
	US 2003149031	A1	20030807	US 2002-265876	20021007
				US 2001-327816PP	20011009

OS MARPAT 138:321300

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present formula application describes novel cyclic sulfone derivs. (shown as I; variables defined below; e.g. N-hydroxy-2-[4-isopropyl-2-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-1,1-dioxido-2-thiomorpholinyl]acetamide (shown as II)) or pharmaceutically acceptable salt or prodrug forms thereof, which are useful as inhibitors of matrix metalloproteinases (MMP), TNF-.alpha. converting enzyme (TACE), aggrecanase, or a combination thereof. Although the methods of prepn. are not claimed, 1 example prepn. is included and 19 specific I are mentioned in the claims. For I: A = COR5, CO2H, CO2R6, C(O)NHOH, C(O)NHOR5, C(O)NHOR6, NHRA, N(OH)COR5, N(OH)CHO, SH, CH2SH, S(O)(:NH)Ra, S(:NH)2Ra, SC(O)Ra, PO(OH)2, and PO(OH)NHRA. Ring B, including the shown C and sulfonyl groups, is a 4-8 membered heterocycle consisting of C atoms and, in addn. to the sulfonyl group shown, 0-2 heteroatoms = O, N, NR10, and S(O)p, provided that ring B contains other than a S-S, O-O, or S-O bond; ring B consists of 0-1 double bonds and is substituted with 0-2 Rb. X is absent or is CR3R4; Ua is absent or = O, NRa1, C(O), C(O)O, OC(O), C(O)NRa1, NRa1C(O), OC(O)O, OC(O)NRa1, NRa1C(O)O, NRa1C(O)NRa1, S(O)p, S(O)pNRa1, NRa1S(O)p, and NRa1SO2NRa1; Xa is absent or = C1-4 alkylene, C2-4 alkenylene, and C2-4 alkynylene; Ya is absent or = O, NRa1, S(O)p, and C(O); provided that Ua-Xa-Ya form other than a bond or O; Za is a C3-13 carbocycle substituted with 0-5 Rc or a 5-14 membered heterocycle consisting of C atoms and 1-4 heteroatoms N, O, and S(O)p, and substituted with 0-5 Rc; provided that Ua, Ya and Za do not combine to form a N-N, N-O, O-N, O-O, S(O)p-O, O-S(O)p or S(O)p-S(O)p group. R1 = H, C1-6 alkyl substituted with 0-1 Rb, C2-6 alkenyl substituted with 0-1 Rb, and C2-6 alkynyl substituted with 0-1 Rb; R2 = Q, C1-6 alkylene-Q, C2-6 alkenylene-Q, C2-6 alkynylene-Q, (CRaRa1)r10(CRaRa1)r-Q, (CRaRa1)r1NRa(CRaRa1)r-Q, (CRaRa1)r1C(O)(CRaRa1)r-Q, (CRaRa1)r1C(O)O(CRaRa1)r-Q, (CRaRa1)r1OC(O)(CRaRa1)r-Q, (CRaRa1)r1C(O)NRaRa1, (CRaRa1)r1C(O)NRa(CRaRa1)r-Q, (CRaRa1)r1NRaC(O)(CRaRa1)r-Q, (CRaRa1)r1OC(O)O(CRaRa1)r-Q, (CRaRa1)r1OC(O)NRa(CRaRa1)r-Q, (CRaRa1)r1NRaC(O)O(CRaRa1)r-Q, (CRaRa1)r1NRaC(O)NRa(CRaRa1)r-Q, (CRaRa1)r1S(O)p(CRaRa1)r-Q, (CRaRa1)r1SO2NRa(CRaRa1)r-Q, (CRaRa1)r1NRaSO2(CRaRa1)r-Q, and (CRaRa1)r1NRaSO2NRa(CRaRa1)r-Q; Q = H, a C3-13 carbocycle substituted with 0-5 Rd, and a 5-14 membered heterocycle consisting of C atoms and 1-4 heteroatoms N, O, and S(O)p, and substituted with 0-5 Rd; alternatively, R1 and R2, together with the C atom to which they are attached, combine to form a 3-10 membered heterocyclic ring consisting of C atoms and 0-2 ring heteroatoms = O, N, NR10, and S(O)p, and substituted with 0-3 Rc;. Rb = C1-6 alkyl substituted with 0-1 Rcl, ORa, Cl, F, Br, I, O, CN, NO2, NRaRa1, C(O)Ra, C(O)ORa, C(O)NRaRa1, C(S)NRaRa1, NRaC(O)NRaRa1, OC(O)NRaRa1, NRaC(O)ORa, S(O)2NRaRa1, NRaS(O)2Ra3, NRaS(O)2NRaRa1, OS(O)2NRaRa1, NRaS(O)2Ra3, S(O)pRa3, CF3, CF2CF3, CHF2, CH2F, and phenyl; q = 0-2; addnl. details are given in the claims. A no. of I exhibit Ki's of <10 .mu.M in a metalloproteinase assay (specific compds. not mentioned).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:300876 CAPLUS

DN 138:321573

TI Preparation of p-aminobenzoic acid amino acid derivatives as integrin antagonists

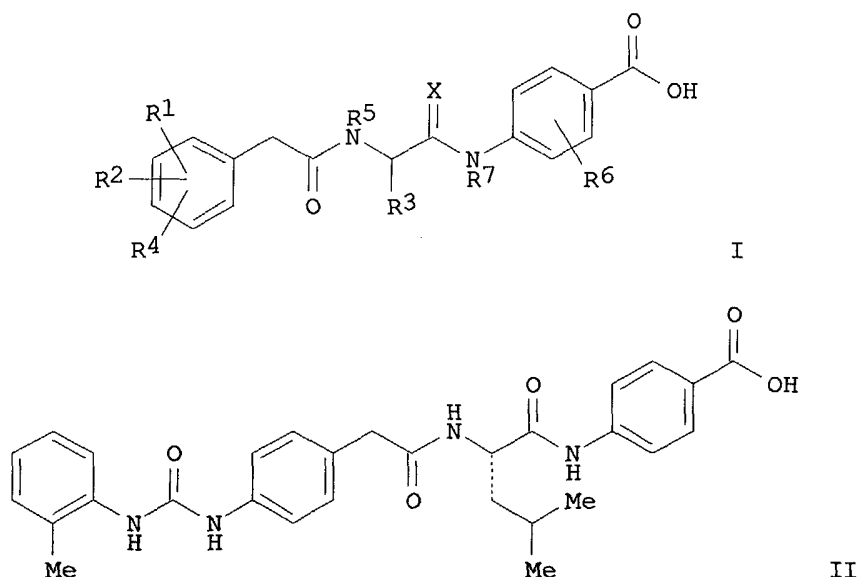
IN Lehmann, Thomas; Albers, Markus; Roelle, Thomas; Mueller, Gerhard; Hessler, Gerhard; Tajimi, Masaomi; Ziegelbauer, Karl; Okigami, Hiromi;

Bacon, Kevin; Hasegawa, Haruki
 PA Bayer Aktiengesellschaft, Germany
 SO PCT Int. Appl., 107 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003030889	A1	20030417	WO 2002-EP10563	20020920
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

GB 2001-23765 A 20011003

OS MARPAT 138:321573
 GI



AB The invention relates to p-aminobenzoic acid derivs. I or their pharmaceutically-acceptable salts as .alpha.4.beta.1, .alpha.4.beta.7, and/or .alpha.9.beta.1 integrin antagonists for the prodn. of pharmaceutical compns. suitable for the inhibition or prevention of cell adhesion and cell-adhesion mediated disorders. Thus, compd. II was prepd. by the solid-phase method and showed IC50 .ltoreq. 0.5 .mu.M in the VCAM-1 assay.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

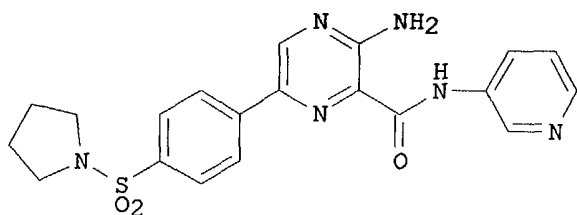
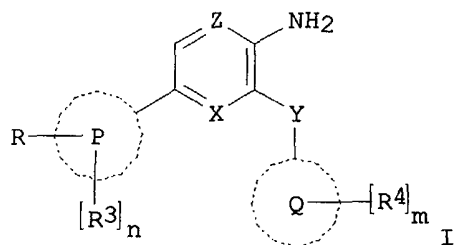
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2003:42250 CAPLUS
 DN **138:106712**
 TI Preparation of pyrazine-2-carboxamides as glycogen synthase kinase-3
 (GSK3) inhibitors
 IN Berg, Stefan; Hellberg, Sven
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003004472	A1	20030116	WO 2002-SE1339	20020703
	WO 2003004472	C1	20030313		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

SE 2001-2439 A 20010705

OS MARPAT 138:106712
 GI



II

AB The title compds. [I; Z = CH, N; Y = CONR5, NR5CO, SO2NR5, etc.; X = CH,

N; P = Ph or 5-6 membered heteroaryl which may optionally be fused with 5-6 membered (un)satd. ring contg. one or more atoms selected from C, N, O or S; Q = Ph or 5-6 membered heteroaryl contg. one or more heteroatoms selected from N, O or S of which at least one atom is selected from N atom; R = CHO, OCH₂F, OCHF₂, OCF₃, etc.; R₃, R₄ = halo, NO₂, CHO, etc.; n, m = 0-4], useful in the prevention and/or treatment of conditions assocd. with glycogen synthase kinase-3, were prepd. and formulated. Thus, coupling 3-amino-6-bromo-N-(pyridin-3-yl)pyrazine-2-carboxamide with 4-(pyrrolidin-1-ylsulfonyl)phenylboronic acid (prepns. given) in the presence of Pd(dppf)Cl₂ and Na₂CO₃ in dimethoxyethane afforded 93% the carboxamide II. Typical K_i values for the compds. I are in the range of about 0.001 to about 10,000 nM.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:22869 CAPLUS

DN 138:89806

TI Preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease.

IN Ingraham, Richard H.; Proudfoot, John R.

PA Boehringer Ingelheim Pharmaceuticals Inc., USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DT Patent

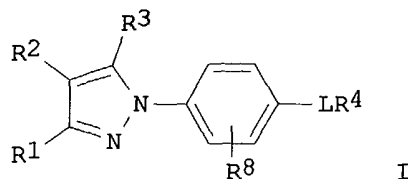
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003002555	A1	20030109	WO 2002-US18752	20020614
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003022929	A1	20030130	US 2001-302066PP	20010629
				US 2002-172457	20020614
				US 2001-302066PP	20010629

OS MARPAT 138:89806

GI



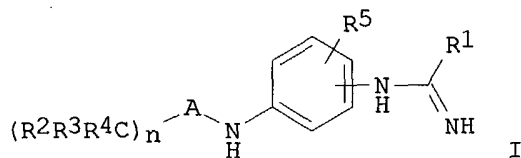
AB A method of treating cardiovascular disease comprises administration of title compds. [I; R₁, R₃ = CF₃, halo, cyano, alkyl, alkenyl, alkynyl,

(substituted) cycloalkyl, heterocyclyl, etc.; R2 = H, halo, Me; L = NHCO, NHCS, NH, NHCH2, NHCOCO, etc.; R4 = (substituted) alkyl, alkoxy, alkylthio, alkylamino, alkoxyalkyl, alkylthioalkyl, carbocyclyl, heterocyclyl, etc.; R8 = H, NH2] (no data). Thus, 2-chloronicotinic acid in MeCN was treated with EDC and then with 1-(4-aminophenyl)-3-(3-pyridyl)-5-trifluoromethylpyrazole under ice cooling followed by stirring for 1 h to give I (R1 = 3-pyridyl; R2, R8 = H; R3 = CF3; L = NHCO; R4 = 2-chloropyridin-3-yl).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695939 CAPLUS
DN 137:232452
TI Preparation of benzamidines having antiinflammatory and immunosuppressive activity
IN Makovec, Francesco; Zanzola, Simona; Artusi, Roberto; Rovati, Lucio Claudio
PA Rotta Research Laboratorium S.p.A., Italy
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070468	A2	20020912	WO 2002-EP1201	20020206
	WO 2002070468	A3	20030904		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				IT 2001-TO110	A 20010208
EP	1363875	A2	20031126	EP 2002-718096	20020206
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				IT 2001-TO110	A 20010208
				WO 2002-EP1201	W 20020206
OS	CASREACT 137:232452; MARPAT 137:232452				
GI					



AB Title compds. [I; A = carboxamide, thiocarboxamide, carbonyl; R1 = alkyl, amino, optionally substituted with NO2 or Me; R2 = H, alkyl, MeO, EtO, PrO, mono-, bi- or tricyclic cycloalkyl having 5-12 C atoms, adamantyl, aryl, naphthyl, heterocyclyl optionally substituted with Me, MeO, OH, amino, halo; R3, R4 = H, alkyl; R5 = 1-2 of H, Me, MeO, OH; n = 0-6; the amidine groups is in the para or meta position relative to the ANH group], were prepd. Thus, di-N-(4-aminophenyl)-N'-pentylthiourea, Et3N, Me acetimidate hydrochloride were stirred 24 h in THF to give N-[4-(n-acetamidine)Ph]-N'-pentylthiourea. The latter inhibited NO prodn. in rabbit joint chondrocytes with IC50 = 6.6 .mu.M.

L3 ANSWER 14 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:695933 CAPLUS

DN 137:232445

TI Preparation of aminodicarboxylic acids for the treatment of cardiovascular diseases

IN Alonso-Alija, Cristina; Haerter, Michael; Hahn, Michael; Pernerstorfer, Josef; Weigand, Stefan; Stasch, Johannes-Peter; Wunder, Frank

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070462	A1	20020912	WO 2002-EP1941	20020225
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				DE 2001-10110749A	20010307
	DE 10110749	A1	20020912	DE 2001-10110749	20010307
	EP 1368300	A1	20031210	EP 2002-703602	20020225
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				DE 2001-10110749A	20010307
				WO 2002-EP1941 W	20020225

OS MARPAT 137:232445

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [V = absent, O, COO, etc.; Q = absent, (un)substituted alkylene, alkendiyl, etc; Y = H, NR8R9, (un)substituted aryl, etc.; W = (un)substituted alkylene, alkendiyl; U = (un)substituted alkyl; A = (un)substituted aryl, heteroarom. contg. 1-3 heteroatoms, e.g., S, N, O; X

= (un)substituted alkylene, alkendiyl, aryl, etc.; R1 = tetrazolyl, COOR30, CONR31R32 ; R2 = tetrazolyl, COOR24, CONR25R26, R25 and R26 form 5 or 6-membered ring which can be interrupted by O or N; R3 = aryl, SR17, SO2R17, etc.; R8, R9, R17 = H, (un)substituted alkyl, alkenyl, etc.; R24 = H, (un)substituted alkyl, cycloalkyl; R25, R26 = H, (un)substituted alkyl, cycloalkyl, etc.; R30 = H, (un)substituted alkyl, cycloalkyl; R31, R32 = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-4; n = 1-2] and their pharmaceutically acceptable salts were prep'd. For example, Pd(Ph3)2Cl2 mediated coupling of aryl bromide II, prep'd. from ethyl-2-hydroxy-5-trifluoromethoxybenzoate in 8-steps, with 4-chlorophenyl boronic acid, followed by ester hydrolysis afforded aminodicarboxylate III. Compds. I stimulated the activation of sol. guanylate cyclase (sGC) independent of the heme group (no data).

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:675840 CAPLUS

DN 137:226590

TI Use of epothilone derivatives and a signal transduction inhibitor for the treatment of cancer

IN Buchdunger, Elisabeth; Heldin, Carl-Henrik; Oestman, Arne; Pietras, Kristian; O'Reilly, Terence; Rothermel, John David; Traxler, Peter; Wartmann, Markus

PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Brandt, Ralf

SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DT Patent

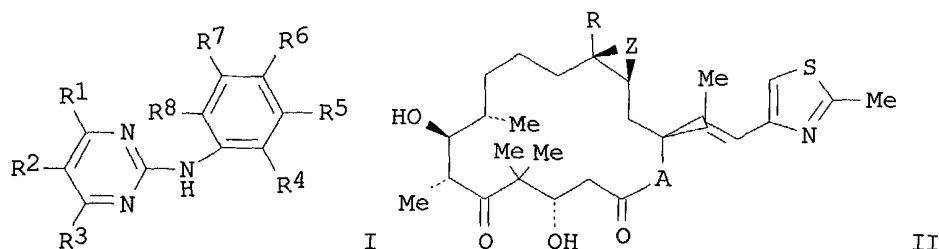
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002067941	A2	20020906	WO 2002-EP2049	20020226
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
				GB 2001-4840	A 20010227
				US 2001-339040PP	20011030
	NO 2003003769	A	20030825	NO 2003-3769	20030825
				GB 2001-4840	A 20010227
				US 2001-339040PP	20011030
				WO 2002-EP2049 W	20020226

OS MARPAT 137:226590

GI



AB The present invention relates to a combination which comprises (a) a signal transduction inhibitor selected from a PDGF (platelet-derived growth factor) receptor tyrosine kinase inhibitor which is a N-phenyl-2-pyrimidine-amine deriv. such as I [R¹ = pyrazinyl, pyrrolyl, substituted phenyl; R², R³ = H, alkyl; R⁴, R⁵, R⁶, R⁷, R⁸ = nitro, alkoxy, -N(R⁹)-C(=X)-(Y)_n-R¹⁰; R⁹ = H, alkyl; X = oxo, thio, imino, N-alkylamino, hydroximino; Y = O, NH; n = 0, 1; R¹⁰ = alkyl, aryl, cycloalkyl, heterocycle], and an active ingredient which decreases the activity of the epidermal growth factor (EGF) and (b) an epothilone deriv. such as II [A = O, NR_n; R_n = H, alkyl; R = H, alkyl; Z = O, a bond], and optionally at least one pharmaceutically acceptable carrier for simultaneous, sep. or sequential use, in particular, for the delay of progression or treatment of a proliferative disease. The invention also discloses a com. package comprising such a combination as a combined prepn. and to a method of treatment of a warm-blooded animal, esp. human.

L3 ANSWER 16 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:594872 CAPLUS

DN 137:155180

TI Preparation of tripeptides as hepatitis C inhibitors

IN Campbell, Jeffrey Allen; Good, Andrew

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 240 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060926	A2	20020808	WO 2001-US45145	20011120
	WO 2002060926	A3	20030313		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002111313	A1	20020815	US 2001-1850	20011120
				US 2000-249968PP	20001120
EP	1337550	A2	20030827	EP 2001-997024	20011120
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

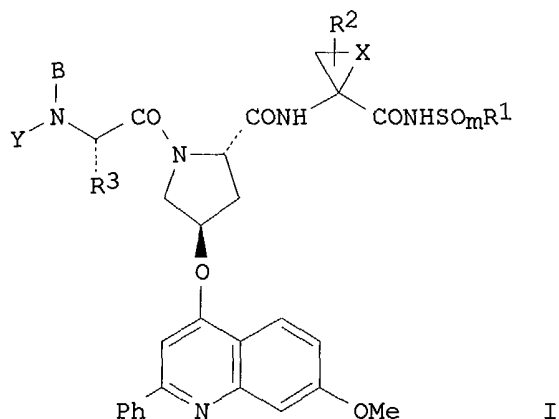
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2000-249968PP 20001120

WO 2001-US45145W 20011120

OS MARPAT 137:155180

GI



AB Tripeptides I [R1 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, or aryl; m = 1 or 2; X = CH₂ or CH₂CH₂; R2 = H or (un)substituted alkyl, alkenyl, or cycloalkyl; R3 = alkyl, phenylalkyl, alkenyl, (un)substituted cycloalkyl or alkylcycloalkyl CR3 is a cycloalkyl group optionally substituted by alkenyl; Y = H, nitrophenyl, nitropyridyl, or alkyl optionally substituted by cyano, hydroxyl, or cycloalkyl; B = H, alkyl, acyl, carbamoyl, thiocarbamoyl, or a sulfonyl group] were prepd. for the treatment of hepatitis C virus (HCV) infection. Synthetic procedures and biol. test data are given for 141 tripeptides I. Compd. I (R1 = p-AcNHC6H4, m = 2, X = CH₂, R2 = vinyl, R3 = tert-Bu, B = H, Y = tert-butoxycarbonyl) showed IC₅₀ < 0.05 .mu.M for inhibition of HCV NS3/4A protease (BMS strain) and EC₅₀ < 0.5 .mu.M in the HCV replicon cell-based assay.

L3 ANSWER 17 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:504777 CAPLUS

DN **137:63180**

TI Preparation of carboxamides as telomerase inhibitors

IN Haul, Norbert; Priepke, Henning; Damm, Klaus; Schnapp, Andreas

PA Boehringer Ingelheim Pharma K.-G., Germany

SO PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002051830	A1	20020704	WO 2001-EP14565	20011212
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10065043 A1 20020704 DE 2000-10065043A 20001223
 US 2002123509 A1 20020905 US 2001-25426 20011219
 US 6660764 B2 20031209

DE 2000-10065043A 20001223

OS MARPAT 137:63180

AB Title compds. AR1C:CR2C(O)NR3B [R1 = H, C1-3 alkyl; R2 = H, F, Cl, Br, C1-3 alkyl; R3 = H, C1-5 alkyl; A = (substituted) Ph-condensed chromanyl, chromenyl, 5-6 membered heterocyclyl; B = (substituted) 5-6 membered heteroaryl, Ph, naphthyl], were prepd. Thus, trans-3-(quinolin-6-yl)-N-(2-methoxycarbonylphenyl)but-2-enamide (prepn. given) was stirred with MeOH and 2N NaOH for 2 h at room temp. to give 92% trans-3-(quinolin-6-yl)-N-(2-carboxyphenyl)but-2-enamide. The latter at 5 .mu.M gave >50% inhibition of the telomerase activity.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:479961 CAPLUS

DN **137:41755**

TI Antidiabetic agents containing amine derivatives having benzimidazole or imidazopyridine ring and their other uses

IN Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Honma, Eiji; Fujiwara, Toshihiko

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 109 pp.

CODEN: JKXXAF

DT Patent

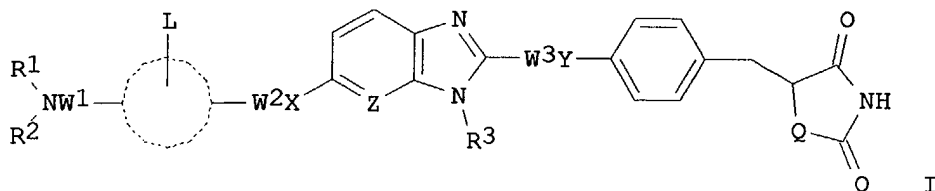
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002179568	A2	20020626	JP 2001-308814	20011004
				JP 2000-307159 A	20001006

OS MARPAT 137:41755

GI



AB Prophylactic and/or therapeutic agents for diabetes, glucose intolerance, diabetic complications, or gestational diabetes contain the derivs. I (R1 = carbamoyl which may have 1-2 .alpha., thiocarbamoyl which may have 1-2 .alpha., sulfonyl having 1 .alpha., carbonyl having 1 .alpha.; R2, R3 = H,

C1-10 alkyl, C6-10 aryl, which may have 1-3 .beta., C7-16 aralkyl which may have 1-3 .beta. on the aryl moiety; W1-W3 = direct bond, C1-8 alkylene; X, Y, Q = O, S; Z = :CH, N' Ar = benzene or naphthalene ring substituted with 1-4 L; L = H, C1-6 alkyl, C6-10 aryl which may have 1-3 .beta., C7-16 aralkyl which may have 1-3 .beta. on the aryl moiety; definitions of .alpha. and .beta. are given) or their pharmacol. acceptable salts. I and their salts are also useful as insulin resistance improving agents, hypoglycemics, inflammation inhibitors, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide formation inhibitors, PPAR activators, antiosteoporotic agents, leukotriene antagonists, adipocyte conversion promoters, cancer cell growth inhibitors, and Ca blockers. Feeding diabetic KK mice with feed contg. 0.01% 1-(4-chlorophenyl)-3-[4-[2-[4-(2,4-dioxothiazolidin-5-ylmethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-6-yloxy]-2,6-dimethylphenyl]thiourea (II) for 3 days showed 48.9% hypoglycemic effect. Capsules, tablets, and granules contg. II were also formulated.

L3 ANSWER 19 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:353418 CAPLUS

DN **136:355073**

TI Preparation of (arylacetamidophenyl)cycloalkylacetic acids as cell adhesion inhibitor for treatment of inflammatory diseases

IN Harris, Neil Victor; Fenton, Garry

PA Aventis Pharma Limited, UK

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

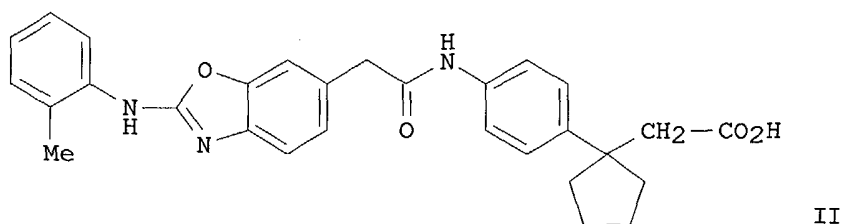
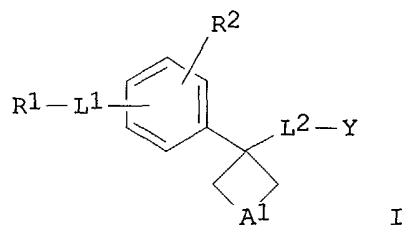
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002036553	A2	20020510	WO 2001-GB4864	20011102
	WO 2002036553	A3	20030313		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				GB 2000-27024	A 20001104
				US 2000-256170PP	20001213
				GB 2001-24528	A 20011012
	AU 2002012481	A5	20020515	AU 2002-12481	20011102
				GB 2000-27024	A 20001104
				US 2000-256170PP	20001213
				GB 2001-24528	A 20011012
				WO 2001-GB4864	W 20011102
	EP 1330432	A2	20030730	EP 2001-980688	20011102
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				GB 2000-27024	A 20001104
				US 2000-256170PP	20001213
				GB 2001-24528	A 20011012
				WO 2001-GB4864	W 20011102

OS MARPAT 136:355073
GI



AB Title compds. I [wherein ring A1 = (un)substituted carbocycle, indanyl, or heterocycle; R1 = R3Z1-Het or R4NR5CONHAr1; R2 = H, halo, alkyl, or alkoxy; Het = (un)substituted bicyclic ring contg. at least 1 O, S, or N; R3 = (un)substituted (hetero)aryl; R4 = H or alkyl; R5 = aryl(alkyl) or heteroaryl(alkyl); or NR4R5 = cyclic amine; R6 = direct bond or alkylene, alkenylene, or alkynylene; R7 = direct bond, (hetero)cycloalkylene, (hetero)aryldiyl; C(:Z2)NR8, NR8C(:Z2), Z2, CO, C(:NOR8), NR8, NR8C(:Z2)NR8, SO2NR8, NR8SO2, OCO, CO2, NR8CO2, or OCONR8; or R6R7 = direct bond; R8 = H or alkyl; Ar1 = (hetero)aryldiyl; L1 = R6R7; L2 = alkylene; Y = carboxy or acid bioisostere; Z1 = O or S; and N-oxides, prodrugs, pharmaceutically acceptable salts, and solvates thereof] were prepd. as inhibitors of .alpha.4.beta.1 mediated cell adhesion for the treatment of inflammatory diseases, such as asthma. For example, coupling of [2-(o-tolylamino)benzoxazol-6-yl]acetic acid with [1-(4-aminophenyl)cyclopentyl]acetic acid tert-Bu ester to give the amide, followed by deesterification with TFA, afforded II. I exhibited IC50 values in the range of 100 .mu.M to 1 nM for inhibition of cell adhesion to VCAM-1 and fibronectin with the integrin VLA-4 (.alpha.4.beta.1).

L3 ANSWER 20 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:171844 CAPLUS

DN **136:232200**

TI Preparation of propenohydroxamic acid derivatives as TACE inhibitors for treatment of sepsis, infectious and autoimmune diseases, etc.

IN Hirata, Terukage; Misumi, Keiji; Ito, Kenji; Inokuma, Kenichi; Katayama, Kimiko

PA Wakunaga Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

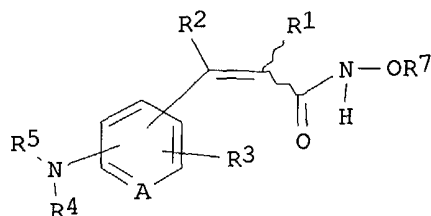
FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

PI WO 2002018326 A1 20020307 WO 2001-JP7292 20010827
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 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 JP 2000-263094 A 20000831
 AU 2001080167 A5 20020313 AU 2001-80167 20010827
 JP 2000-263094 A 20000831
 WO 2001-JP7292 W 20010827
 EP 1314721 A1 20030528 EP 2001-958495 20010827
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2000-263094 A 20000831
 WO 2001-JP7292 W 20010827
 OS MARPAT 136:232200
 GI



I

AB The title compds. I [R1 represents hydrogen, alkyl or halogeno; R2 represents cycloalkyl, aryl, heteroaryl, etc.; R3 represents hydrogen, alkenyl, etc.; R4 represents H, (un)substituted alkyl, etc.; R5 represents R6CO, R6SO2, R6NHCO or R6NHCS (wherein R6 represents alkyl, cycloalkyl, cyclic amino, aryl, heteroaryl, etc.); R7 represents hydrogen or a protective group; and A represents CH, nitrogen, etc.] are prepd. I are useful as drugs for preventing and/or treating diseases such as sepsis, rheumatoid arthritis, infectious diseases, autoimmune diseases, malignant neoplasm, collagen disease, etc. E-3-[3-[N-(4-methoxybenzenesulfonyl)-N-methylaminophenyl]-3-(3-pyridyl)]propenohydroxamic acid (II) in vitro showed IC50 of 7 nM against TACE. II in vitro showed IC50 of > 10000 nM against MMP-1.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:142704 CAPLUS

DN 136:200177

TI Preparation of diheteroaryl ureas as antitumor agents

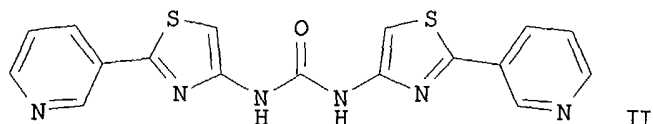
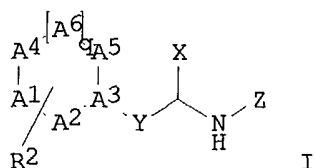
IN Santora, Vent; Askew, Benny; Ghose, Arup; Hague, Andrew; Kim, Tae Seong;
 Laber, Ellen; Li, Aiwen; Lian, Brian; Liu, Gang; Norman, Mark Henry;
 Smith, Leon; Tasker, Andrew; Tegley, Christopher; Yang, Kevin

PA Amgen Inc., USA
 SO PCT Int. Appl., 371 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002014311	A2	20020221	WO 2001-US25472	20010815
	WO 2002014311	A3	20020919		
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				US 2000-225793PP	20000815
	AU 2001084909	A5	20020225	AU 2001-84909	20010815
				US 2000-225793PP	20000815
				WO 2001-US25472W	20010815
	EP 1309589	A2	20030514	EP 2001-964009	20010815
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2000-225793PP	20000815
				WO 2001-US25472W	20010815

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FAN	2002:965133				
PI	US 2002193405	A1	20021219	US 2002-77124	20020215
	US 6645990	B2	20031111		
				US 2000-225793PP	20000815
				US 2001-930753 A2	20010814
	US 2002173507	A1	20021121	US 2001-930753	20010814
				US 2000-225793PP	20000815
	WO 2003070727	A1	20030828	WO 2003-US4537	20030213
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2002-77124	A 20020215
OS	MARPAT 136:200177				
GI					



AB The title compds. [I; A1-A6 = CH₂, CH, C, O, S, Nh, N; X and Z taken together to form a N atom contg. ring; Y = NHCO(CH₂)_p, CH₂CO₂, NHSO₂CH₂, NHCO₂, NHCONR₆(CH₂)_r; R₂ = alkylaminoalkynyl, cycloalkenylalkynyl, phenylalkynyl, etc.; p = 1-2; q = 0-1; r = 0-3; R₆ is not defined] which are effective for prophylaxis and treatment of diseases, such as cell proliferation or apoptosis mediated diseases involving stroke, cancer and the like, were prepd. Thus, treating 3-(3-pyridyl)-4-thiazolylcarbonylazide in PhMe with a few drops of H₂O afforded the urea II which showed cdk2/cyclin and cdk5/cyclin kinase activity with IC₅₀ of < 50 .mu.M.

L3 ANSWER 22 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:122976 CAPLUS

DN **136:167181**

TI Preparation of biphenyl derivatives and their use as PPAR.gamma. receptor agonists

IN Bernardon, Jean-Michel; Clary, Laurence

PA Galderma Research & Development, Fr.

SO PCT Int. Appl., 113 pp.

CODEN: PIXXD2

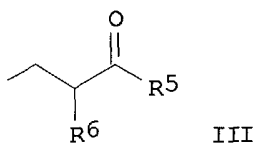
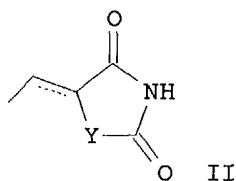
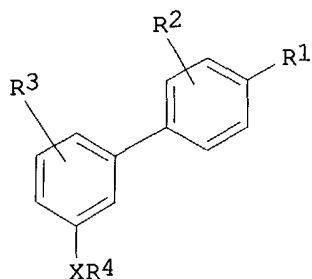
DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002012210	A1	20020214	WO 2001-FR2543	20010803
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FR 2812876	A1	20020215	FR 2000-10447	A 20000808
FR 2812876	B1	20020927	FR 2000-10447	20000808
AU 2001085981	A5	20020218	AU 2001-85981	20010803
			FR 2000-10447	A 20000808

EP 1309575 A1 20030514 WO 2001-FR2543 W 20010803
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR EP 2001-965314 20010803
 BR 2001013251 A 20030624 FR 2000-10447 A 20000808
 WO 2001-FR2543 W 20010803
 BR 2001-13251 20010803
 FR 2000-10447 A 20000808
 WO 2001-FR2543 W 20010803
 OS MARPAT 136:167181
 GI



AB The invention concerns compds. I (e.g. N-[[4'-(2,4-dioxothiazolidin-5-ylmethyl)biphenyl-3-yl]methyl]-N-methylbenzamide) wherein: R1 represents a radical II or III; Y represents a CH2 radical or a S atom; R5 represents hydroxy, alkoxy, NH-OH, or N(R8)(R9) radical; and R6 represents alkyl, OR10, SR10, or (CH2)r-COR11. Said compds. are useful as PPAR.gamma. receptor activators in pharmaceutical compns. for use in human or veterinary medicine (in dermatol., as well as in the field of cardiovascular diseases, immune diseases and/or diseases related to lipid metab.), or in cosmetic compns. Agonist activity for 15 of the claimed compds. is reported. Although the methods of prepn. are not claimed, 82 example preps. are included.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:104657 CAPLUS

DN **136:151003**

TI Preparation of N-[(aryloxy)phenyl](thio)ureas and -carbamates as agrochemical fungicides

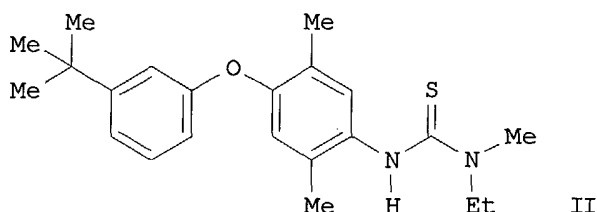
IN Gerusz, Vincent; Mansfield, Darren James; Perez, Jose; Tickle, David; Vors, Jean-Pierre; Baldwin, Derek; Hough, Thomas; Mitchell, Dale Robert

PA Aventis Cropscience S.A., Fr.

SO Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1178039	A1	20020206	EP 2001-420173	20010801
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	FR 2812633	A1	20020208	FR 2000-10305	A 20000804
	JP 2002114751	A2	20020416	FR 2000-10305	20000804
				JP 2001-238513	20010806
				FR 2000-10305	A 20000804
	US 2003008884	A1	20030109	US 2001-923124	20010806
				FR 2000-10305	A 20000804
OS	MARPAT 136:151003				
GI					



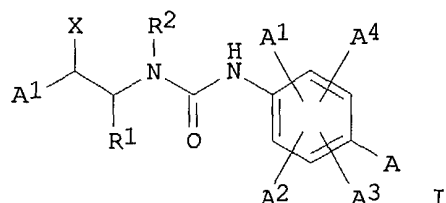
AB R6ZZ1NRC(:X)R5 [I; R = H, alkyl, etc.; R5 = NR1R2, OR3, SR3; R1, R2 = H, alkyl, acyl, etc.; RR1, RR3, R1R2 = atoms to complete a ring; R3 = H, alkyl, etc.; R6 = 2-benzothienyl, 5-tert-butyl-1,3,4-oxadiazol-2-yl, substituted Ph, etc.; X = O or S; Z = bond, O, CO, SO0-2, NH, etc.; Z1 = e.g., 2,5-dimethyl-1,4-phenylene] were prep'd. Thus, 2-chloro-1,4-xylene was nitrated and the product etherified by 3-(Me3C)C6H4OH to give, after redn., the phenoxyanilline which was treated with Cl2CS and the product amidated by HNMeEt to give title comp'd. II. Data for biol. activity of I were given.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:51998 CAPLUS
 DN **136:118448**
 TI Preparation of N-aryl-N'-arylethylurea derivatives as thrombin receptor antagonists
 IN Barrow, James C.; Nantermet, Philippe G.; Selnick, Harold G.; Hutchinson, John H.; Breslin, Michael J.; Glass, Kristen L.; Connolly, Thomas M.; Stern, Andrew
 PA Merck & Co., Inc., USA
 SO U.S. Pat. Appl. Publ., 40 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2002007045	A1	20020117	US 2001-772353	20010130
	US 6515023	B2	20030204		
OS	MARPAT 136:118448				
GI					
				US 2000-179184PP	20000131



AB The title compds. [I; R1 = H, C1-10 alkyl; R2 = C1-10 alkyl, C3-8 cycloalkyl, CHMeCH2OMe, CHMeCH2F, CHMeCH2SMe; A = H, (CH2)_m N(B)COR6 (wherein m = an integer of 0-5; B = H, R12, C3-8 cycloalkyl, CHMeCH2OMe, CHMeCH2F, CHMeCH2SMe; R6 = R13, Ar2, NHAr2, C3-8 cycloalkyl, CH2Ph, CMe2NCO2CMe3, C(NH2)Me2, OCMe3); A1, A2, A3, A4 = H, halo, NO2, cyano, R10, OR10, NR10R11; X = OH, OR4, O2CR4, O2CAr1, NR4Ar1, SR4, SOR4, SO2R4; wherein R4, R10, R12, R13 = H, C1-10 alkyl; Ar1, Ar2 = (un)substituted aryl or heteroaryl] and pharmaceutically acceptable salts thereof are prepd. These compds. can be used in a method of acting upon a thrombin receptor which comprises administering a therapeutically effective but non-toxic amt. of such compd. to a mammal, preferably a human. They are useful in inhibiting thrombin activation of the thrombin receptor, inhibiting the aggregation of blood platelets, treating thrombus formation or embolus formation, or preventing thrombus or embolus formation in a mammal (no data). Thus, to a soln. of 0.11 g triphosgene in 2 mL CH2Cl2 was added a soln. of 0.22 g tert-Bu 4-aminobenzylcarbamate, and 0.18 mL diisopropylethylamine in 10 mL CH2Cl2, dropwise over 15 min, followed by adding a soln. of 0.4 g 3-(4-aminomethylphenyl)-1-[2-(3,4-dichlorophenyl)-2-hydroxyethyl]-1-isopropylurea and 0.18 mL diisopropylethylamine in 5 mL CH2Cl2 over 5 min, and the resulting mixt. was stirred for 3 h to give, after workup and silica gel flash chromatog., 1-[(2S)-2-(3,4-Dichlorophenyl)-2-hydroxyethyl]-1-isopropyl-3-[4-{3-(4-ureidomethylphenyl)ureidomethyl}phenyl]urea (II). A tablet and an i.v. formulation contg. II were prepd.

L3 ANSWER 25 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:10466 CAPLUS

DN 136:85809

TI Preparation of heteroarylphenyl substituted factor Xa inhibitors for treatment of thromboembolic disorders

IN Pinto, Donald J. P.; Quan, Mimi L.; Woerner, Francis J.

PA Dupont Pharmaceuticals Company, USA

SO PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DT Patent

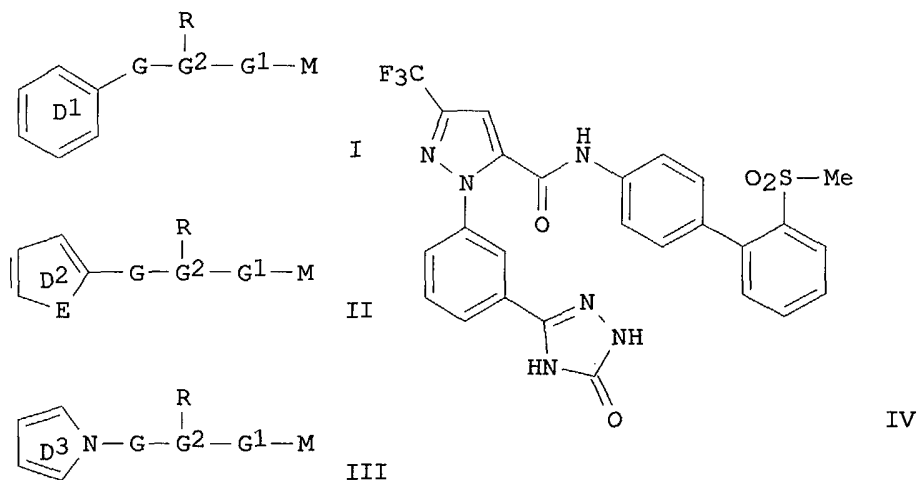
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000647	A1	20020103	WO 2001-US20112	20010622
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	US 2002103202	A1	20020801	US 2000-214033PP	20000623
	US 6599926	B2	20030729	US 2001-887936	20010622
	EP 1296977	A1	20030402	US 2000-214033PP	20000623
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		EP 2001-946698	20010622
				US 2000-214033PP	20000623
				WO 2001-US20112W	20010622

OS MARPAT 136:85809

GI



AB Title compds. I, II, and III [wherein ring D1 = pyridine, pyrazine, pyridazine, or pyrimidine substituted with 1 Ra and 0-1 Rb; ring D2 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; E = O, 3S, or NRc; ring D3 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; R, Ra, and Rb = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF3, etc.; Rc = H, alkyl, alkoxy, (un)substituted (alkyl)amino, OCF3, etc.; G = absent or (CH2)1-3, (CH2)0-2CO(CH2)0-2, (CH2)0-2O(CH2)0-2, (CH2)0-2NH(CH2)0-2, (CH2)0-2SOp(CH2)0-2, etc.; p = 0-2; G1 = (un)substituted (CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uOCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; G2 = Ph, naphthyl, or heteroaryl; M = isoxazoline, pyrazoline, isothiazoline,

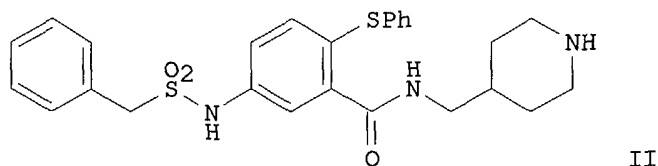
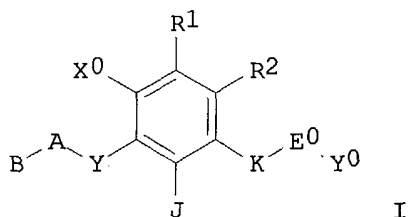
triazoline tetrazoline, Ph, or substituted 5-6 membered heteroaryl; and pharmaceutically acceptable salts or prodrugs thereof] were prepd. as factor Xa inhibitors. For example, HCl gas was bubbled through 1-(3-cyanophenyl)-3-trifluoromethyl-5-[(2'-sulfonylmethyl-[1,1']-biphen-4-yl)aminocarbonyl]pyrazole in anhyd. EtOH to afford the ethoxyimide intermediate. Addn. of N-methylmorpholine to the crude product in dioxane, followed by cyclization with semicarbazide.bul.HCl, gave the pyrazolamide IV. Some of the invention compds. inhibited factor Xa with Ki values of .ltoreq. 10 .mu.M. Thus, I are useful as anticoagulants for the treatment of thromboembolic disorders (no data).

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:693283 CAPLUS
DN **135:257039**
TI Preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade
IN South, Michael S.; Parlow, John J.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 437 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068605	A1	20010920	WO 2001-US7918	20010313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2000-188943PP 20000313 US 2000-252159PP 20001120 US 2002025947 A1 20020228 US 2001-804959 20010313 US 6660885 B2 20031209 US 2000-188943PP 20000313 US 2000-252159PP 20001120				

OS MARPAT 135:257039
GI



AB The title compds. [I; J = H, halo, OH, etc.; B = (un)substituted aryl, heteroaryl; A = a bond, CH₂SO₂, CH₂, (CH₂)₂, etc.; Y = NH, O, CO, etc.; X₀, R₁, R₂ = H, alkyl, halo, etc.; K = a bond, CH₂, etc.; E₀ = a bond, O, CONH, etc.; Y₀ = (4-piperidiny)methyl, (amidino)benzyl, etc.] and their pharmaceutically acceptable salts, useful as inhibitors of serine proteases of the coagulation cascade, were prepd. E.g., a multi-step synthesis of II.HCl which showed IC₅₀ of > 30 .mu.M against factor VIIa, factor Xa and thrombin, and IC₅₀ of 0.3 .mu.M against trypsin, was given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:693247 CAPLUS

DN 135:257156

TI Preparation of sulfonamido substituted phenyl heteroaryl ureas as IL-8 receptor antagonists

IN Widdowson, Katherine L.; Jin, Qi

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DT Patent

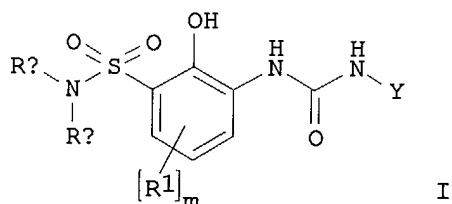
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068568	A2	20010920	WO 2001-US7746	20010309
	WO 2001068568	A3	20020321		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

AU 2001045606	A5	20010924	US 2000-188410PP 20000310
			AU 2001-45606 20010309
			US 2000-188410PP 20000310
EP 1261336	A2	20021204	WO 2001-US7746 W 20010309
			EP 2001-918542 20010309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2000-188410PP 20000310
			WO 2001-US7746 W 20010309
JP 2003535820	T2	20031202	JP 2001-567669 20010309
			US 2000-188410PP 20000310
NO 2002004193	A	20020903	WO 2001-US7746 W 20010309
			NO 2002-4193 20020903
			US 2000-188410PP 20000310
US 2003055286	A1	20030320	WO 2001-US7746 W 20010309
US 6608077	B2	20030819	US 2002-220772 20020905
			WO 2001-US7746 W 20010309

OS MARPAT 135:257156
GI



AB The title compds. [I; Rb = H, OH, aryl, etc.; m = 1-3; R1 = H, halo, NO2, etc.; Y = furyl, thiophenyl, pyridyl, etc.], useful in the treatment of disease states mediated by the chemokine, Interleukin-8 (IL-8), were prepd. Thus, reacting 3-amino-6-chloro-2-hydroxybenzenesulfonamide with 2-(azidocarbonyl)pyridine (prepn. given) in DMF afforded 62% I [Rb = H; R1 = 4-Cl; Y = 2-pyridyl]. The IL-8, and GRO- α chemokine effects of compds. I were detd. by in vitro assay (IC50 < 30 μ M).

L3 ANSWER 28 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:672048 CAPLUS

DN **135:246996**

TI Preparation of 2,5-Diamino-benzaldehyde-derivates and their usage in hair dyes

PA Wella A.-G., Germany

SO Ger. Gebrauchsmusterschrift, 38 pp.

CODEN: GGXXFR

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 20108608	U1	20010913	DE 2001-20108608	20010523
				DE 2001-20108608	20010523

OS MARPAT 135:246996

AB The invention concerns the synthesis of 2,5-Diamino-benzaldehyde-derivs.

and their usage in hair dye compns. as developers along with coupling agents and optionally direct dyes. Thus a hair dye contained (g):
 1,4-diamino-2-(piperidine-1-yl-iminomethyl)-benzene 0.30;
 3-methyl-4-aminophenol 0.30; 1-naphthol 0.30; 1,3-dihydroxy benzene 0.18;
 potassium oleate 10.0; ammonia (22% soln.) 10.0; ethanol 10; ascorbic acid 0.3; water to 100. Upon usage, 30 g of the compn. were mixed with 30 g 6% hydrogen peroxide soln.; after 30 min the dye was rinsed, the resulting color was reddish brown.

L3 ANSWER 29 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:661391 CAPLUS

DN 135:210946

TI Preparation of pyridylamides as Factor Xa inhibitors.

IN Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064642	A2	20010907	WO 2001-US6247	20010228
	WO 2001064642	A3	20020502		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-185746PP	20000229
				US 2000-663420 A	20000915

PATENT FAMILY INFORMATION:

FAN 2001:208239

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019788	A2	20010322	WO 2000-US25196	20000915
	WO 2001019788	A3	20010809		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-154332PP	19990917
				US 2000-185746PP	20000229
EP 1216228	A2	20020626	EP 2000-963452	20000915	
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
				US 1999-154332PP	19990917

BR 2000014076	A	20021015	US 2000-185746PP	20000229
			WO 2000-US25196W	20000915
			BR 2000-14076	20000915
			US 1999-154332PP	19990917
			US 2000-185746PP	20000229
			WO 2000-US25196W	20000915
JP 2003509406	T2	20030311	JP 2001-523368	20000915
			US 1999-154332PP	19990917
			US 2000-185746PP	20000229
			WO 2000-US25196W	20000915
NO 2002001229	A	20020521	NO 2002-1229	20020312
			US 1999-154332PP	19990917
			US 2000-185746PP	20000229
			WO 2000-US25196W	20000915
FAN 2001:208248				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2001019798	A2	20010322	WO 2000-US25195	20000915
WO 2001019798	A3	20011025		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			US 1999-154332PP	19990917
EP 1216231	A2	20020626	EP 2000-963451	20000915
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
			US 1999-154332PP	19990917
			WO 2000-US25195W	20000915
BR 2000014078	A	20021231	BR 2000-14078	20000915
			US 1999-154332PP	19990917
			WO 2000-US25195W	20000915
JP 2003509412	T2	20030311	JP 2001-523378	20000915
			US 1999-154332PP	19990917
			WO 2000-US25195W	20000915
NO 2002001230	A	20020521	NO 2002-1230	20020312
			US 1999-154332PP	19990917
			WO 2000-US25195W	20000915
FAN 2001:661392				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
PI WO 2001064643	A2	20010907	WO 2001-US6255	20010228
WO 2001064643	A3	20020404		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

US 2000-185746PP 20000229
 US 2000-663420 A 20000915
 EP 1259485 A2 20021127 EP 2001-918257 20010228
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2000-185746PP 20000229
 US 2000-663420 A 20000915
 WO 2001-US6255 W 20010228

FAN 2002:11104
 PATENT NO. KIND DATE APPLICATION NO. DATE

 PI US 2002002183 A1 20020103 US 2001-794225 20010228
 US 6376515 B2 20020423
 US 2003162690 A1 20030828
 US 2000-185746PP 20000229
 US 2000-663420 A220000915
 US 2002-126976 20020422
 US 2000-185746PP 20000229
 US 2000-663420 A220000915
 US 2001-794225 A120010228

FAN 2002:522631
 PATENT NO. KIND DATE APPLICATION NO. DATE

 PI US 2002091116 A1 20020711 US 2001-794214 20010228
 US 6632815 B2 20031014
 US 1999-154332PP 19990917
 US 2000-662807 A220000915

OS MARPAT 135:210946
 AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R1C(:NR3), (substituted) Ph,
 naphthyl, mono- or bicyclic heterocyclyl, etc.; R1-R3 = H, alkyl, alkenyl,
 alkynyl, cycloalkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; R1R2 or R2R3 =
 atoms to form a 3-8 membered (substituted) (heterocyclic) ring; Q = bond,
 CH2, CO, O, NR7, etc.; R7 = H, alkyl, (alkyl)aryl, (alkyl)heteroaryl,
 etc.; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic
 heterocyclyl; E = bond, alkyl, S, SO, SO2, alkoxy, etc.; G = (substituted)
 alkenyl, cycloalkenyl, phenylene, heterocyclyl, fused cyclic system; J =
 bond, NR9CO, O, S, SO, SO2, SO2NR9, CH2, NR9, etc.; R9 = H, alkyl,
 (alkyl)aryl, etc.; X = (substituted) Ph, naphthyl, heteroaryl, fused
 bicyclyl], were prepd. as antithrombotics (no data). Thus,
 N-(5-bromo-2-pyridinyl) 2-aminophenylcarboxamide (prepn. given),
 4-[(2-tert-butylaminosulfonyl)phenyl]benzoyl chloride, and pyridine were
 stirred overnight in CH2Cl2 to give 85% N-(5-bromo-2-pyridinyl)-[2-4-[(2-
 aminosulfonyl)phenyl]phenylcarbonylamino]phenylcarboxamide.

L3 ANSWER 30 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2001:661241 CAPLUS
 DN **135:221308**
 TI Use of PDGF receptor tyrosine kinase inhibitors for the treatment of
 diabetic nephropathy
 IN Atkins, Robert Charles; Chadban, Steven James; Cooper, Mark Emmanuel;
 Gilbert, Richard Ernest; Hill, Prudence Ann; Kelly, Darren James;
 Nikolic-Paterson, David John
 PA Novartis A.-G., Switz.; The University of Melbourne; Southern Health
 SO PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064200	A2	20010907	WO 2001-EP2340	20010301
	WO 2001064200	A3	20020117		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1259242	A2	20021127	EP 2000-810181 A	20000303
				EP 2001-921298	20010301
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				EP 2000-810181 A	20000303
				WO 2001-EP2340 W	20010301
	JP 2003525240	T2	20030826	JP 2001-563097	20010301
				EP 2000-810181 A	20000303
				WO 2001-EP2340 W	20010301
	US 2003186977	A1	20031002	US 2003-220214	20030415
				EP 2000-810181 A	20000303
				WO 2001-EP2340 W	20010301
OS	MARPAT 135:221308				
AB	The present invention relates to the use of PDGF receptor tyrosine kinase inhibitors, esp. N-phenyl-2-pyrimidineamine derivs. for the treatment of diabetic nephropathy, glomerulonephritis, chronic pyelonephritis or IgA nephropathy. Thus, CGP 57148B administered in gum arabic as an oral suspension to rats, the left kidney of which was removed, was shown to inhibit the disorder.				
L3	ANSWER 31 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN				
AN	2001:416931 CAPLUS				
DN	135:33495				
TI	Arylamine derivatives and their use as anti-telomerase agent				
IN	Mailliet, Patrick; Riou, Jean-Francois; Mergny, Jean-Louis; Laoui, Abdelazize; Lavelle, Francois; Petitgenet, Odile				
PA	Aventis Pharma S.A., Fr.				
SO	PCT Int. Appl., 66 pp. CODEN: PIXXD2				
DT	Patent				
LA	French				
FAN.CNT	1				

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001040218	A1	20010607	WO 2000-FR3310	20001127
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

FR 2801588	A1	20010601	FR 1999-15031	A	19991129
FR 2801588	B1	20020301	FR 2000-10561	A	20000811
BR 2000015992	A	20020806	FR 1999-15031		19991129
			BR 2000-15992		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
EP 1244650	A1	20021002	EP 2000-985339		20001127
EP 1244650	B1	20030625			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
JP 2003515604	T2	20030507	JP 2001-541902		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
EE 200200263	A	20030616	EE 2002-263		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
AT 243692	E	20030715	AT 2000-985339		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
US 6645964	B1	20031111	US 2000-722361		20001128
			FR 1999-15031	A	19991129
			US 2000-176632PP		20000119
			US 2000-218059PP		20000713
			FR 2000-10561	A	20000811
NO 2002002528	A	20020528	NO 2002-2528		20020528
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
BG 106753	A	20030228	BG 2002-106753		20020529
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127

OS MARPAT 135:33495

AB Nitrogen heterocycles, esp. diaminotriazines, were prep'd. for use as telomerase inhibitors and anticancer agents. Thus, 2-amino-4,6-dichloro-1,3,5-triazine was treated with 1-methyl-4,6-quinaldinium chloride hydrochloride to give 2-amino-4,6-bis(1-methyl-4-amino-6-quinaldinio)amino-1,3,5-triazine dichloride hydrochloride which was converted to its free base. The free base had a telomerase-inhibiting IC50 of 0.25 .mu.M and a cytotoxic IC50 of 0.59-1.9 .mu.M.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:265376 CAPLUS

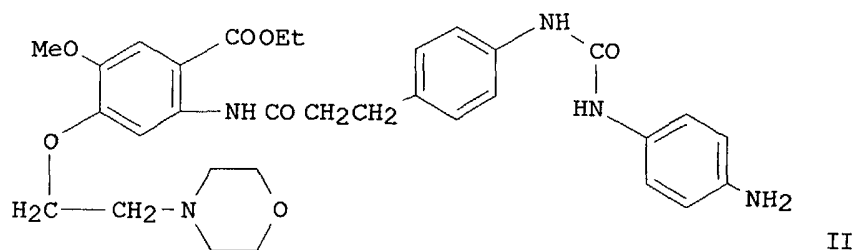
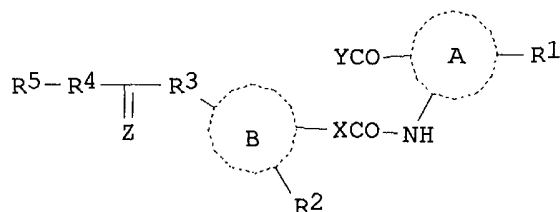
DN 134:295625

TI Preparation of novel diarylamide derivatives and use thereof as remedies of abnormal propagation of vascular smooth muscle cells

IN Ogita, Haruhisa; Isobe, Yoshiaki; Takaku, Haruo

PA Japan Energy Corporation, Japan
 SO PCT Int. Appl., 196 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025190	A1	20010412	WO 2000-JP6667	20000927
	W: AU, CA, JP, NZ, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				JP 1999-281271 A	19991001
				JP 1999-290789 A	19991013
	AU 2000074466	A5	20010510	AU 2000-74466	20000927
				JP 1999-281271 A	19991001
				JP 1999-290789 A	19991013
				WO 2000-JP6667 W	20000927
	EP 1229010	A1	20020807	EP 2000-962891	20000927
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
				JP 1999-281271 A	19991001
				JP 1999-290789 A	19991013
				WO 2000-JP6667 W	20000927
OS	MARPAT 134:295625				
GI					



AB Title compds. [I; wherein A and B are each an arom. ring such as benzene ring; COY and NHCOX are adjacent to each other and bonded to carbon atoms constituting A; X is alkylene, alkyleneoxy, or a single bond; Y is alkyl, alkoxy, hydroxyl, or optionally substituted amino; R1 is hydrogen, halogeno, hydroxyl, alkyl, or the like, with the proviso that when A is a

benzene ring, R1 is not hydrogen; R2 is hydrogen, halo, hydroxyl, alkyl; R3 and R4 are each optionally substituted imino, oxygen, or a single bond; R5 is alkyl, optionally substituted Ph, etc.; Z is oxygen or sulfur] and pharmaceutical compns. contg. the derivs. or salts as the active ingredient for prevention or treatment of diseases caused by abnormal propagation of vascular smooth muscle cells. Thus, the title compd. II was prepd. and tested.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:513673 CAPLUS

DN 133:135235

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

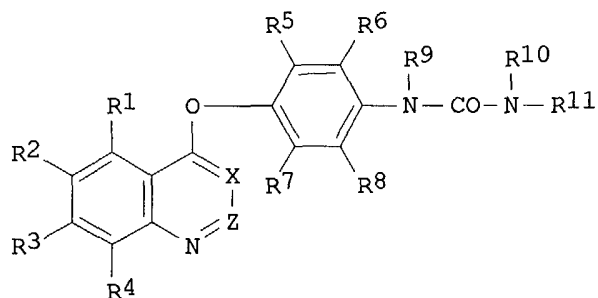
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043366	A1	20000727	WO 2000-JP255	20000120
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RW:				
GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			JP 1999-14858	A 19990122
			JP 1999-26691	A 19990203
			JP 1999-142493	A 19990521
			JP 1999-253624	A 19990907
CA 2361057	AA	20000727	CA 2000-2361057	20000120
			JP 1999-14858	A 19990122
			JP 1999-26691	A 19990203
			JP 1999-142493	A 19990521
			JP 1999-253624	A 19990907
BR 2000007656	A	20011030	WO 2000-JP255	W 20000120
			BR 2000-7656	20000120
			JP 1999-14858	A 19990122
			JP 1999-26691	A 19990203
			JP 1999-142493	A 19990521
			JP 1999-253624	A 19990907
EP 1153920	A1	20011114	WO 2000-JP255	W 20000120
EP 1153920	B1	20031029	EP 2000-900841	20000120
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			JP 1999-14858	A 19990122
			JP 1999-26691	A 19990203

JP 2003286263 A2 20031010

NO 2001002617 A 20010914

JP 1999-142493 A 19990521
 JP 1999-253624 A 19990907
 WO 2000-JP255 W 20000120
 JP 2003-128216 20000120
 JP 1999-14858 A 19990122
 JP 1999-26691 A 19990203
 JP 1999-142493 A 19990521
 JP 1999-253624 A 19990907
 JP 2000-594782 A320000120
 NO 2001-2617 20010529
 JP 1999-14858 A 19990122
 JP 1999-26691 A 19990203
 JP 1999-142493 A 19990521
 JP 1999-253624 A 19990907
 WO 2000-JP255 W 20000120

OS MARPAT 133:135235
 GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2000:457050 CAPLUS
 DN 133:79374
 TI Aromatic heterocyclic compounds as thrombin or factor Xa inhibitors
 IN Lam, Patrick Yuk Sun; Clark, Charles G.; Li, Hui Yin; Pinto, Donald J. P.
 PA Du Pont Pharmaceuticals Co., USA
 SO PCT Int. Appl., 121 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

Patel

<12/10/2003>

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000039108	A1	20000706	WO 1999-US30512	19991222
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1998-113627PP	19981223
EP	1140871	A1	20011010	EP 1999-967485	19991222
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				US 1998-113627PP	19981223
				WO 1999-US30512W	19991222
US	6369227	B1	20020409	US 1999-469830	19991222
				US 1998-113627PP	19981223
US	6403583	B1	20020611	US 1999-469835	19991222
				US 1998-113627PP	19981223
JP	2002537227	T2	20021105	JP 2000-591019	19991222
				US 1998-113627PP	19981223
				WO 1999-US30512W	19991222
US	2002115854	A1	20020822	US 2001-7195	20011204
US	6602871	B2	20030805		
				US 1998-113627PP	19981223
				US 1999-469831 B1	19991222
US	6500855	B1	20021231	US 2002-33137	20020102
US	2003004344	A1	20030102		
				US 1998-113627PP	19981223
				US 1999-469830 A3	19991222

PATENT FAMILY INFORMATION:

FAN 2000:456883

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000038683	A1	20000706	WO 1999-US30737	19991221
	W: AL, AU, BR, CA, CN, CR, CZ, DM, EE, HU, IL, IN, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TZ, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1998-113627PP	19981223
CA	2320730	AA	20000706	CA 1999-2320730	19991221
				US 1998-113627PP	19981223
				WO 1999-US30737W	19991221
EP	1058549	A1	20001213	EP 1999-967554	19991221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1998-113627PP	19981223
				WO 1999-US30737W	19991221
US	6369227	B1	20020409	US 1999-469830	19991222
				US 1998-113627PP	19981223
US	6403583	B1	20020611	US 1999-469835	19991222
				US 1998-113627PP	19981223
US	2002115854	A1	20020822	US 2001-7195	20011204
US	6602871	B2	20030805		
				US 1998-113627PP	19981223
				US 1999-469831 B1	19991222
US	6500855	B1	20021231	US 2002-33137	20020102

US 2003004344	A1	20030102	US 1998-113627PP 19981223
			US 1999-469830 A319991222

FAN 2000:457044

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2000039102 A1 20000706 WO 1999-US30735 19991221

W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 1998-113627PP 19981223

EP 1140862 A1 20011010 EP 1999-965337 19991221

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

US 1998-113627PP 19981223

WO 1999-US30735W 19991221

US 6369227 B1 20020409 US 1999-469830 19991222

US 6403583 B1 20020611 US 1998-113627PP 19981223

US 2002115854 A1 20020822 US 1999-469835 19991222

US 6602871 B2 20030805 US 1998-113627PP 19981223

US 6500855 B1 20021231 US 2001-7195 20011204

US 2003004344 A1 20030102 US 1998-113627PP 19981223

US 1999-469831 B119991222

US 2002-33137 20020102

US 1998-113627PP 19981223

US 1999-469830 A319991222

OS MARPAT 133:79374

AB This invention relates generally to inhibitors of trypsin-like serine protease enzymes, esp. factor Xa or thrombin, pharmaceutical compns. contg. the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

L3 ANSWER 35 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:368323 CAPLUS

DN **133:17481**

TI Preparation of IL-5 inhibiting 6-azauracil derivatives

IN Lacrampe, Jean Fernand Armand; Ligny, Yannick; Freyne, Eddy Jean Edgard; Deroose, Frederik Dirk

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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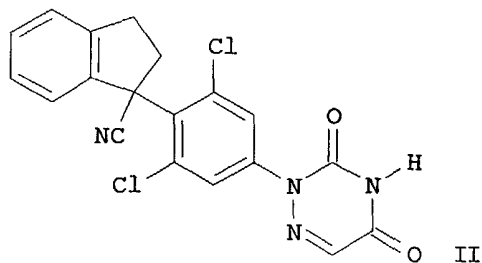
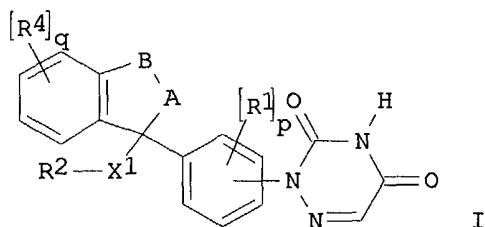
PI WO 2000031053 A1 20000602 WO 1999-EP9154 19991122

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
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 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			EP 1998-203929 A 19981123
EP 1133483	A1	20010919	EP 1999-963332 19991122
EP 1133483	B1	20030423	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
			EP 1998-203929 A 19981123
			WO 1999-EP9154 W 19991122
JP 2002530390	T2	20020917	JP 2000-583881 19991122
			EP 1998-203929 A 19981123
			WO 1999-EP9154 W 19991122
AU 759405	B2	20030417	AU 2000-19677 19991122
			EP 1998-203929 A 19981123
			WO 1999-EP9154 W 19991122
AT 238287	E	20030515	AT 1999-963332 19991122
			EP 1998-203929 A 19981123
			WO 1999-EP9154 W 19991122
US 6498158	B1	20021224	US 2001-856626 20010522
			EP 1998-203929 A 19981123
			WO 1999-EP9154 W 19991122

OS MARPAT 133:17481
 GI



AB The title compds. [I; p = 0-3; q = 0-4; AB = (CH₂)_r, (CH₂)_tO, (CH₂)_tS(O)_u, (CH₂)_tNR₃; r = 2-4; t = 1-3; u = 0-2; X₁ = O, S, NR₃, a direct bond; R₁, R₄ = alkyl, halo, polyhaloalkyl, etc.; R₂ = aryl, heteroaryl, cycloalkyl, etc.; R₃ = H, alkyl], useful in treating eosinophil-dependent inflammatory diseases, were prepd. and formulated. E.g., a multi-step synthesis of

azauracil II which showed 70.5% inhibition of IL-5 prodn. at 1×10^{-6} M, was given.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:241203 CAPLUS

DN 132:265207

TI Preparation of 4-anilinoquinazolines and 4-anilinoquinolines as inhibitors of cytokine mediated disease

IN Cumming, John Graham

PA Zeneca Limited, UK

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DT Patent

LA English

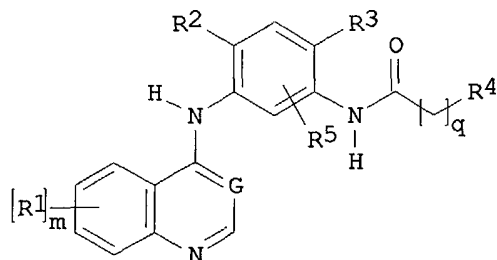
FAN.CNT 1

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PI	WO 2000020402	A1	20000413	WO 1999-GB3220	19990927
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	RW:				
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				GB 1998-21338	A 19981001
				GB 1999-6564	A 19990323
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				GB 1998-21338	A 19981001
				GB 1999-6564	A 19990323
	AU 9961064	A1	20000426	WO 1999-GB3220	W 19990927
	AU 761552	B2	20030605	AU 1999-61064	19990927
				GB 1998-21338	A 19981001
				GB 1999-6564	A 19990323
	BR 9914162	A	20010626	WO 1999-GB3220	W 19990927
				BR 1999-14162	19990927
				GB 1998-21338	A 19981001
				GB 1999-6564	A 19990323
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	EP 1117653	B1	20030205	EP 1999-947686	19990927
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				GB 1999-6564	A 19990323
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				JP 2000-574519	19990927
				GB 1998-21338	A 19981001
				GB 1999-6564	A 19990323
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				GB 1998-21338	A 19981001

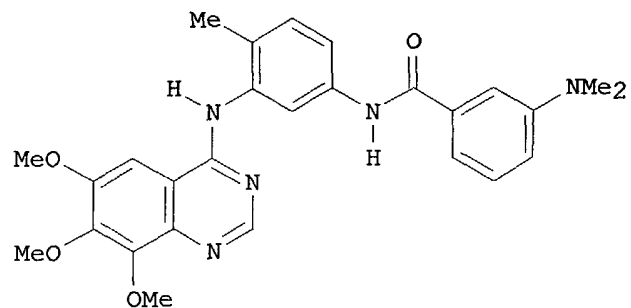
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ZA 2001002187	A	20020618
US 6593333	B1	20030715
NO 2001001631	A	20010521
US 2003216417	A1	20031120

GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
NZ 1999-510210		19990927
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
ES 1999-947686		19990927
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
ZA 2001-2187		20010315
GB 1998-21338	A	19981001
US 2001-787883		20010323
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
NO 2001-1631		20010330
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
US 2003-441084		20030520
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
US 2001-787883	A320010323	

OS MARPAT 132:265207
GI



I



II

AB The title compds. [I; G = N, CH; R1 = OH, halo, CF3, etc.; R2, R3 = H, halo, alkyl, etc.; R4 = H, OH, alkyl, etc.; R5 = H, halo, CF3; m = 1-3; q = 0-4] and their pharmaceutically acceptable salts or in vivo cleavable esters, useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. E.g., a multi-step synthesis of II which showed IC50 of 0.2 .mu.M against p38.alpha. kinase and IC50 of 5.2 .mu.M against TNF.alpha. prodn., was given.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:227634 CAPLUS

DN 132:265091

TI Preparation of N-(benzamido-phenyl)pyridinecarboxamides and analogs as cytokine production inhibitors

IN Brown, Dearg Sutherland; Brown, George Robert

PA Zeneca Limited, UK

SO PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018738	A1	20000406	WO 1999-GB3144	19990921
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
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				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
	CA 2340454	AA	20000406	CA 1999-2340454	19990921
				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
	AU 9961034	A1	20000417	WO 1999-GB3144	W 19990921
	AU 761361	B2	20030605	AU 1999-61034	19990921
				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
				WO 1999-GB3144	W 19990921
	BR 9913947	A	20010612	BR 1999-13947	19990921
				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
				WO 1999-GB3144	W 19990921
	EP 1115707	A1	20010718	EP 1999-947653	19990921
	EP 1115707	B1	20031112		
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2002525358 T2 20020813

NZ 509836 A 20030630

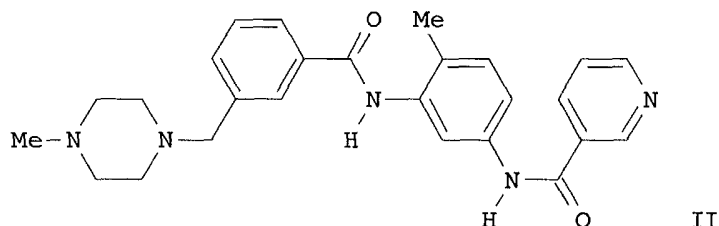
ZA 2001002185 A 20020618

NO 2001001492 A 20010523

US 6455520 B1 20020924

GB 1998-20770 A 19980925
 GB 1998-26938 A 19981209
 GB 1999-5969 A 19990317
 WO 1999-GB3144 W 19990921
 JP 2000-572198 19990921
 GB 1998-20770 A 19980925
 GB 1998-26938 A 19981209
 GB 1999-5969 A 19990317
 WO 1999-GB3144 W 19990921
 NZ 1999-509836 19990921
 GB 1998-20770 A 19980925
 GB 1998-26938 A 19981209
 GB 1999-5969 A 19990317
 WO 1999-GB3144 W 19990921
 ZA 2001-2185 20010315
 GB 1998-20770 A 19980925
 NO 2001-1492 20010323
 GB 1998-20770 A 19980925
 GB 1998-26938 A 19981209
 GB 1999-5969 A 19990317
 WO 1999-GB3144 W 19990921
 US 2001-787882 20010323
 GB 1998-20770 A 19980925
 GB 1998-26938 A 19981209
 GB 1999-5969 A 19990317
 WO 1999-GB3144 W 19990921

OS MARPAT 132:265091
 GI



AB R4Z4ZCONHZ1NHCOZ2R2 [I; R2 = Z3R3; R3 = (un)substituted heteroaryl; R4 = (di)(alkyl)amino(alkyl), heterocycl(alkyl), heteroaryl(alkyl), etc.; Z = (un)substituted phenylene; Z1= 2-halo- or -alkyl-1,5-phenylene; Z2 = bond or (CH2)1-4; Z3 = bond, O, NH, alkyleneoxy, alkyleneamino, etc.; Z4 = bond, alkylene(oxy), alkyleneamino,, etc.] were prepd. as p38 kinase inhibitors. Thus, 3-(ClCH2)C6H4COCl was amidated by 2-methyl-5-nitroaniline and the product aminated by 1-methylpiperazine to give, after redn. and pyridine-3-carbonyl chloride amidation, title compd. II. Data for biol. activity of I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

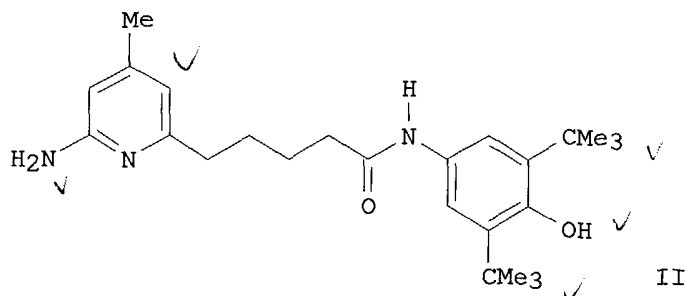
AN 2000:53594 CAPLUS

DN 132:93214

TI Preparation of aminopyridinealkanamides and analogs as nitric oxide

synthase inhibitors and reactive oxygen scavengers
 IN Chabrier De Lassauniere, Pierre-Etienne; Auvin, Serge; Harnett, Jerry;
 Pons, Dominique; Ulibarri, Gerard; Bigg, Dennis
 PA Societe de Conseils de Recherches et d'Applications Scientifiques
 (S.C.R.A.S, Fr.
 SO PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000002860	A1	20000120	WO 1999-FR1610	19990705
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				DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,	
				JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,	
				MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,	
				TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,	
				MD, RU, TJ, TM	
	RW:				
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				ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,	
				CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
				FR 1998-8732	19980708
	FR 2780971	A1	20000114		
	FR 2780971	B1	20010928		
	FR 2791674	A1	20001006	FR 1999-4133	19990402
	CA 2337258	AA	20000120	CA 1999-2337258	19990705
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				FR 1999-4133	A 19990402
				WO 1999-FR1610 W	19990705
	AU 9946223	A1	20000201	AU 1999-46223	19990705
	AU 756221	B2	20030109		
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
				WO 1999-FR1610 W	19990705
	EP 1095020	A1	20010502	EP 1999-929395	19990705
	R:				
				AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,	
				IE, SI, LT, LV, FI, RO	
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
				WO 1999-FR1610 W	19990705
	JP 2002520317	T2	20020709	JP 2000-559091	19990705
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
				WO 1999-FR1610 W	19990705
	TW 509677	B	20021111	TW 1999-88111513	19990818
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
				NO 2001-31	20010103
	NO 2001000031	A	20010105	FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
				WO 1999-FR1610 W	19990705
OS	MARPAT 132:93214				
GI					



AB RZZ1Z2NH2 [I; R = free-radical scavenging moiety, e.g., (un)substituted Ph, -naphthyl, 2,5,7,8-tetramethyl-6-hydroxy- or -alkoxy-2H-1-benzopyran-2-yl, etc.; Z = NHCO, COZ3, etc.; Z1 = alk(en)ylene, (CH2)nNR13(CH2)p, etc.; R13 = H or alkyl; Z2 = (un)substituted pyridine-m,6-diyl; Z3 = (homo)piperazinediyl; m = 2-5; n,p = 0-6] were prepd. Thus, 6-(2,5-dimethylpyrrolo)-4-methyl-2-pyridinepentanoic acid was amidated by 4-amino-2,6-bis(1,1-dimethylethyl)phenol (prepn. each given) and the product deprotected to give title compd. II. Data for biol. activity of I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:511140 CAPLUS

DN 131:157771

TI Preparation of five-membered, benzo-condensed heterocycles as antithrombotics

IN Ries, Uwe; Huel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer

PA Boehringer Ingelheim Pharma Kg, Germany

SO PCT Int. Appl., 250 pp.

CODEN: PIXXD2

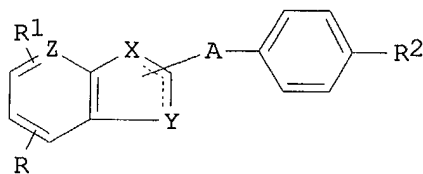
DT Patent

LA German

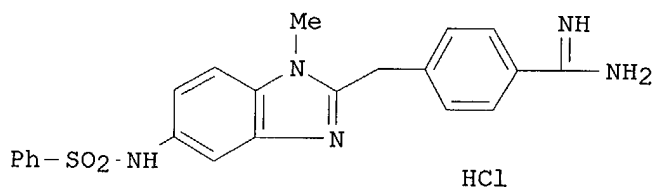
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940072	A1	19990812	WO 1999-EP537	19990128
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			DE 1998-19804085A	19980203
			DE 1998-19834325A	19980730
DE 19804085	A1	19990805	DE 1998-19804085	19980203
DE 19834325	A1	20000217	DE 1998-19834325	19980730
CA 2319494	AA	19990812	CA 1999-2319494	19990128
			DE 1998-19804085A	19980203
			DE 1998-19834325A	19980730
			WO 1999-EP537	W 19990128

AU 9927201	A1	19990823	AU 1999-27201	19990128
			DE 1998-19804085A	19980203
			DE 1998-19834325A	19980730
			WO 1999-EP537 W	19990128
EP 1060166	A1	20001220	EP 1999-907437	19990128
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			DE 1998-19804085A	19980203
			DE 1998-19834325A	19980730
			WO 1999-EP537 W	19990128
JP 2002502844	T2	20020129	JP 2000-530502	19990128
			DE 1998-19804085A	19980203
			DE 1998-19834325A	19980730
			WO 1999-EP537 W	19990128
PATENT FAMILY INFORMATION:				
FAN 1999:505930				
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	DE 19804085	A1	19990805	DE 1998-19804085 19980203
	CA 2319494	AA	19990812	CA 1999-2319494 19990128
				DE 1998-19804085A 19980203
				DE 1998-19834325A 19980730
				WO 1999-EP537 W 19990128
WO 9940072	A1	19990812	WO 1999-EP537	19990128
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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				DE 1998-19804085A 19980203
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				WO 1999-EP537 W 19990128
JP 2002502844	T2	20020129	JP 2000-530502	19990128
			DE 1998-19804085A	19980203
			DE 1998-19834325A	19980730
			WO 1999-EP537 W	19990128
US 6114532	A	20000905	US 1999-243200	19990202
			DE 1998-19804085A	19980203
			US 1998-77694P P	19980312
			DE 1998-19834325A	19980730
OS	MARPAT 131:157771			
GI				



I



HCl

II

AB Title compds. [I; R = 5-C₆H₅SO₂NH, 6-C₆H₅SO₂NH, 5-C₆H₅NHSO₂, 5-C₆H₅SO₂N(CH₂COOEt), 5-C₆H₅SO₂N(CH₃), 5-C₆H₅N(CH₂CH₂CH₂COOEt)CO, 5-C₆H₅, CH₃N(C₆H₅)CO, 8; R₁ = H, 7-CH₃, 3-Br, 3-EtO; R₂ = C(:NH)NH₂; A = CH₂, NH; X = CH, MeN, EtOCOCH₂CH₂N, O, S, NCH₂CO₂H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2, or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or org. acids or bases are prepd. and title compds in which R₂ is a cyano group, present valuable intermediate products for the prodn. of the remaining compds. of the general formula I, with R₂ is amidino, which have valuable pharmacol. properties, esp. an antithrombotic activity. Thus, the title compd. II was prepd.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:421639 CAPLUS

DN 131:58657

TI Thiourea and benzamide compounds, compositions and methods of treating or preventing inflammatory diseases and atherosclerosis

IN Connor, David Thomas; Roark, William Howard; Sexton, Karen; Sorenson, Roderick Joseph

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 226 pp.

CODEN: PIXXD2

DT Patent

LA English

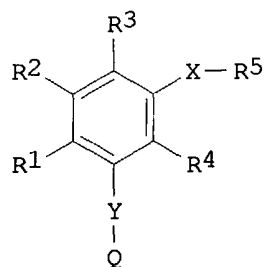
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932433	A1	19990701	WO 1998-US24688	19981120
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	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,			

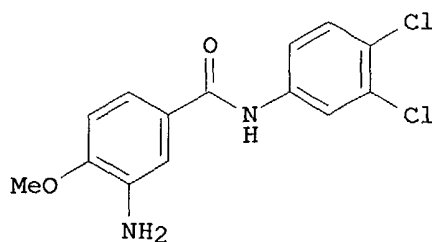
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2300197	AA	19990701	US 1997-68604P P 19971223
			CA 1998-2300197 19981120
			US 1997-68604P P 19971223
AU 9915297	A1	19990712	WO 1998-US24688W 19981120
			AU 1999-15297 19981120
			US 1997-68604P P 19971223
BR 9814327	A	20001003	WO 1998-US24688W 19981120
			BR 1998-14327 19981120
			US 1997-68604P P 19971223
			WO 1998-US24688W 19981120
EP 1042276	A1	20001011	EP 1998-959510 19981120
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			US 1997-68604P P 19971223
			WO 1998-US24688W 19981120
JP 2001526255	T2	20011218	JP 2000-525370 19981120
			US 1997-68604P P 19971223
			WO 1998-US24688W 19981120
NZ 502963	A	20020628	NZ 1998-502963 19981120
			US 1997-68604P P 19971223
			WO 1998-US24688W 19981120
ZA 9811805	A	19990629	ZA 1998-11805 19981222
			US 1997-68604P P 19971223
MX 200001870	A	20001109	MX 2000-1870 20000223
			US 1997-68604P P 19971223
			WO 1998-US24688W 19981120
US 6268387	B1	20010731	US 2000-529135 20000405
			US 1997-68604P P 19971223
			WO 1998-US24688W 19981120
US 2001031874	A1	20011018	US 2001-858089 20010515
US 6528528	B2	20030304	
			US 1997-68604P P 19971223
			WO 1998-US24688W 19981120
			US 2000-529135 A320000405

OS MARPAT 131:58657
GI



I



II

AB The invention provides compds. I [X = NH, O, S, NHC(:S)NH, CONH, NHCO, (CH₂)_n, etc., or their alkyl derivs.; n = 0-3; Y = NH, CONH, NHCO, CH₂CH₂, NHSO₂, etc., or their alkyl derivs.; Q = alkyl, (un)substituted Ph or heteroaryl, (di)(alkyl)amino, or cycloalkyl; R¹-R⁴ = H, alkoxy, alkyl, halo, OH, CF₃, cyano, (un)substituted (hetero)aryl, etc.; R⁵ = H, alkyl,

(un)substituted heteroaryl, naphthyl, benzyl, or dansyl; with several provisos]. The invention also provides methods of treating or preventing inflammation or atherosclerosis, and a pharmaceutical compn. that contains a compd. I. The compds. are inhibitors of 15-lipoxygenase (15-LO), and act as inhibitors of the chemotaxis of monocytes. Approx. 280 synthetic examples are given. For instance, amidation of 3-nitro-4-methoxybenzoic acid with 3,4-dichloroaniline using oxalyl chloride and DMF catalyst in THF/CH₂Cl₂ mixt., followed by hydrogenation over Raney Ni, gave title compd. II. The latter had an IC₅₀ of 10 nM against human 15-LO in vitro.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:325902 CAPLUS

DN **130:352546**

TI Preparation of amides containing leucine or methionine for inhibition of the interaction of vascular cell-adhesion molecule-1 (VCAM-1) and fibronectin with integrin very late antigen 4 (.alpha.4.beta.1)

IN Brittain, David Robert; Johnstone, Craig

PA Zeneca Limited, UK

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924398	A2	19990520	WO 1998-GB3334	19981109
	WO 9924398	A3	19990805		
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				GB 1997-23789	A 19971112
	CA 2308716	AA	19990520	CA 1998-2308716	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	AU 9910420	A1	19990531	AU 1999-10420	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	EP 1030835	A2	20000830	EP 1998-952872	19981109
	EP 1030835	B1	20030122		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	JP 2001522831	T2	20011120	JP 2000-520412	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	AT 231488	E	20030215	AT 1998-952872	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	ZA 9810330	A	19990512	ZA 1998-10330	19981111

NO 2000002158	A	20000711	GB 1997-23789	A 19971112
			NO 2000-2158	20000427
			GB 1997-23789	A 19971112
US 6344570	B1	20020205	WO 1998-GB3334	W 19981109
			US 2000-554224	20000711
			GB 1997-23789	A 19971112
			WO 1998-GB3334	W 19981109

OS MARPAT 130:352546
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = II (in the para or meta position); R2, R3 = H, NO₂, alkyl, etc.; R2 and R3 together with the Ph to which they are attached form a 9-10 membered bicyclic ring system; R4 = alkyl; R5 = H, alkyl; R6 = alkyl, alkylcycloalkyl, alkylalkoxyl, etc.; R7 = alkyl, alkoxycarbonyl, alkenyl, etc.; R8 = (un)substituted aryl, heteroaryl, bicyclic heteroaryl ring system linked to the nitrogen via a ring carbon, etc.; R9, R10 = H, alkyl; NR8R9 = dihydroindolyl, dihydroquinolyl; R11 = CO₂H, tetrazolyl, alkyl sulfonylcarbonyl, sulfo, sulfinyl; Y = O, S, SO₂; m = 0-1; n = 0-4; with the proviso that when m and n cannot both be 0 and when m = 1, n = 0] and their pharmaceutically acceptable salts, useful in the treatment of multiple sclerosis, rheumatoid arthritis, asthma, coronary artery disease and psoriasis, were prepd. E.g., a multi-step synthesis of amide III was given. Compds. I are effective at 0.1-15 mg/kg/day.

L3 ANSWER 42 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:311177 CAPLUS

DN **130:352091**

TI Preparation of ureidophenylacetanilides and analogs as integrin-mediated cell adhesion inhibitors

IN Astles, Peter Charles; Clark, David Edward; Collis, Alan John; Cox, Paul Joseph; Eastwood, Paul Joseph; Harris, Neil Victor; Lai, Justine Yeun Quai; Morley, Andrew David; Porter, Barry

PA Rhone-Poulenc Rorer Limited, UK

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9923063	A1	19990514	WO 1998-GB3294	19981102
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
	DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,				
	KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,				
	MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,				
	TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				
	CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				GB 1997-23072	A 19971031
				US 1997-69695P	P 19971216

CA 2303848	AA	19990514	GB 1998-14276 A 19980701 US 1998-104287PP 19981014 CA 1998-2303848 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 AU 1998-97550 19981102
AU 9897550	A1	19990524	
AU 748041	B2	20020530	GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 ZA 1998-10004 19981102 GB 1997-23072 A 19971031 EP 1998-951596 19981102
ZA 9810004	A	20000502	
EP 1027328	A1	20000816	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO			GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 BR 1998-13331 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 JP 2000-518939 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 NZ 1998-503407 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 US 2000-558812 20000426 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 A119981102 NO 2000-2276 20000428 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102
BR 9813331	A	20000822	
JP 2001521921	T2	20011113	
NZ 503407	A	20020828	
US 6479519	B1	20021112	
NO 2000002276	A	20000525	

OS MARPAT 130:352091
AB R1NHCONHR2 [I; R1 = (un)substituted Ph or -2-pyridyl; R2 = ZZ1Z2Z3R; R =

CO₂H, CONY₁Y₂, etc.; Y₁,Y₂ = H, (cyclo)alk(en)ylene, (hetero)aryl, etc.; NY₁Y₂ = heterocyclyl; Z = (un)substituted phenylene, -pyridinediyl, -pyrimidinediyl, etc.; Z₁ = CH₂CONR₄, etc.; R₄ = H or alkyl; Z₂ = (hetero)arylene; Z₃ = (un)substituted alkylene, etc.], which regulate interaction of VCAM-1 and fibronectin with integrin .alpha.4.beta.1, were prepd. Thus, (R)-2-MeC₆H₄NHCONHZCH₂CONHZ₂CH(NHSO₂Me)CH₂CO₂H (Z = 2-methoxy-1,4-phenylene, Z₂ = 1,4-phenylene) was prepd. in 9 steps from 2-nitroanisole. Data for biol. activity of I were given.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:34888 CAPLUS
DN **130:66491**
TI Preparation of urea derivatives as inhibitors of p38
IN Salituro, Francesco Gerald; Bemis, Guy W.; Green, Jeremy; Kofron, James L.
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900357	A1	19990107	WO 1998-US13496	19980629
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6093742	A	20000725	US 1997-884160 A	19970627
	AU 9883776	A1	19990119	AU 1998-83776	19980629
				US 1997-884160 A	19970627
				WO 1998-US13496W	19980629
	EP 993441	A1	20000419	EP 1998-934195	19980629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1997-884160 A	19970627
				WO 1998-US13496W	19980629

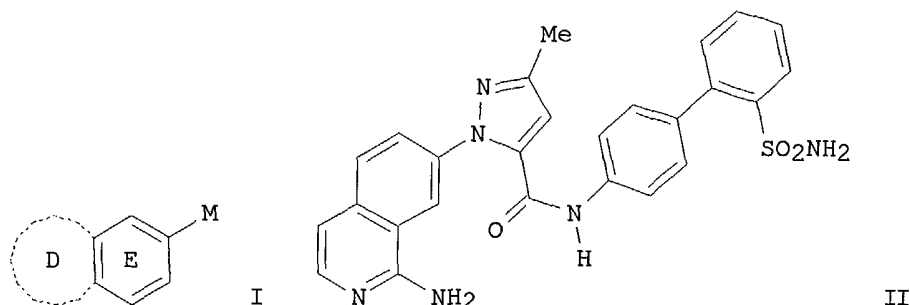
OS MARPAT 130:66491
AB The title compds. WX₁C(:Y)X₂Z [W = (un)substituted satd., partially satd. or arom. monocyclic or bicyclic ring system optionally comprising up to 4 heteroatoms; Y = O, etc.; X₁, X₂ = O, S, etc.; Z = cycloalkyl, etc.] are prepd. Compds. of this invention are inhibitors of p38, a mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. In in vitro assays for inhibition of phosphorylation of EGF receptor peptide, compds. of this invention showed IC₅₀ values of 0.14 .mu.M to 19 .mu.M.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 44 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:9833 CAPLUS

DN **130:66494**
 TI Preparation of novel guanidine mimics as factor Xa inhibitors
 IN Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew;
 Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell;
 Quan, Mimi Lifan
 PA The Du Pont Merck Pharmaceutical Company, USA
 SO PCT Int. Appl., 268 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857951	A1	19981223	WO 1998-US12680	19980618
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1997-878884 A	19970619
	ZA 9805247	A	19991217	ZA 1998-5247	19980617
				US 1997-878884 A	19970619
	AU 9879768	A1	19990104	AU 1998-79768	19980618
	AU 756755	B2	20030123		
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	EP 991638	A1	20000412	EP 1998-930361	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	BR 9810137	A	20000808	BR 1998-10137	19980618
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	EE 9900583	A	20000815	EE 1999-583	19980618
	EE 4153	B1	20031015		
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	JP 2002505686	T2	20020219	JP 1999-504785	19980618
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	NZ 502370	A	20021025	NZ 1998-502370	19980618
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	NO 9905965	A	19991203	NO 1999-5965	19991203
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	MX 9911908	A	20000531	MX 1999-11908	19991216
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	LV 12496	B	20010120	LV 1999-178	19991216
				US 1997-878884 A	19970619
	LT 4705	B	20000925	LT 1999-147	19991217
				US 1997-878884 A	19970619
OS	MARPAT 130:66494				
GI					



AB The title compds. [I; rings D-E represent guanidine mimics; ring D = CH₂N:CH, CH₂CH₂N:CH, a 5-6 membered arom. system contg. 0-2 heteroatoms selected from the group N, O, and S; ring E is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, C1-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a Ki of .ltoreq. 15 .mu.M against factor Xa.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 45 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:9820 CAPLUS

DN 130:81510

TI Preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors

IN Galemno, Robert Anthony, Jr.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Lam, Patrick Yuk-sun; Pinto, Donald Joseph Philip; Pruitt, James Russell; Quan, Mimi Lifan

PA The Du Pont Merck Pharmaceutical Company, USA

SO PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DT Patent

LA English

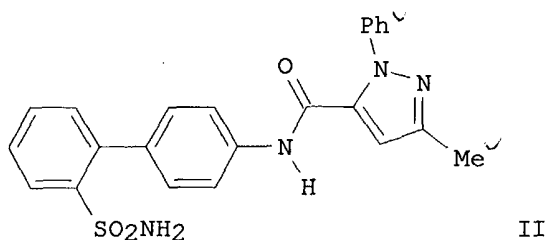
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857937	A2	19981223	WO 1998-US12681	19980618
WO 9857937	A3	19990318		
W:				
RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
			US 1997-50219P P	19970619
			US 1997-878885 A	19970619
			US 1998-76691P P	19980227
ZA 9805251	A	19991217	ZA 1998-5251	19980617
			US 1997-878885 A	19970619
AU 9881503	A1	19990104	AU 1998-81503	19980618
			US 1997-878885 A	19970619

US 5998424	A	19991207	US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
			US 1998-99752 19980618
			US 1997-50219P P 19970619
			US 1998-76691P P 19980227
EP 991625	A2	20000412	EP 1998-931355 19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
BR 9810151	A	20000808	US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
			BR 1998-10151 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
EE 9900584	A	20000815	EE 1999-584 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
SI 20208	C	20001031	SI 1998-20043 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
JP 2002507968	T2	20020312	JP 1999-504786 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
US 6403620	B1	20020611	US 1999-393782 19990910
			US 1998-99752 A319980618
LV 12516	B	20010320	LV 1999-177 19991216
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
NO 9906316	A	19991217	NO 1999-6316 19991217
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
LT 4702	B	20000925	LT 1999-146 19991217
			US 1997-878885 A 19970619
US 2003092740	A1	20030515	US 2002-150698 20020516
US 6602895	B2	20030805	

US 1997-50219P P 19970619
US 1998-76691P P 19980227
US 1998-99752 A319980618
US 1999-393782 A319990910

OS MARPAT 130:81510
GI



II

AB EZ1M [I; E = halo, OH, alkyl, aloxy, etc.; M = Z2ZAB; A = (un)substituted carbocyclylene, -heterocyclylene; B = H, Y, XY; X = alkylene, CO, O, (un)substituted NH, etc.; Y = amino(alkyl), substituted carbocyclyl, -heterocyclyl, etc.; Z = bond, (heteroatom- or functional group-interrupted) alkylene, etc.; Z1 = (un)substituted Ph, Z2 = N-contg. heteroarylene, etc.] were prepd. Thus, MeCOCH2C(:NOMe)CO2Et was cyclocondensed with PhNHNH2 and the product amidated by 4-(H2N)C6H4C6H4(SO2NHCM3)-2 to give, after deprotection, title compd. II. Data for biol. activity of I were given.

L3 ANSWER 46 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:394328 CAPLUS

DN 129:67773

TI Preparation of benzamide derivatives having a vasopressin antagonistic activity

IN Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo

SO PCT Int. Appl., 332 pp.

CODEN: PIXXD2

DT Patent

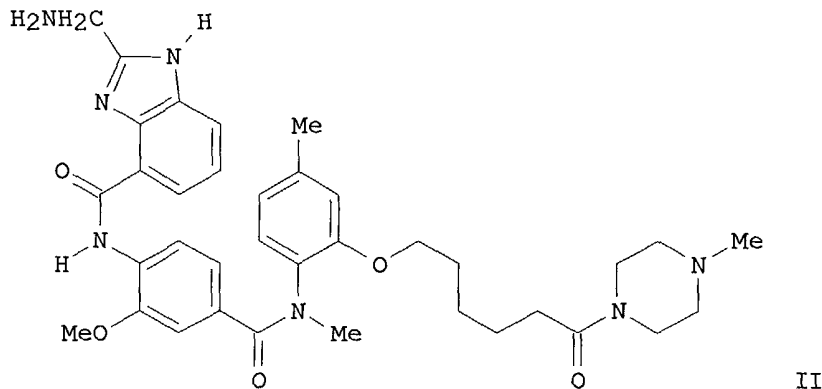
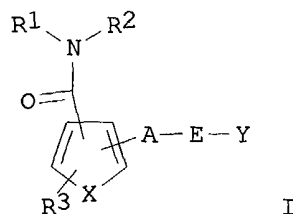
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824771	A1	19980611	WO 1997-JP4192	19971118
	W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9749672	A1	19980629	AU 1996-3953	A 19961202
				AU 1997-49672	19971118
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	EP 946519	A1	19991006	EP 1997-912493	19971118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	JP 2001505193	T2	20010417	JP 1998-521225	19971118
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	US 6207693	B1	20010327	US 1999-308662	19990602
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	US 6316482	B1	20011113	US 2000-614132	20000711
				AU 1996-3953	A 19961202
				US 1999-308662	A319990602

OS MARPAT 129:67773

GI



AB The title compds. [I; R1 = (un)substituted aryl, cyclo(lower)alkyl, heterocyclyl; R2 = H, lower alkyl, etc.; R3 = H, halo, OH, etc.; A = a single bond, O, NH; E = lower alkylene, lower alkenylene, etc.; X = CH:CH, CH:N, S; Y = (un)substituted aryl, condensed heterocyclyl, etc.] and their pharmaceutically acceptable salts, useful in treatment and/or prevention of hypertension, heart failure, renal insufficiency, edema, ascites, vasopressin parasecretion syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic, circulation disorder, cerebrovascular disease, Meniere's disease or motion sickness, were prepd. Thus, the title compd. II showed IC50 of 1.5 nM against vasopressin 1 receptor binding.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 47 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:42277 CAPLUS

DN 128:110886

TI Phenylurea IL-8 receptor antagonists, preparation thereof, and therapeutic use

IN Widdowson, Katherine L.

PA Smithkline Beecham Corp., USA; Widdowson, Katherine L.

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9749399	A1	19971231	WO 1997-US10904	19970624
	W: JP, US				

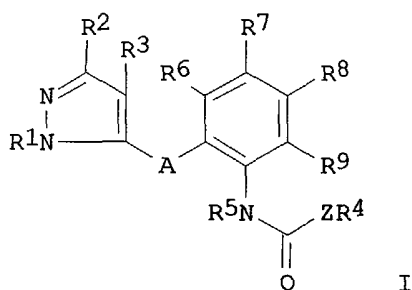
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 US 1996-22000P P 19960627
 EP 907362 A1 19990414 EP 1997-930205 19970624
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 US 1996-22000P P 19960627
 WO 1997-US10904W 19970624
 JP 2000513359 T2 20001010 JP 1998-503449 19970624
 US 1996-22000P P 19960627
 WO 1997-US10904W 19970624

OS MARPAT 128:110886
 AB Phenylurea derivs. (Markush included) are provided for the treatment of
 disease states mediated by the chemokine, Interleukin-8 (IL-8). Prepn. of
 e.g. N-(2-hydroxy-4-nitrophenyl)-N'-(2-pyridyl)urea is described.
 Diseases treatable by the compds. of the invention include e.g. psoriasis,
 asthma, chronic obstructive pulmonary disease, stroke, and Alzheimer's
 disease.

L3 ANSWER 48 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1998:1292 CAPLUS
 DN **128:71993**
 TI Preparation of herbicidal pyrazole derivatives
 IN Mathews, Christopher John; Baker, Don Robert
 PA Zeneca Ltd., UK
 SO U.S., 21 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5698495	A	19971216	US 1996-742010	19961031
	US 5786302	A	19980728	US 1997-905749	19970804
				US 1996-742010	19961031

OS MARPAT 128:71993
 GI



AB The pyrazole derivs. I [R1 = (un)substituted alkyl or haloalkyl; R2 = R1, (un)substituted cycloalkyl; R3 = H, halo, alkyl or haloalkyl; R4 = (un)substituted alkyl, haloalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl or alkoxyalkyl; R6-9 = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; A = O, S, SO or SO2; Z = S or bond] are prep'd. as herbicides.

L3 ANSWER 49 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:684401 CAPLUS

DN 127:346304

TI Preparation of pyridinioarylcarbamoyleindoline derivatives as serotonin receptor antagonists.

IN Bromidge, Steven Mark

PA Smithkline Beecham Plc, UK; Bromidge, Steven Mark

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9737989	A1	19971016	WO 1997-EP1611	19970326
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1996-7219	A 19960404
EP	891348	A1	19990120	EP 1997-915465	19970326
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
				GB 1996-7219	A 19960404
				WO 1997-EP1611	W 19970326
JP	2001508399	T2	20010626	JP 1997-535805	19970326
				WO 1997-EP1611	W 19970326
US	6028085	A	20000222	US 1998-155589	19980930
				GB 1996-7219	A 19960404
				WO 1997-EP1611	W 19970326

OS MARPAT 127:346304

AB (R1)nP1A[P2(R2)m]NR3COR4 [R1, R2 = H, (substituted) alkyl; R3 = H, alkyl; R4 = (substituted) N-bonded bicycloheterocyclyl, aminopyrazinyl, aminopyridinyl, aminophenyl, etc.; P1, P2 = Ph, heterocyclyl contg. a quaternary N atom; A = bond, chain of 1-5 atoms (substituted) phenylene, heterocyclylene; n, m = 0-2], were prepd. as 5-HT2B/5-HT2C antagonists with increased soly./activity (no data). Thus, 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-(pyridin-3-yl)phenylcarbamoyle]indoline in MeCN was treated with sodium tetraphenylboron and bromomethyl acetate followed by 4 h reflux to give a tetraphenylborate salt which was subjected to ion exchange to give 100% 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-[1-(acetyloxy)methylpyridinium-3-yl]phenylcarbamoyle]indoline chloride.

L3 ANSWER 50 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:618728 CAPLUS

DN 127:278147

TI Preparation of pyridylurea derivatives as antitumor and antiviral agents

IN Shudo, Koichi; Fukutomi, Ryuta

PA Shudo Koichi, Japan; Nisshin Flour Milling Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

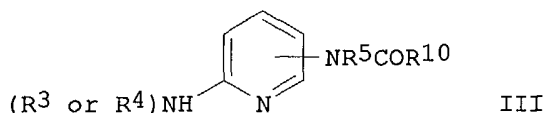
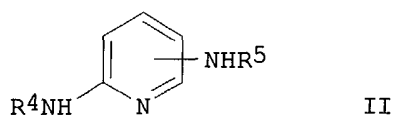
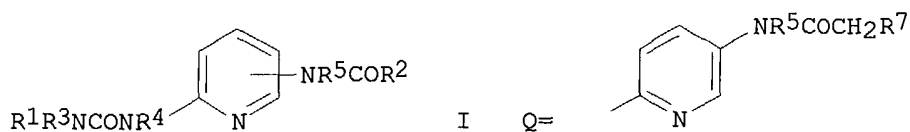
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09241243	A2	19970916	JP 1996-46086	19960304
				JP 1996-46086	19960304

OS CASREACT 127:278147; MARPAT 127:278147
GI



AB The title derivs. I [R1 = C6H4C(:NH)NH2-4, C6H4R7-4, Q; R2 = NR1R6, CH2R7; R7 = N(R8)2, N+R83; R3-R6, R8 = H, C1-6 alkyl] and their pharmaceutically acceptable salts, useful as antitumor and antiviral agents, are prepd. by treatment of R9R3NCOC1 (R9 = C6H4CN-4, C6H4Y-4; Y = protected amino) or PhOCONR3R9 with diaminopyridines II followed by conversion of cyano group to guanyl group when R9 = C6H4CN-4 or deprotection of amino group and N-alkylation when R9 = C6H4Y-4. I are also prepd. by condensation of R9NHR3 with diaminopyridines III (R10 = protected aminomethyl) through CO group in the presence of carbonyldiimidazole or by autocondensation of III through CO group in the presence of carbonyldiimidazole followed by conversion of cyano group to guanyl group when R9 = C6H4CN-4 or deprotection of amino group and N-alkylation when R9 = C6H4Y-4 and removal of protective group of R10. N,N'-dimethyl-2,6-diaminopyridine (prepn. given) was treated with 4-(N-phenoxy carbonyl)aminobenzonitrile (prepn. given) in DMSO at 100-110.degree. for 36 h to give N,N'-dimethyl-N,N'-bis[(N-4-cyanophenyl)aminocarbonyl]-2,6-diaminopyridine, which in MeOH was bubbled with HCl at 0.degree. and the resulting product in MeOH was bubbled with NH3 at 0.degree. to give N,N'-dimethyl-N,N'-bis[(N-4-amidinophenyl)aminocarbonyl]-2,6-diaminopyridine hydrochloride (IV). IV showed 57% binding rate for DNA derived from calf thymus, which was comparable to or greater than that of netropsin.

L3 ANSWER 51 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:394295 CAPLUS

DN 127:5010

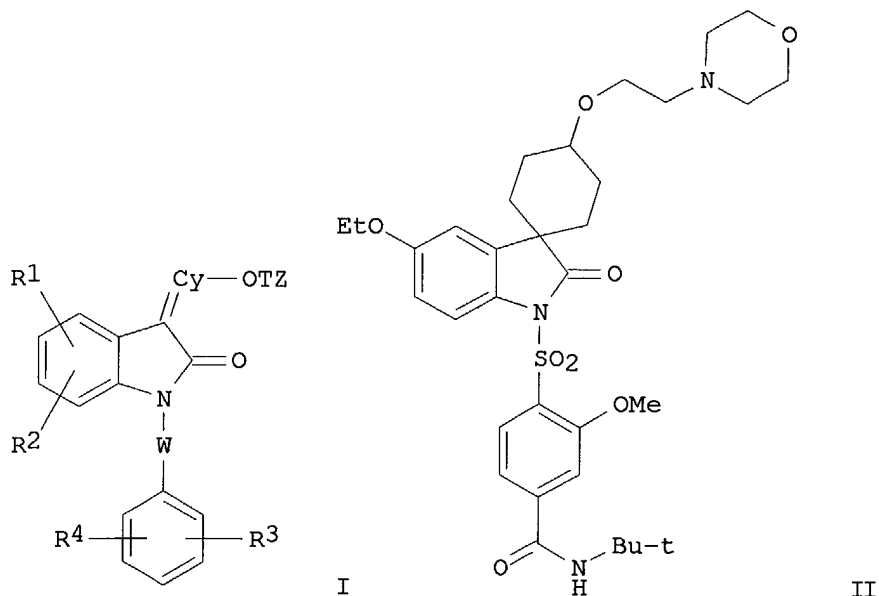
TI 3-Spiroindolin-2-one derivatives as vasopressin and/or oxytocin receptor ligands

IN Foulon, Loic; Garcia, Georges; Serradeil-Le Gal, Claudine; Valette, Gerard
PA Sanofi, Fr.; Foulon, Loic; Garcia, Georges; Serradeil-Le Gal, Claudine;

Valette, Gerard
 SO PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9715556	A1	19970501	WO 1996-FR1666	19961024
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG			
	FR 2740136	A1	19970425	FR 1995-12533	A 19951024
	FR 2740136	B1	19980109	FR 1995-12533	19951024
	TW 474917	B	20020201	TW 1996-85112955	19961022
				FR 1995-12533	A 19951024
	IN 185328	A	20001230	IN 1996-DE2288	19961023
				FR 1995-12533	A 19951024
	CA 2235686	AA	19970501	CA 1996-2235686	19961024
				FR 1995-12533	A 19951024
	AU 9673080	A1	19970515	AU 1996-73080	19961024
	AU 715841	B2	20000210		
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	ZA 9608945	A	19970529	ZA 1996-8945	19961024
				FR 1995-12533	A 19951024
	EP 873309	A1	19981028	EP 1996-934967	19961024
	EP 873309	B1	20021218		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	CN 1202886	A	19981223	CN 1996-198579	19961024
	CN 1106384	B	20030423		
				FR 1995-12533	A 19951024
	BR 9611198	A	19990406	BR 1996-11198	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	JP 11509232	T2	19990817	JP 1996-516363	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	NZ 320352	A	20000128	NZ 1996-320352	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	RU 2167864	C2	20010527	RU 1998-109945	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	JP 2001302631	A2	20011031	JP 2001-75467	19961024
				FR 1995-12533	A 19951024
				JP 1997-516363	A3 19961024
	JP 3274471	B2	20020415	JP 1997-516363	19961024
				FR 1995-12533	A 19951024

IL 124002	A1	20021110	IL 1996-124002	19961024
			FR 1995-12533	A 19951024
AT 229940	E	20030115	WO 1996-FR1666	W 19961024
			AT 1996-934967	19961024
ES 2191769	T3	20030916	FR 1995-12533	A 19951024
			WO 1996-FR1666	W 19961024
US 5994350	A	19991130	ES 1996-934967	19961024
			FR 1995-12533	A 19951024
NO 9801817	A	19980423	US 1998-51900	19980417
			FR 1995-12533	A 19951024
HK 1016596	A1	20030404	WO 1996-FR1666	W 19961024
			NO 1998-1817	19980423
US 6046341	A	20000404	FR 1995-12533	A 19951024
			WO 1996-FR1666	W 19961024
OS MARPAT 127:5010			HK 1999-101588	19990414
GI			FR 1995-12533	A 19951024
			WO 1996-FR1666	W 19961024
			US 1999-417190	19991012
			FR 1995-12533	A 19951024



AB Indolin-2-one derivs. I [W = CH₂ or SO₂; Cy = atoms to form spirocyclic (un)satd. non-arom. C₃-12 hydrocarbon ring optionally fused or substituted by .gtoreq. 1 C₁-7 alkyl or by C₃-6 spirocycloalkyl; T = C₁-4 alkylene optionally interrupted by C₃-6 cycloalkylene, said alkylenes optionally substituted by C₁-3 alkyl, or T = direct bond; Z = particularly amino; R₁-R₄ = H or substituents, e.g. halo, alkyl, etc.] and their salts are claimed. The compds. may be used in drugs having vasopressin and/or oxytocin receptor affinity. For example, 5-ethoxy-3-spiro[4-(2-

chloroethoxy)cyclohexane]indolin-2-one (mixed isomers, prepn. given) was treated with KOBu-tert and 4-(N-tert-butylcarbonyl)-2-methoxybenzenesulfonyl chloride to give the corresponding 1-sulfonylated deriv., which then reacted with morpholine and NaI in DMF at 60.degree. to give 2 isomers of title compd. II. I had IC50 values of 10⁻⁵ to 10⁻⁹ M for inhibition of binding of tritiated arginine-vasopressin to rat mammary vasopressin receptors in vitro. Diuretic effects of I in rats showed them to be strong V2 antagonists.

L3 ANSWER 52 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:287158 CAPLUS

DN **126:349686**

TI Color photographic element containing yellow colored magenta masking coupler

IN Kapp, Daniel L.; Younathan, Janet N.; Ross, Robert J.; Merrill, James P.

PA Eastman Kodak Company, USA

SO U.S., 23 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5622818	A	19970422	US 1995-564515	19951129
				US 1995-564515	19951129

OS MARPAT 126:349686

AB A multilayer silver halide color photog. element comprising a support bearing a light-sensitive silver halide emulsion layer and a non-diffusible yellow-colored magenta masking coupler wherein the masking coupler is a 2'-hydroxy-5'-substituted-4-phenylazo-5-pyrazolone. The masking coupler has good coupling activity and desirable hues and can be obtained in good yields by simple syntheses.

L3 ANSWER 53 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:596172 CAPLUS

DN **125:247613**

TI Preparation of indolines as 5-HT2B/2C receptor antagonists

IN Gaster, Laramie Mary; Wyman, Paul Adrian; Mulholland, Keith Raymond; Davies, David Thomas; Duckworth, David Malcom; Forbes, Ian Thomson; Jones, Graham Elgin

PA Smithkline Beecham Plc, UK

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

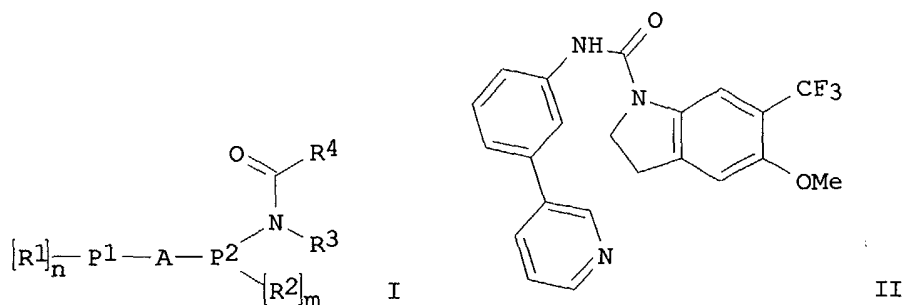
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9623783	A1	19960808	WO 1996-EP368	19960126
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
				GB 1995-2052	A 19950202
				GB 1995-8327	A 19950425

CA 2212061	AA	19960808	GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
			CA 1996-2212061		19960126
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
AU 9646646	A1	19960821	AU 1996-46646		19960126
AU 699727	B2	19981210			
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
BR 9607016	A	19971028	WO 1996-EP368	W	19960126
			BR 1996-7016		19960126
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
EP 808312	A1	19971126	WO 1996-EP368	W	19960126
EP 808312	B1	20001102	EP 1996-902259		19960126
			R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI		
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
CN 1179156	A	19980415	WO 1996-EP368	W	19960126
			CN 1996-192777		19960126
JP 10513442	T2	19981222	GB 1995-2052	A	19950202
			JP 1996-523247		19960126
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
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RO 115522	B3	20000330	WO 1996-EP368	W	19960126
			RO 1997-1439		19960126
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			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
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			WO 1996-EP368	W	19960126

AT 197300	E	20001115	AT 1996-902259	19960126
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
ES 2151652	T3	20010101	ES 1996-902259	19960126
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-18574	A 19950912
PT 808312	T	20010330	PT 1996-96902259	19960126
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
PL 184490	B1	20021129	PL 1996-321706	19960126
			GB 1995-2052	A 19950202
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			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
ZA 9600758	A1	19970930	ZA 1996-758	19960131
IL 116998	A1	20010808	GB 1995-2052	A 19950202
			IL 1996-116998	19960201
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
FI 9703205	A	19971001	FI 1997-3205	19970801
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
NO 9703543	A	19971001	NO 1997-3543	19970801
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
US 5990133	A	19991123	US 1997-875506	19971016
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425

			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
HK 1003883	A1	20010831	HK 1998-103018	19980409
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
US 6235758	B1	20010522	US 1999-359606	19990723
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			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
US 2003105139	A1	20030605	US 1997-875506	A319971016
US 6638953	B2	20031028	US 2001-767245	20010122
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
			WO 1996-EP368	W 19960126
			US 1997-875506	A319971016
			US 1999-359606	A319990723

OS CASREACT 125:247613; MARPAT 125:247613
GI



AB The title compds. [I; P1, P2 = Ph, arom. or partially satd. monocyclic or bicyclic heterocyclic ring; A = bond, (substituted) C1-5 alkylene, etc.; R1, R2 = H, (substituted) C1-6 alkyl, C2-6 alkenyl, etc.; R3 = H, C1-6 alkyl; R4 = 1-indolinyl, etc.; n, m = 0-2], useful in the treatment of CNS disorders such as anxiety, were prepd. Thus, treatment of 3-(3-pyridyl)aniline with 1,1-dicarbonyldiimidazole in CH2Cl2 followed by

reaction of the intermediate with 5-methoxy-6-trifluoromethylindoline in DMF afforded 85% the indoline II which showed pKi of 5.8-9.7 against [3H]-mesulergine binding to rat or human 5-HT2C clones expressed in 293 cells in vitro.

L3 ANSWER 54 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1996:467112 CAPLUS
 DN **125:114503**
 TI Substituted 2-acylamino-pyridines as inhibitors of nitric oxide synthase
 IN Guthikonda, Ravindra K.; Hagmann, William K.; Maccoss, Malcolm; Shah, Shrenik K.; Durette, Philippe L.
 PA Merck and Co., Inc., USA
 SO PCT Int. Appl., 79 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9618617	A1	19960620	WO 1995-US16158	19951208
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9645158	A1	19960703	US 1994-353859	19941212
				AU 1996-45158	19951208
				US 1994-353859	19941212
	US 5908842	A	19990601	WO 1995-US16158	19951208
				US 1997-836863	19970520
				WO 1995-US16158	19951208
OS	MARPAT 125:114503				
AB	Substituted 2-acylaminopyridine compds. and pharmaceutically acceptable salts were prepd. which were found useful in the treatment of nitric oxide synthase mediated diseases and disorders.				

L3 ANSWER 55 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1996:446514 CAPLUS
 DN **125:114487**
 TI CNS-Active pyridinylurea derivatives
 IN Forbes, Ian Thomson; Jones, Graham Elgin
 PA Smithkline Beecham P.L.C., UK
 SO PCT Int. Appl., 24 pp.
 CODEN: PIXXD2

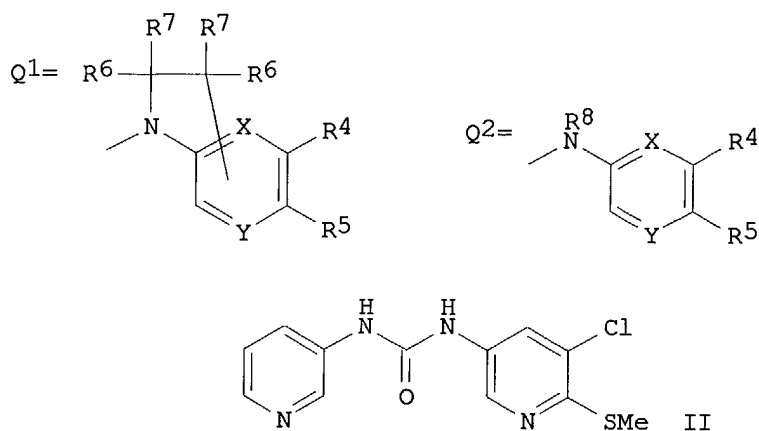
DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9611930	A1	19960425	WO 1995-EP3944	19951005
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 788499	A1	19970813	GB 1994-20999	A 19941018
	R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL, SE			EP 1995-934135	19951005
				GB 1994-20999	A 19941018

JP 10508584	T2	19980825	WO 1995-EP3944 W 19951005
			JP 1995-512907 19951005
			GB 1994-20999 A 19941018
US 5866586	A	19990202	WO 1995-EP3944 W 19951005
			US 1997-817580 19970417
			GB 1994-20999 A 19941018
			WO 1995-EP3944 W 19951005

OS MARPAT 125:114487
GI



AB The invention relates to heterocyclic compds. R1-G-N(R2)-CO-R3 [I; G = Ph ring, quinoline or isoquinoline nucleus, or a 5- or 6-membered arom. heterocycle contg. 1-3 heteroatoms (N, O, and/or S); R1 = H, alkyl, alkylthio, cyano, NO2, halo, CF3, amino, etc.; R2 = H, alkyl; R3 = group Q1 or Q2; X = Y = N, or one of X and Y = N and the other = C or CH; R4, R5 = alkyl, alkoxy, OH, halo, NO2, (un)substituted Ph, etc.; or R4R5 forms (un)substituted 5-membered carbo- or heterocyclic ring; R6, R7, R8 = H, alkyl]. Compds. I are 5-HT2C receptor antagonists, and some or all of them are also 5-HT2B antagonists. They are useful in the treatment of a variety of CNS and GI disorders. For example, 5,6-dichloronicotinic acid underwent sulfurization in the 6-position by thiourea (87%) and S,O-dimethylation with MeI (50%) to give Me 3-chloro-2-(methylthio)pyridine-5-carboxylate. This was converted to the corresponding hydrazide (32%) and then the carbonyl azide (72%). The latter was decompd. in refluxing PhMe, and the intermediate isocyanate treated with 3-aminopyridine, to give 85% title compd. II. The three example compds. had pKi of 7.4-8.1 in a test for displacement of [3H]-mesulergine from rat or human 5-HT2C clones, expressed in 293 cells in vitro.

L3 ANSWER 56 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:380210 CAPLUS
DN **125:114681**
TI Pyrimidine derivatives and processes for the preparation thereof
IN Zimmermann, Juerg
PA Ciba-Geigy Corporation, USA

SO U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 42,322, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5521184	A	19960528	US 1994-234889	19940428
				CH 1992-1083	A 19920403
				US 1993-42322	B219930402
				CH 1993-2966	A 19931001
	CA 2148477	AA	19950413	CA 1994-2148477	19940921
				CH 1993-2966	A 19931001

PATENT FAMILY INFORMATION:

FAN 1994:107056

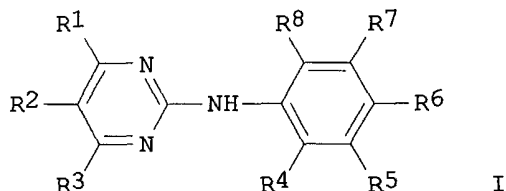
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 564409	A1	19931006	EP 1993-810219	19930325
	EP 564409	B1	20000119		
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				CH 1992-1083	A 19920403
	AT 188964	E	20000215	AT 1993-810219	19930325
				CH 1992-1083	A 19920403
	ES 2142857	T3	20000501	ES 1993-810219	19930325
				CH 1992-1083	A 19920403
	CA 2093203	AA	19931004	CA 1993-2093203	19930401
	CA 2093203	C	20021126		
				CH 1992-1083	A 19920403
	CZ 283944	B6	19980715	CZ 1993-560	19930401
				CH 1992-1083	A 19920403
	RU 2125992	C1	19990210	RU 1993-5357	19930401
				CH 1992-1083	A 19920403
	IL 105264	A1	19990411	IL 1993-105264	19930401
				CH 1992-1083	A 19920403
	SK 280620	B6	20000516	SK 1993-280	19930401
				CH 1992-1083	A 19920403
	NO 9301283	A	19931004	NO 1993-1283	19930402
				CH 1992-1083	A 19920403
	ZA 9302397	A	19931004	ZA 1993-2397	19930402
				CH 1992-1083	A 19920403
	AU 9335694	A1	19931007	AU 1993-35694	19930402
	AU 666709	B2	19960222		
				CH 1992-1083	A 19920403
	CN 1077713	A	19931027	CN 1993-103566	19930402
	CN 1043531	B	19990602		
				CH 1992-1083	A 19920403
	HU 64050	A2	19931129	HU 1993-982	19930402
				CH 1992-1083	A 19920403
	JP 06087834	A2	19940329	JP 1993-78096	19930405
	JP 2706682	B2	19980128		
				CH 1992-1083	A 19920403

FAN 1995:735375

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9509852	A1	19950413	WO 1994-EP3149	19940921
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK,				

TJ, TT, UA, UZ, VN
 RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
 MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
 TD, TG

			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
US 5543520	A	19960806	US 1994-306333	19940915
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
CA 2148477	AA	19950413	CA 1994-2148477	19940921
			CH 1993-2966	A 19931001
AU 9476975	A1	19950501	AU 1994-76975	19940921
AU 693804	B2	19980709		
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			WO 1994-EP3149 W	19940921
EP 672040	A1	19950920	EP 1994-927633	19940921
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE	
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			WO 1994-EP3149 W	19940921
JP 08504834	T2	19960528	JP 1994-510576	19940921
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			WO 1994-EP3149 W	19940921
OS	MARPAT 125:114681			
GI				



AB There are described N-phenyl-2-pyrimidine-amine derivs. (I) wherein R1 is 4-pyrazinyl, 1-methyl-1H-pyrrolyl, amino- or amino-lower alkyl-substituted Ph wherein the amino group in each case is free, alkylated or acylated, 1H-indolyl or 1H-imidazolyl bonded at a five-membered ring carbon atom, or unsubstituted or lower alkyl-substituted pyridyl bonded at a ring carbon atom and unsubstituted or substituted at the nitrogen atom by oxygen; R2 and R3 are hydrogen or lower alkyl; one or two of R4, R5, R6, R7 are each nitro, fluoro-substituted lower alkoxy or -N(R9)C(:X)(Y)nR10. These compds. can be used, for example, in the therapy of tumoral diseases. Three example formulations are given.

L3 ANSWER 57 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:214745 CAPLUS

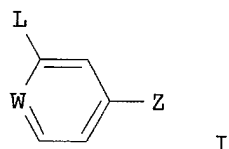
DN **124:289261**

TI Preparation of 4-(2-phenylethyl)pyridine derivatives as phosphodiesterase IV inhibitors

IN Warreallow, Graham John; Boyd, Ewan Campbell; Alexander, Rikki Peter
 PA Celltech Therapeutics Ltd., UK
 SO PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9535283	A1	19951228	WO 1995-GB1461	19950621
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	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				GB 1994-12384	A 19940621
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				GB 1994-15836	A 19940805
US	6245774	B1	20010612	US 1995-492855	19950620
				GB 1994-12384	A 19940621
				GB 1994-12386	A 19940621
				GB 1994-12493	A 19940622
				GB 1994-15836	A 19940805
CA	2192645	AA	19951228	CA 1995-2192645	19950621
				GB 1994-12384	A 19940621
				GB 1994-12386	A 19940621
				GB 1994-12493	A 19940622
				GB 1994-15836	A 19940805
AU	9527463	A1	19960115	AU 1995-27463	19950621
AU	707717	B2	19990715		
				GB 1994-12384	A 19940621
				GB 1994-12386	A 19940621
				GB 1994-12493	A 19940622
				GB 1994-15836	A 19940805
EP	766669	A1	19970409	WO 1995-GB1461	W 19950621
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				GB 1994-12384	A 19940621
				GB 1994-12386	A 19940621
				GB 1994-12493	A 19940622
				GB 1994-15836	A 19940805
JP	10503174	T2	19980324	WO 1995-GB1461	W 19950621
				JP 1995-501845	19950621
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				GB 1994-12386	A 19940621
				GB 1994-12493	A 19940622
				GB 1994-15836	A 19940805
				WO 1995-GB1461	W 19950621
US	2002143011	A1	20021003	US 2001-805842	20010314
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				GB 1994-12386	A 19940621
				GB 1994-12493	A 19940622
				GB 1994-15836	A 19940805
				US 1995-492855	A319950620

OS MARPAT 124:289261
GI



AB Title compds. I [W = C(OMe), C(halo), N, etc.; L = (substituted) alkenyl, alkyl, aryloxy, etc.; Z = (substituted) alkenyl, alkyl, aryl, heterocycloalkyl, etc.], useful in the prophylaxis and treatment of asthma, were prepd. Treatment of (R)-I [W = C(OMe); L = OH; Z = RCH₂CH(Ph) wherein R = 4-pyridinyl] with t-BuOK in THF/DMF followed by addn. of PhCH₂Br afforded (R)-I [W = C(OMe); L = OCH₂Ph; Z = RCH₂CH(Ph)]. Compds. I showed a concn.-dependent inhibition of recombinant PDE IV at 0.1-1000 nM with little or no activity against PDE I, II, III or V at concns. up to 100 .mu.M.

L3 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:851671 CAPLUS

DN **123:256505**

TI Amidine derivatives with nitric oxide synthetase activities

IN Gentile, Robert James; Murray, Robert John; MacDonald, James Edwin; Shakespeare, William Calvin

PA Fisons Corp., UK; Fisons PLC

SO PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DT Patent

LA English

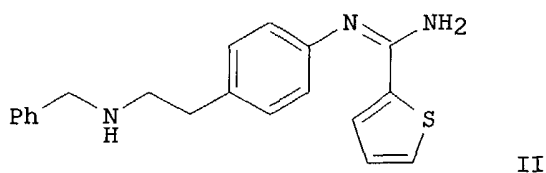
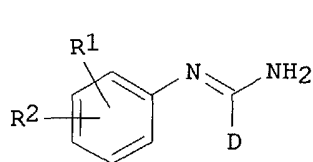
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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				GB 1993-25410	A 19931211
				GB 1994-1580	A 19940127
				GB 1994-11700	A 19940610
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				GB 1993-16806	A 19930812
				GB 1993-19835	A 19930925
				GB 1993-25410	A 19931211
				GB 1994-1580	A 19940127
				GB 1994-11700	A 19940610
	AU 9473875	A1	19950314	AU 1994-73875	19940812
	AU 682381	B2	19971002		
				GB 1993-16806	A 19930812
				GB 1993-19835	A 19930925

			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
ZA 9406095	A	19950419	ZA 1994-6095	19940812
EP 713483	A1	19960529	GB 1993-16806	A 19930812
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			GB 1993-19835	A 19930925
			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
CN 1132505	A	19961002	CN 1994-193688	19940812
CN 1071746	B	20010926		
			GB 1993-16806	A 19930812
			GB 1993-19835	A 19930925
BR 9407515	A	19970107	BR 1994-7515	19940812
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			GB 1993-19835	A 19930925
			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
JP 09501918	T2	19970225	JP 1994-506814	19940812
			GB 1993-16806	A 19930812
			GB 1993-19835	A 19930925
			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
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			GB 1993-16806	A 19930812
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RU 2130017	C1	19990510	RU 1996-104356	19940812
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			WO 1994-GB1767	W 19940812
PL 180081	B1	20001229	PL 1994-312961	19940812
			GB 1993-16806	A 19930812
			GB 1993-19835	A 19930925
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			GB 1994-1580	A 19940127

AT 231126	E	20030215	GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
			AT 1994-923776	19940812
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			GB 1993-19835	A 19930925
US 5807885	A	19980915	GB 1993-25410	A 19931211
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			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
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NO 9600534	A	19960411	WO 1994-GB1767	W 19940812
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			GB 1993-16806	A 19930812
			GB 1993-19835	A 19930925
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FI 9600628	A	19960212	GB 1994-1580	A 19940127
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			WO 1994-GB1767	W 19940812
			FI 1996-628	19960212
			GB 1993-16806	A 19930812
US 6030985	A	20000229	GB 1993-19835	A 19930925
			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
			US 1998-111926	19980708
			GB 1993-16806	A 19930812
			GB 1993-19835	A 19930925
			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610

OS MARPAT 123:256505
GI



AB Title compds. I [D = Ph, pyridinyl, 5-membered heterocyclic arom. ring contg. 1-4 O, S and/or N atoms, or perfluoroalkyl, with 1st 3 groups optionally substituted by alkyl, alkoxy, halo, and/or perfluoroalkyl; R1 = H, alkyl, halo; R2 = X(CH₂)_nZCONR₃R₄, X(CH₂)_nNHCO(CH₂)_sNR₃R₄, X(CH₂)_pNR₃R₄, X(CH₂)_nNHCOCH₃, or (CH₂)_qNHC(:NH)R₆; X = O, bond; Z = O, NR₇, bond; R₃, R₄ = H, alkyl, (CH₂)_rA, (CH₂)_mOA, CHMe(CH₂)_tA; or NR₃R₄ = 1-indanyl (sic), piperonylamino, piperidinyl, morpholinyl, pyrrolidinyl, 1,2,3,4-tetrahydroisoquinolinyl, (4-alkyl)piperazinyl; R₅ = alkyl, perfluoroalkyl, (CH₂)_rA, O(CH₂)_wA; A = (un)substituted Ph, pyridinyl, pyrimidinyl, 5-membered heteroaryl; R₆ = (un)substituted Ph, pyridinyl, 5-membered heteroaryl, perfluoroalkyl; R₇ = H, alkyl; n, r = 0-6; p, w = 1-5; m = 2-5; q, t = 0-5; s = 1-3; 8 addnl. provisos] and pharmaceutically acceptable salts are described, together with processes for their prepn.

and compns. contg. them. I have nitric oxide synthetase (II) inhibitory activity, and are potentially useful for treatment of neurodegenerative disorders, migraine, tolerance to opiates and diazepines, and drug addiction. For example, 4-nitrophenethylamine-HCl underwent N-trifluoroacetylation (80%), N-benylation using NaH and PhCH₂Br in THF (44%), hydrogenation of the nitro group over Pd/C (used directly), and condensation of the resultant amine with S-methyl-2-thiophenethiocarboximide hydriodide and simultaneous hydrolysis of the amide (34%) to give title compd. III. In a screen for activity against a neuronal isoform of II, III showed an IC₅₀ of < 10 .mu.M, indicating therapeutic utility. III also showed > 10-fold less potency against macrophage and endothelial isoforms of II, indicating desirable selectivity. Approx. 250 specific I and salts were prepd. and/or claimed, and 72 synthetic examples are given.

L3 ANSWER 59 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:305146 CAPLUS

DN 122:80891

TI Preparation of arylurea and amide derivatives and their use in the control of cell membrane potassium channels

IN Olesen, Soeren-Peter; Moldt, Peter; Pedersen, Ove

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

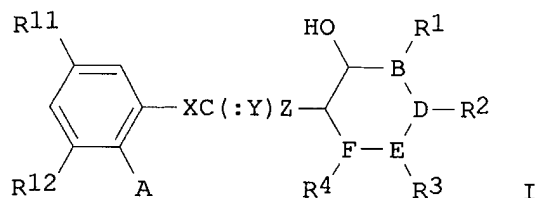
DT Patent

LA English

FAN.CNT 1

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PI	WO 9422807	A1	19941013	WO 1994-EP1008	19940330
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	CA 2160128	AA	19941013	CA 1994-2160128	19940330
				DK 1993-411	19930407
	AU 9465378	A1	19941024	AU 1994-65378	19940330
	AU 683654	B2	19971120		
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	EP 693053	A1	19960124	EP 1994-913095	19940330
	EP 693053	B1	19990120		
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				WO 1994-EP1008	19940330
	JP 08510448	T2	19961105	JP 1994-521674	19940330
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	AT 175955	E	19990215	AT 1994-913095	19940330
				DK 1993-411	19930407
	FI 9504746	A	19951117	FI 1995-4746	19951005
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	NO 9503956	A	19951207	NO 1995-3956	19951005
				DK 1993-411	19930407
				WO 1994-EP1008	19940330

US 5696138 A 19971209 US 1995-535267 19951227
 DK 1993-411 19930407
 WO 1994-EP1008 19940330
 OS MARPAT 122:80891
 GI



AB Title compds. I (X, Z = HN, H₂C, at least one of X and Z being HN; Y = O, S, NCN, HN; B, D, E, F = C, N, at least 3 of B, D, E, and F being C; R₁, R₄ = H, halo, F₃C, HO₂C, alkyl-O₂C, aryl-O₂C, H₂NCO, NC, alky, alkoxy, HO, etc.; R₂ = H, F₃C, HO₂C NC, HOCH₂, aryloxy, etc.; R₃ = H, halo, HO₂C, NC, alkylcarbonyl, etc.; R₂R₃, R₃R₄ with the Cs to which they are attached form an (unsatd.) addnl. fused carbocyclyl; one of R₁₁, R₁₂ = halo, F₃C, HO₂C, NC, alkyl, aloxy HO, O₂N, HOCH₂, etc. and the other is H; A = H, AR₁₂ and the Cs to which they are attached form (unsatd.) fused carbocyclyl) or a salt thereof, are prepd. I are claimed for treatment of arterial hypertension, coronary artery spasms, asthma, irritable bowel syndrome, spastic bladder, ischemia, psychosis, convulsions. 2-Hydroxy-5-nitroaniline and 3-(trifluoromethyl)phenyl isocyanate were added to MePh and stirred overnight at room temp. to give I (X, Z = HN, Y = O, B, D, E, F, = C, R₁ = R₃ = R₄ = R₁₂ = H, R₂ = O₂N, R₁₁ = F₃C) (II). The activity (1-10 .mu.M) was demonstrated by I (R₂ = H, R₃ = Cl, everything else as in II). Pharmaceutical formulations comprising I are given.

L3 ANSWER 60 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:655671 CAPLUS

DN **121:255671**

TI Preparation of N-phenyl-N'-heteroarylureas as 5HT_{2C} receptor antagonists

IN Forbes, Ian Thomson; Ham, Peter; Martin, Roger Thomas; Thompson, Mervyn

PA SmithKline Beecham PLC, UK

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9418170	A1	19940818	WO 1994-EP189	19940125
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 682656	A1	19951122	GB 1993-2275	19930205
	R: BE, CH, DE, FR, GB, IT, LI, NL			EP 1994-905697	19940125
				GB 1993-2275	19930205
				WO 1994-EP189	19940125
	JP 08506114	T2	19960702	JP 1994-517583	19940125

GB 1993-2275 19930205
WO 1994-EP189 19940125

OS MARPAT 121:255671
AB R1NR2CONR3R4 [R1 = (un)substituted (iso)quinolinyl, -heteroaryl; R2,R3 = H, alkyl; R4 = (un)substituted Ph] were prepd. Thus, nicotinoyl azide was refluxed in PhMe after which 3,4-ClMeC6H3NH2 was added to give, after acidification, 3,4-ClMeC6H3NHCONHR1.HCl (R1 = 3-pyridyl) which had ID50 of 78mg/kg orally against mCPP-induced hypolocomotion in rats.

L3 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:107056 CAPLUS

DN **120:107056**

TI Preparation of 2-anilinopyrimidines as antiatherosclerotics and neoplasm inhibitors

IN Zimmermann, Juerg

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA German

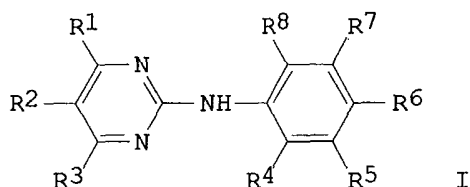
FAN.CNT 3

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				AT 1993-810219	19930325
	ES 2142857	T3	20000501	CH 1992-1083	A 19920403
				ES 1993-810219	19930325
	CA 2093203	AA	19931004	CH 1992-1083	A 19920403
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	IL 105264	A1	19990411	CH 1992-1083	A 19920403
				IL 1993-105264	19930401
	SK 280620	B6	20000516	CH 1992-1083	A 19920403
				SK 1993-280	19930401
	NO 9301283	A	19931004	CH 1992-1083	A 19920403
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	ZA 9302397	A	19931004	CH 1992-1083	A 19920403
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	AU 9335694	A1	19931007	CH 1992-1083	A 19920403
	AU 666709	B2	19960222	AU 1993-35694	19930402
	CN 1077713	A	19931027	CH 1992-1083	A 19920403
	CN 1043531	B	19990602	CN 1993-103566	19930402
	HU 64050	A2	19931129	CH 1992-1083	A 19920403
				HU 1993-982	19930402
	JP 06087834	A2	19940329	CH 1992-1083	A 19920403
	JP 2706682	B2	19980128	JP 1993-78096	19930405
				CH 1992-1083	A 19920403

PATENT FAMILY INFORMATION:

FAN 1995:735375

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
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	US 5543520	A	19960806	US 1994-306333		19940915
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	AU 9476975	A1	19950501	AU 1994-76975		19940921
	AU 693804	B2	19980709			
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				CH 1994-2278	A	19940718
				WO 1994-EP3149	W	19940921
	EP 672040	A1	19950920	EP 1994-927633		19940921
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				WO 1994-EP3149	W	19940921
FAN	1996:380210					
PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
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PI	US 5521184	A	19960528	US 1994-234889		19940428
				CH 1992-1083	A	19920403
				US 1993-42322	B2	19930402
				CH 1993-2966	A	19931001
	CA 2148477	AA	19950413	CA 1994-2148477		19940921
				CH 1993-2966	A	19931001
OS	MARPAT 120:107056					
GI						

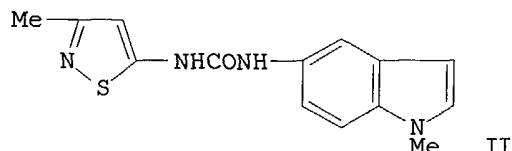
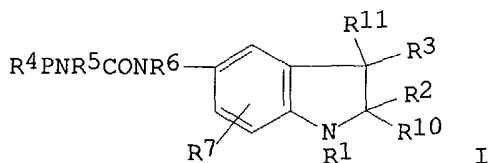


AB Title compds. [I; R1 = pyridyl, 4-pyrazinyl, (acyl)aminophenyl, etc.; R2, R3 = H, alkyl; 1 or 2 of R4-R8 = NO₂, fluoroalkoxy, NR₉C(:X)YnR₁₀ and the others = H, alkyl, alkanoyl, CF₃, etc.; R₉ = H, alkyl; R₁₀ = (cyclo)aliph. group, heterocyclyl, aryl, etc.; X = O, S, NH, etc.; Y = O or NH; n = 0 or 1] were prep'd. Thus, 3-(O₂N)C₆H₄NHC(:NH)NH₂ [prepn. from 3-(O₂N)C₆H₄NH₂ given] was cyclocondensed with R₁COCH:CHNMe₂ (R₁ = 3-pyridyl) (prepn. from 3-acetylpyridine given) to give I (R₁ = 3-pyridyl, R₂ = R₃ = R₅-R₈ = H, R₄ = NO₂). I had IC₅₀ of .apprx.0.5 to 5 .mu.M against protein kinase C in vitro.

L3 ANSWER 62 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1994:77171 CAPLUS
 DN **120:77171**
 TI Preparation of indolylurea derivatives as antagonists
 IN Forbes, Ian Thomson; Martin, Roger Thomas; Jones, Graham Elgin
 PA SmithKline Beecham PLC, UK
 SO PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9318028	A1	19930916	WO 1993-GB449	19930304
	W: AU, CA, JP, KR, NZ, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
	AU 9336411	A1	19931005	AU 1993-36411	19930304
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304
	EP 630373	A1	19941228	EP 1993-905507	19930304
	R: BE, CH, DE, FR, GB, IT, LI, NL				
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304
	JP 07504429	T2	19950518	JP 1993-515449	19930304
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304
	ZA 9301713	A	19940922	ZA 1993-1713	19930310
				GB 1992-5415	19920312
	US 5508288	A	19960416	US 1994-295694	19940830
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304

OS MARPAT 120:77171
GI



AB Title compds. I (P = quinolinyl, isoquinolinyl, 5,6-membered heterocyclyl; R1 = H, C1-6 alkyl; R2, R3, R10, R11 = C2-6 alkylene; R4 = H, C1-6 alkyl, halo, R8R9N, R12O, R12OC wherein R8, R9, R12 = H, C1-6 alkyl; R5, R6 = H, C1-6 alkyl; R7 = H, C1-6 alkyl, C1-6 alkoxy, halo; etc.) or a salt thereof, are prepd. to NaH was added 5-amino-3-methylbisthiazole-HCl followed by N-(1-methyl-5-indolyl)carbamate (prepn. given) to give the title compd. II. The affinity of II for 5-HT1C binding site by assessing its ability to displace [3H]-mesulergine from 5-HT1C binding sites was shown by pA2 as 7.9.

L3 ANSWER 63 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1993:552116 CAPLUS

DN 119:152116

TI Use of renin inhibitors for the treatment of glaucoma

IN Tanaka, Yoko; Kagayama, Akira; Hata, Takehisa

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

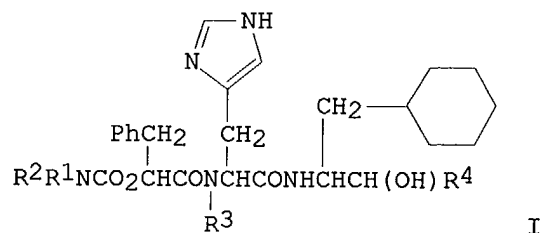
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9312796	A1	19930708	WO 1992-JP1656	19921218
	W: AU, CA, HU, JP, KR, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	ZA 9209738	A	19930617	GB 1991-27041	19911220
				ZA 1992-9738	19921215
				GB 1991-27041	19911220
	AU 9331712	A1	19930728	AU 1993-31712	19921218
	AU 661748	B2	19950803		
				GB 1991-27041	19911220
				WO 1992-JP1656	19921218
	EP 617622	A1	19941005	EP 1993-900396	19921218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				GB 1991-27041	19911220
				WO 1992-JP1656	19921218
	JP 07506807	T2	19950727	JP 1992-511545	19921218

CN 1088934 A 19940706
 OS MARPAT 119:152116
 GI

GB 1991-27041 19911220
 WO 1992-JP1656 19921218
 CN 1993-101190 19930102
 GB 1991-27041 19911220



AB The renin-inhibiting histidine derivs. I [R1 = (un)substituted alkyl or amino; R2, R3 = H, alkyl; NR1R2 = heterocyclyl; R4 = alkyl] or I salts are drugs for the treatment of glaucoma. Eye application of 0.2% 2(S)-[N.alpha.-[2(S)-[N-methyl-N-[2-[N-(morpholinocarbonyl)-N-methylamino]ethyl]aminocarbonyloxy]-3-phenylpropionyl]-N.alpha.-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane-HCl lower intraocular pressure in the rabbit.

L3 ANSWER 64 OF 64 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:449694 CAPLUS

DN **115:49694**

TI Preparation of arylazole platelet activating factor (PAF) antagonists
 IN Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Birke, Franz; Heuer, Hubert; Muacevic, Gojko

PA Boehringer Ingelheim K.-G., Germany

SO Ger. Offen., 21 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3927483	A1	19910221	DE 1989-3927483	19890819
	WO 9102730	A1	19910307	WO 1990-EP1340	19900816
	W: AU, CA, FI, HU, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
	WO 9102731	A1	19910307	DE 1989-3927483	19890819
				WO 1990-EP1341	19900816
	W: AU, CA, FI, HU, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
	AU 9061571	A1	19910403	DE 1989-3927483	19890819
				DE 1989-3929655	19890906
				AU 1990-61571	19900816
				DE 1989-3927483	19890819
				DE 1989-3929655	19890906
				WO 1990-EP1341	19900816
	AU 9061600	A1	19910403	AU 1990-61600	19900816
				DE 1989-3927483	19890819

DD 298928 A5 19920319

WO 1990-EP1340 19900816
DD 1990-343519 19900817
DE 1989-3927483 19890819

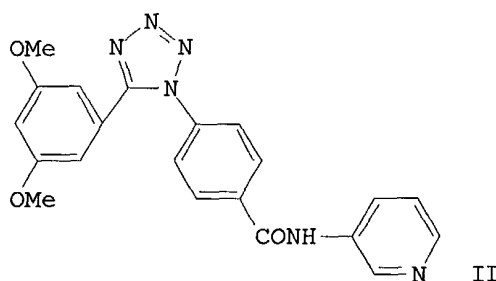
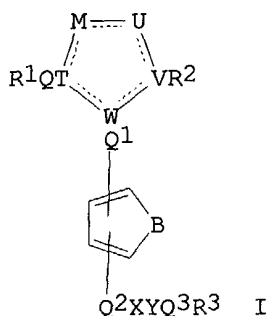
PATENT FAMILY INFORMATION:

FAN 1991:449686

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3929655	A1	19910307	DE 1989-3929655	19890906
	WO 9102731	A1	19910307	WO 1990-EP1341	19900816
	W: AU, CA, FI, HU, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
				DE 1989-3927483	19890819
				DE 1989-3929655	19890906
	AU 9061571	A1	19910403	AU 1990-61571	19900816
				DE 1989-3927483	19890819
				DE 1989-3929655	19890906
				WO 1990-EP1341	19900816

OS MARPAT 115:49694

GI



AB Title compds. [I; R1 = (substituted) Ph, 5- or 6-membered heteroaryl; R2 = H, (unsatd.) (O-, S-, or imino-interrupted) (substituted) alkyl, cycloalipharyl, aminoalkyl; R3 = (substituted) (polynuclear) (arom.) carbocyclyl, N-heterocyclyl; M, U = N, alkylimino, CH, alkylmethine; T, V, W = N, C; M, V may addnl. = S; B = CH:CH, S, O, imino, etc.; Q-Q3 = bond, C1-3 alkylene; dotted lines = double bonds when possible], were prep'd. as PAF antagonists (no data). Thus, 3,5-(MeO)2C6H3CO2H was refluxed with SOCl2 in CHCl3 to give the acid chloride, which was condensed with 4-H2NC6H4CO2Et in the presence of Et3N to give the amide, which was refluxed with PCl5 in PhMe to give the imide chloride. This was stirred with NaN3 in DMF to give Et 4-[5-(3,5-dimethoxyphenyl)-1H-tetrazol-1-yl]benzoate. The latter was treated as above to give the acid chloride, which was heated with 3-aminopyridine in dioxane to give title compd. II.

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:892800 CAPLUS

TI Preparation of substituted **pyrazines** as protein kinase modulators

IN Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai, Zerom; Wang,

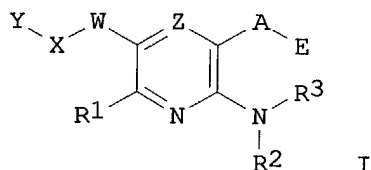
Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nuss, John M.; Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed Abdulkader; Schnepf, Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa Esther; Forsyth, Timothy Patrick; Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne; Takeuchi, Craig Stacy

PA Exelixis, Inc., USA
 SO PCT Int. Appl., 468 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093297	A2	20031113	WO 2003-US13869	20030502
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2002-377933PP 20020503

IT INDEXING IN PROGRESS
 GI



AB This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un)substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicyclyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un)substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos] for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. contg. such compds. Even more specifically, the invention relates to compds. I that inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or **Chk1**. Prepn. of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (prepn. given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)**pyrazine**-2-carboxamide which showed IC50 of 10,000

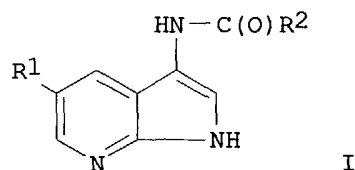
nM or greater against **Chk1**. Table presenting activity data with respect to **Chk1** for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds.

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2003:282394 CAPLUS
 DN 138:304265
 TI Preparation of N-pyrrolopyridinyl carboxamides as **Chk1** kinase inhibitors for treating various forms of cancer and hyperproliferative disorders
 IN Stavenger, Robert A.; Witherington, Jason; Rawlings, Derek A.; Holt, Dennis A.; Chan, George.
 PA Smithkline Beecham Corporation, USA; Smithkline Beecham Plc
 SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028724	A1	20030410	WO 2002-US31842	20021004
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2001-326974PP 20011004

OS MARPAT 138:304265
 GI



AB N-pyrrolopyridinyl carboxamides (shown as I; variables defined below; e.g. N-(5-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)benzamide) useful in the inhibition of damage response kinases (no data) are provided. Although the methods of prepn. are not claimed, 94 example preps. are included. For I: R1 is aryl or heteroaryl, wherein aryl or heteroaryl may optionally be substituted by .gtoreq.1 of group A and on any position with the exception that R1 is not 3,4-dichlorophenyl; A = C1-10 alkyl, C1-10 alkanoyl, C2-10 alkenyl, C2-10 alkynyl, C3-10 cycloalkyl, C0-6 alkylaryl,

C0-6 alkylheterocyclyl, C0-6 alkylheteroaryl, C(:NH)R3, COR3, CONR3R4, CON(O)R3R4, CO2R3, C(O)SR3, C(S)R3, cyano, trifluoromethyl, NR3R4, N(O)R3R4, NR3COR4, NR3CONR4R5, NR3CON(O)R4R5, NR3CO2R3, NR3C(O)SR3, NR3SO2R3, nitro, OR3, OCF3, aryloxy, heteroaryloxy, SR3, S(O)R3, S(O)2R3, SCF3, S(O)CF3, S(O)2CF3, SO2NR3R4, SO3R3, PO3R3R4, and halo, wherein C1-10 alkyl, C1-10 alkanoyl, C2-10 alkenyl, C2-10 alkynyl, C3-10 cycloalkyl, C0-5 alkylaryl, C0-5 alkylheterocyclyl, C0-5 alkylheteroaryl, (CH2)0-5 heteroaryl, aryloxy, and heteroaryloxy may be optionally substituted by .gtoreq.1 of group B (see claims) and on any position. R2 = C1-8 alkyl, C2-8 alkenyl, C3-6 cycloalkyl, OR9, NR10R11, Ph, pyridyl, pyridazinyl, pyrimidinyl, pyrazolinyl, thiazinyl, pyrrolyl, furyl, thienyl, pyrazolyl, imidazolyl, triazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl and thiadiazolyl; addnl. details are in the claims.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:695962 CAPLUS

DN 137:232680

TI Preparation of aryl and heteroaryl urea selective **Chk1** inhibitors for use as radiosensitizers and chemosensitizers for treating diseases and conditions related to DNA damage or lesions in DNA replication

IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam Wade; Cowen, Scott Douglas; Burgess, Laurence Edward

PA Icos Corporation, USA

SO PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070494	A1	20020912	WO 2002-US6452	20020301
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003069284	A1	20030410	US 2001-273124PP	20010302
			US 2002-87715	20020301
			US 2001-273124PP	20010302

OS MARPAT 137:232680

AB Aryl- and heteroaryl substituted urea compds. (W'NHC(:Y')N(R13)Z'; 1) useful in the treatment of diseases and conditions related to DNA damage or lesions in DNA replication are disclosed. In 1, W' is a six-membered arom. ring contg. at least 2 nitrogen atoms (e.g. pyrazinyl, pyrimidinyl, pyridazinyl, 1,2,4-triazinyl, quinoxalinyl) and optionally substituted as defined in the claims, Z' is a five- or six membered arom. or heteroarom. ring as defined in the claims, Y' is O or S. The first claim contains a much more general formula WX1C(:Y)X2Z (e.g. X1 = null, O, S, CH2, NR1; X2 = O, S, NR1) but emphasis is on 1. Methods of making the compds., and their use as therapeutic agents, for example, in treating cancer and other

diseases characterized by defects in DNA replication, chromosome segregation, or cell division also are described. Although the methods of prepn. are not claimed, about 200 example prepn. are included. N-(2-methoxy-5-methylphenyl)-N'-(2-pyrazinyl)urea and N-(4-chloro-2-methoxyphenyl)-N'-(2-pyrazinyl)urea enhanced the killing of various human cells by 5-fluorouracil from 2- to 10-fold; in HeLa cells, these same compds. enhanced killing by irradiation 2-3 fold.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 18 fbib hitstr abs total

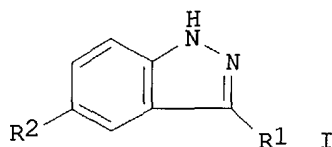
L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:545668 CAPLUS
DN 135:137505
TI Synthesis of disubstituted indazole compounds as cyclin dependent kinase inhibitors and methods for inhibiting cell proliferation
IN Reich, Siegfried Heinz; Bleckman, Ted Michael; Kephart, Susan Elizabeth; Romines, William Henry, III; Wallace, Michael B.
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053268	A2	20010726	WO 2001-US1477	20010118
	WO 2001053268	A3	20011227		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-176484PP	20000118
EP 1250326	A2	20021023	EP 2001-942620	20010118	
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-176484PP	20000118
				WO 2001-US1477 W	20010118
US 2002161022	A1	20021031	US 2001-761656	20010118	
US 6555539	B2	20030429			
				US 2000-176484PP	20000118
BR 2001007783	A	20021119	BR 2001-7783	20010118	
				US 2000-176484PP	20000118
				WO 2001-US1477 W	20010118
JP 2003520273	T2	20030702	JP 2001-553270	20010118	
				US 2000-176484PP	20000118
				WO 2001-US1477 W	20010118
EE 200200398	A	20031015	EE 2002-398	20010118	
				US 2000-176484PP	20000118
				WO 2001-US1477 W	20010118

NO 2002002117 A 20020916
BG 107011 A 20030430
US 2003139463 A1 20030724

NO 2002-2117 20020503
US 2000-176484PP 20000118
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BG 2002-107011 20020816
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US 2001-761656 A320010118

OS MARPAT 135:137505
GI



AB Title compds. I [R1 = alkyl, aryl, heteroaryl, carbocycle, heterocycle, etc.; R2 = alkyl, aryl, heteroaryl, carbocycle, heterocycle, etc.] were prepd. Examples include over 90 synthetic examples and 8 bioassays. For instance, 5-amino-1H-indazole was converted to 5-chloro-3-iodo-1H-indazole by diazotization/chlorination (NaNO₂, HCl, 0.degree.C/CuCl, 60.degree.C) followed by iodination (I₂, NaOHaq). Protection as the N-SEM deriv. and sequential Suzuki coupling with (E)-.beta.-styreneboronic acid to the 3 position and phenylboronic acid to the 5-position yielded N-SEM deriv. I (R1 = (E)-.beta.-styrenyl; R2 = Ph). Deprotection with 3M HCl in EtOH at reflux afforded I (R1 = (E)-.beta.-styrenyl; R2 = Ph; II). II had Ki = 1.7 .mu.M for cdk4/cyclin D3 complex and Ki = 6.7 .mu.M for **chk1** protein kinase. Selected examples of I were also assayed for cytotoxicity (HCT 116 cell line, 69 examples). The invention is also directed to methods of treating cancer and disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis.

=> d 19 fbib hitstr abs total

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:923871 CAPLUS
TI Caffeine and human DNA metabolism: the magic and the mystery
AU Kaufmann, William K.; Heffernan, Timothy P.; Beaulieu, Lea M.; Doherty, Sharon; Frank, Alexandra R.; Zhou, Yingchun; Bryant, Miriam F.; Zhou, Tong; Luche, Douglas D.; Nikolaishvili-Feinberg, Nana; Simpson, Dennis A.; Cordeiro-Stone, Marila
CS Department of Pathology and Laboratory Medicine, University of North Carolina at Chapel Hill, Chapel Hill, NC, 27599, USA
SO Mutation Research (2003), 532(1-2), 85-102
CODEN: MUREAV; ISSN: 0027-5107
PB Elsevier Science B.V.
DT Journal
LA English
AB The ability of caffeine to reverse cell cycle checkpoint function and enhance genotoxicity after DNA damage was examd. in telomerase-expressing

human fibroblasts. Caffeine reversed the ATM-dependent S and G2 checkpoint responses to DNA damage induced by ionizing radiation (IR), as well as the ATR- and **Chk1**-dependent S checkpoint response to UV radiation (UVC). Remarkably, under conditions in which IR-induced G2 delay was reversed by caffeine, IR-induced G1 arrest was not. Incubation in caffeine did not increase the percentage of cells entering the S phase 6-8 h after irradiation; ATM-dependent phosphorylation of p53 and transactivation of p21Cip1/Waf1 post-IR were resistant to caffeine. Caffeine alone induced a concentration- and time-dependent inhibition of DNA synthesis. It inhibited the entry of human fibroblasts into S phase by 70-80% regardless of the presence or absence of wildtype ATM or p53. Caffeine also enhanced the inhibition of cell proliferation induced by UVC in XP variant fibroblasts. This effect was reversed by expression of DNA polymerase η , indicating that translesion synthesis of UVC-induced **pyrimidine** dimers by DNA pol η protects human fibroblasts against UVC genotoxic effects even when other DNA repair functions are compromised by caffeine.

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2003:719584 CAPLUS
 DN 139:240342
 TI RNA interference mediated inhibition of gene expression using chemically modified short interfering nucleic acid
 IN Mcswiggen, James; Beigelman, Leonid; Chowrira, Bharat; Pavco, Pamela; Fosnaugh, Kathy; Jamison, Sharon; Usman, Nassim; Thompson, James
 PA Sirna Therapeutics, Inc., USA
 SO PCT Int. Appl., 593 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 101

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FAN 1994:124868

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FAN 1994:210049			
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			US 1997-61321P P 19971002
			US 1997-61324P P 19971002
			US 1997-64866P P 19971105
			US 1997-68212P P 19971219
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FAN 2002:927617

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				US 2001-817879 A	20010326
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				US 2001-335059PP	20011024
				US 2001-337055PP	20011205
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PI	WO 2003070881	A2	20030828	WO 2003-US4123	20030211
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				US 2002-363124PP	20020311
				US 2002-386782PP	20020606
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				US 2002-406784PP	20020829
				US 2002-408378PP	20020905
				US 2002-409293PP	20020909
				US 2003-440129PP	20030115
	AU 9851819	A1	19980611	AU 1998-51819	19980112
	AU 729657	B2	20010208		
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	AU 9939188	A1	19990916	AU 1999-39188	19990713
				AU 1995-26422	A319950518
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PI	WO 2003070884	A2	20030828	WO 2003-US4250	20030213
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				US 2003-440129PP	20030115
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	AU 729657	B2	20010208		
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				AU 1995-26422	A319950518
FAN	2003:678936				
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PI WO 2003070885 A2 20030828 WO 2003-US4317 20030213
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 ML, MR, NE, SN, TD, TG

US 2002-358580PP 20020220
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 US 2002-386782PP 20020606
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 US 2002-412304PP 20020920
 US 2003-440129PP 20030115
 AU 1998-51819 19980112

AU 9851819 A1 19980611
 AU 729657 B2 20010208

AU 9939188 A1 19990916

US 1996-623891 A 19960325
 AU 1999-39188 19990713
 AU 1995-26422 A319950518

FAN 2003:678937

PATENT NO.

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APPLICATION NO.

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PI WO 2003070886 A2 20030828 WO 2003-US4347 20030211
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 US 2002-409293PP 20020909
 US 2003-440129PP 20030115
 AU 1998-51819 19980112

AU 9851819 A1 19980611
 AU 729657 B2 20010208

AU 9939188 A1 19990916

US 1996-623891 A 19960325
 AU 1999-39188 19990713
 AU 1995-26422 A319950518

FAN 2003:678938

PATENT NO.

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APPLICATION NO.

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PI WO 2003070887 A2 20030828 WO 2003-US4402 20030213
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US 2002-358580PP 20020220
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AU 9851819 A1 19980611
 AU 729657 B2 20010208

US 1996-623891 A 19960325
 AU 1999-39188 19990713
 AU 1995-26422 A319950518

FAN 2003:678939
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003070888 A2 20030828 WO 2003-US4448 20030213

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AU 9851819 A1 19980611
 AU 729657 B2 20010208

US 1996-623891 A 19960325
 AU 1999-39188 19990713
 AU 1995-26422 A319950518

FAN 2003:678945
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003070895 A2 20030828 WO 2003-US4710 20030218

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AU 729657	B2	20010208		
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AU 9939188	A1	19990916		AU 1999-39188 19990713
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US 2003190635	A1	20031009		US 2002-205309 20020725
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				US 2002-386782PP 20020606
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AU 729657	B2	20010208		
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AU 9939188	A1	19990916	AU 1999-39188	19990713
			AU 1995-26422	A319950518
FAN 2003:678947				
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	AU 729657	B2	20010208			
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 US 2002-287949 A120021104
 US 2002-306747 A120021127
 US 2003-440129PP 20030115
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AU 9851819 A1 19980611
 AU 729657 B2 20010208

AU 9939188 A1 19990916

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 US 2001-334461PP 20011130
 US 2002-138674 A 20020503
 US 2002-306747 20021127
 US 2001-334461PP 20011130

US 2003216335 A1 20031120

FAN 2003:678958
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003070911 A2 20030828 WO 2003-US5044 20030220

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FAN	2003:678959				
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PI	WO 2003070912	A2	20030828	WO 2003-US5045	20030220
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				US 2002-363124PP	20020311
				WO 2002-US16840A1	20020529
				US 2002-163552 A1	20020606
				US 2002-386782PP	20020606
				US 2002-393924PP	20020703
				US 2002-406784PP	20020829
				US 2002-408378PP	20020905
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				US 2002-251117 A1	20020919
				US 2002-277494 A1	20021021
				US 2003-440129PP	20030115
AU 9851819	A1	19980611		AU 1998-51819	19980112
AU 729657	B2	20010208			
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AU 9939188	A1	19990916		AU 1999-39188	19990713
				AU 1995-26422	A319950518
US 2003064945	A1	20030403		US 2001-916466	20010725
				US 1997-36476P P	19970131
				US 1997-985162 A1	19971204
				US 1999-401063 A2	19990922
				US 2001-848754 A2	20010503
WO 2002097114	A2	20021205		WO 2002-US16840	20020529
WO 2002097114	A3	20030508			
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US 2001-294140PP 20010529
 US 2001-296249PP 20010606
 US 2001-318471PP 20010910
 US 2002-163552 20020606
 US 2001-296249PP 20010606
 US 2002-251117 20020919
 US 2001-296249PP 20010606
 US 2001-916466 A220010725
 US 2002-358580PP 20020220
 US 2002-163552 A220020606
 US 2002-393924PP 20020703
 US 2002-277494 20021021
 US 1997-36749P P 19970127
 US 1997-985162 A119971204
 US 1999-401063 A119990922
 US 2001-848754 A220010503
 US 2001-916466 A120010725

FAN 2003:678961
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003070914 A2 20030828 WO 2003-US5162 20030220

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US 2002-358580PP 20020220
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 US 2002-406784PP 20020829
 US 2002-408378PP 20020905
 US 2002-409293PP 20020909
 US 2003-440129PP 20030115
 AU 1998-51819 19980112
 AU 1996-623891 A 19960325
 AU 1999-39188 19990713
 AU 1995-26422 A319950518

AU 9851819 A1 19980611
 AU 729657 B2 20010208

AU 9939188 A1 19990916

FAN 2003:678963
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003070917 A2 20030828 WO 2003-US5326 20030220

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				US 2002-363124PP 20020311
				US 2002-386782PP 20020606
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				US 2002-408378PP 20020905
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				US 2002-418655PP 20021015
				US 2003-440129PP 20030115
	AU 9851819	A1	19980611	AU 1998-51819 19980112
	AU 729657	B2	20010208	
				US 1996-623891 A 19960325
	AU 9939188	A1	19990916	AU 1999-39188 19990713
				AU 1995-26422 A319950518
FAN	2003:678964			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
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PI	WO 2003070918	A2	20030828	WO 2003-US5346 20030220
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
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				US 2002-386782PP 20020606
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				US 2003-440129PP 20030115
				US 2003-440129PP 20030115
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	AU 729657	B2	20010208	
				US 1996-623891 A 19960325
	AU 9939188	A1	19990916	AU 1999-39188 19990713
				AU 1995-26422 A319950518

FAN 2003:679006

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070966	A2	20030828	WO 2003-US4464	20030214
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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			US 2002-363124PP	20020311
			US 2002-386782PP	20020606
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			US 2002-406784PP	20020829
			US 2002-408378PP	20020905
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			US 2003-440129PP	20030115
AU 9851819	A1	19980611	AU 1998-51819	19980112
AU 729657	B2	20010208		
			US 1996-623891 A	19960325
AU 9939188	A1	19990916	AU 1999-39188	19990713
			AU 1995-26422	A319950518

FAN 2003:679008

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070968	A2	20030828	WO 2003-US4907	20030218
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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			US 2002-363124PP	20020311
			US 2002-386782PP	20020606
			US 2002-406784PP	20020829
			US 2002-408378PP	20020905
			US 2002-409293PP	20020905
			US 2003-440129PP	20030115
AU 9851819	A1	19980611	AU 1998-51819	19980112
AU 729657	B2	20010208		
			US 1996-623891 A	19960325
AU 9939188	A1	19990916	AU 1999-39188	19990713
			AU 1995-26422	A319950518

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2003070969	A2	20030828	WO 2003-US4908	20030218
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				US 2002-386782PP	20020606
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	AU 729657	B2	20010208		
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	AU 9939188	A1	19990916	AU 1999-39188	19990713
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PI	WO 2003070970	A2	20030828	WO 2003-US4951	20030220
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	AU 729657	B2	20010208		
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PI	WO 2003070972	A2	20030828	WO 2003-US5234	20030220

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RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
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AU 729657	B2	20010208	
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AU 9939188	A1	19990916	AU 1999-39188 19990713
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PI	WO 2003070983	A1	20030828
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AU 729657	B2	20010208	
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AU 9939188	A1	19990916	AU 1999-39188 19990713
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FAN	2003:696906		
	PATENT NO.	KIND	DATE
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		US 2003-440129PP 20030115	
AU 9851819	A1	19980611	AU 1998-51819 19980112
AU 729657	B2	20010208	
		US 1996-623891 A 19960325	
AU 9939188	A1	19990916	AU 1999-39188 19990713
		AU 1995-26422 A319950518	

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-358580PP 20020220
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US 2002-386782PP 20020606
US 2002-406784PP 20020829
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US 2002-409293PP 20020909
US 2003-440129PP 20030115
AU 9851819 A1 19980611
AU 729657 B2 20010208
AU 9939188 A1 19990916
US 1996-623891 A 19960325
AU 1999-39188 19990713
AU 1995-26422 A319950518

FAN 2003:696993
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003072704 A2 20030904 WO 2003-US3473 20030205

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US 2001-337055PP 20011205
US 2003148985 A1 20030807

AB The present invention concerns methods and reagents useful in modulating gene expression in a variety of applications, including use in therapeutic, diagnostic, target validation, and genomic discovery applications. Specifically, the invention relates to small nucleic acid mols., such as short interfering nucleic acid (siNA), short interfering RNA (siRNA), double-stranded RNA (dsRNA), micro-RNA (miRNA), and short hairpin RNA (shRNA) mols. capable of mediating RNA interference (RNAi) against target nucleic acid sequences. Exemplary siNA mols. are synthesized in tandem using std. phosphoramidite synthesis chem. and a cleavable linker, for example a succinyl-based linker, followed by a one-step purifn. process that provides RNAi mols. in high yield. Chem. modifications (2'-O-Me and 2'-deoxy-2'-fluoro groups, phosphorothioate linkages, 5'-terminal caps comprising an inverted deoxy abasic moiety, etc.) in siNA constructs are selected to yield nuclease resistance while preserving the ability to mediate RNAi activity. The siNA mols. are designed that can bind to target mRNAs for vascular endothelial growth factor receptors, BCL2, HER2/neu/ c-Myc, PCNA, RELA, PTP1B, BACE, **CHK1**, PKC-.alpha., and EGFR/HER1, and are optionally individually analyzed by a computer folding algorithm to assess whether the siNA mol. can interact with the target sequence. The siNA mols. are useful in the treatment and diagnosis of any condition that responds to modulation of gene expression or activity in a cell, tissue, or organism.

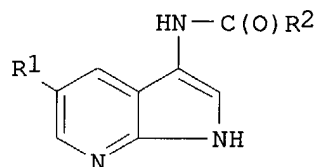
L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:282394 CAPLUS

DN 138:304265
 TI Preparation of N-pyrrolopyridinyl carboxamides as **Chk1** kinase inhibitors for treating various forms of cancer and hyperproliferative disorders
 IN Stavenger, Robert A.; Witherington, Jason; Rawlings, Derek A.; Holt, Dennis A.; Chan, George.
 PA Smithkline Beecham Corporation, USA; Smithkline Beecham Plc
 SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028724	A1	20030410	WO 2002-US31842	20021004
	W:				
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2001-326974PP 20011004

OS MARPAT 138:304265
 GI



AB N-pyrrolopyridinyl carboxamides (shown as I; variables defined below; e.g. N-(5-phenyl-1H-pyrrolo[2,3-b]pyridin-3-yl)benzamide) useful in the inhibition of damage response kinases (no data) are provided. Although the methods of prepn. are not claimed, 94 example prepn. are included. For I: R1 is aryl or heteroaryl, wherein aryl or heteroaryl may optionally be substituted by .gtoreq.1 of group A and on any position with the exception that R1 is not 3,4-dichlorophenyl; A = C1-10 alkyl, C1-10 alkanoyl, C2-10 alkenyl, C2-10 alkynyl, C3-10 cycloalkyl, C0-6 alkylaryl, C0-6 alkylheterocyclyl, C0-6 alkylheteroaryl, C(:NH)R3, COR3, CONR3R4, CON(O)R3R4, CO2R3, C(O)SR3, C(S)R3, cyano, trifluoromethyl, NR3R4, N(O)R3R4, NR3COR4, NR3CONR4R5, NR3CON(O)R4R5, NR3CO2R3, NR3C(O)SR3, NR3SO2R3, nitro, OR3, OCF3, aryloxy, heteroaryloxy, SR3, S(O)R3, S(O)2R3, SCF3, S(O)CF3, S(O)2CF3, SO2NR3R4, SO3R3, PO3R3R4, and halo, wherein C1-10 alkyl, C1-10 alkanoyl, C2-10 alkenyl, C2-10 alkynyl, C3-10 cycloalkyl, C0-5 alkylaryl, C0-5 alkylheterocyclyl, C0-5 alkylheteroaryl, (CH2)0-5 heteroaryl, aryloxy, and heteroaryloxy may be optionally substituted by .gtoreq.1 of group B (see claims) and on any position. R2 = C1-8 alkyl, C2-8 alkenyl, C3-6 cycloalkyl, OR9, NR10R11, Ph, pyridyl, pyridazinyl,

pyrimidinyl, pyrazolinyl, thiazinyl, pyrrolyl, furyl, thienyl, pyrazolyl, imidazolyl, triazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl and thiadiazolyl; addnl. details are in the claims.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:27421 CAPLUS
DN 139:168
TI Cyclin-dependent kinase modulators studied at the NCI: Pre-clinical and clinical studies
AU Sausville, Edward A.
CS Developmental Therapeutics Program, National Cancer Institute, Rockville, MD, 20852, USA
SO Current Medicinal Chemistry: Anti-Cancer Agents (2003), 3(1), 47-56
CODEN: CMCACI; ISSN: 1568-0118
PB Bentham Science Publishers Ltd.
DT Journal; General Review
LA English
AB A review. The cyclin dependent kinases (CDKs) are key regulators of cell cycle progression. Lead compds. (from empirical anti-proliferative screening approaches) have been defined which modulate CDK function and have evidence of anti-proliferative activity in tissue culture systems and in some cases anti-tumor activity in vivo in conventional xenograft models. Two of these, flavopiridol and UCN-01, have entered initial clin. testing. Flavopiridol is a "pan-CDK" inhibitor, with essentially equal potency in inhibiting all CDKs tested. The recent elucidation that in addn. to cell cycle regulatory functions, CDK family members have been defined which regulate transcription, neuronal, and secretory function has increased the need for definition of CDK antagonists with greater selectivity. Novel purine, **pyrimidine**, and benzazepinone derivs. have been characterized in part through the National Cancer Institute's drug screening systems. UCN-01, in contrast to flavopiridol, modulates CDK activity participating in the DNA damage response, possibly through potent inhibition of the **chk1** checkpoint kinase, as well as affecting CDK function indirectly through activity on other kinase targets. An unexpected feature in its development has been avid binding to .alpha.1 acid glycoprotein. Further progress in CDK modulator development will require the definition of addnl. lead structures that address issues raised by these early mols. entering into clin. development.

RE.CNT 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	253.54	359.10
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-46.87	-46.87

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present
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August 1, 2003
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NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 10 SEP 22 DIPPR file reloaded
NEWS 11 DEC 08 INPADOC: Legal Status data reloaded
NEWS 12 SEP 29 DISSABS now available on STN
NEWS 13 OCT 10 PCTFULL: Two new display fields added
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 16 NOV 24 MSDS-CCOHS file reloaded
NEWS 17 DEC 08 CABA reloaded with left truncation
NEWS 18 DEC 08 IMS file names changed
NEWS 19 DEC 09 Experimental property data collected by CAS now available
in REGISTRY
NEWS 20 DEC 09 STN Entry Date available for display in REGISTRY and CA/CAPLUS

NEWS EXPRESS NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003

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=> file reg

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ENTRY	SESSION
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FILE 'REGISTRY' ENTERED AT 16:13:45 ON 10 DEC 2003

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STRUCTURE FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

DICTIONARY FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

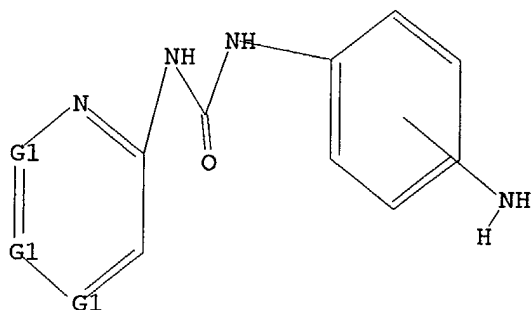
Uploading 10087715.2

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 N, CH

G2 H, Cb, Cy, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s ll sss full

FULL SEARCH INITIATED 16:14:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12894 TO ITERATE

100.0% PROCESSED 12894 ITERATIONS
SEARCH TIME: 00.00.01

3 ANSWERS

L2 3 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

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TOTAL

ENTRY

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148.15

148.36

FILE 'MARPAT' ENTERED AT 16:14:18 ON 10 DEC 2003
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS23) (20031205ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6642272 04 NOV 2003
DE 10317295 30 OCT 2003
EP 1361251 12 NOV 2003
JP 2003321470 11 NOV 2003
WO 2003092890 13 NOV 2003

Structure search limits have been raised. See HELP SLIMIT for the new,
higher limits.

=> s ll sss full

FULL SEARCH INITIATED 16:14:26 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 12706 TO ITERATE

74.6% PROCESSED 9484 ITERATIONS

42 ANSWERS

100.0% PROCESSED 12706 ITERATIONS (2 INCOMPLETE)
SEARCH TIME: 00.00.34

65 ANSWERS

L3 65 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

104.55

252.91

FILE 'CAOLD' ENTERED AT 16:15:11 ON 10 DEC 2003
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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REGISTRY INITIATED

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FULL SCREEN SEARCH COMPLETED - 12894 TO ITERATE

100.0% PROCESSED 12894 ITERATIONS
SEARCH TIME: 00.00.01

3 ANSWERS

L4 3 SEA SSS FUL L1

L5 0 L4

=> d his

(FILE 'HOME' ENTERED AT 16:13:35 ON 10 DEC 2003)

FILE 'REGISTRY' ENTERED AT 16:13:45 ON 10 DEC 2003

L1 STRUCTURE UPLOADED
L2 3 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 16:14:18 ON 10 DEC 2003

L3 65 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 16:15:11 ON 10 DEC 2003

S L1

FILE 'REGISTRY' ENTERED AT 16:15:17 ON 10 DEC 2003

L4 3 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 16:15:18 ON 10 DEC 2003

L5 0 S L4 SSS FULL

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

Patel

<12/10/2003>

FULL ESTIMATED COST

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401.86

FILE 'CAPLUS' ENTERED AT 16:15:28 ON 10 DEC 2003
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FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24
FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L6 1 L2

=> s 13

L7 65 L3

=> s 14

L8 1 L4

=> s 16 and 17 and 18

L9 0 L6 AND L7 AND L8

=> s 18 and 16

L10 1 L8 AND L6

=> d 17 fbib hitstr abs total

L7 ANSWER 1 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:837035 CAPLUS

DN 139:337787

TI Preparation of novel methoxybenzamides for use in MCH receptor related disorders

IN Hoegberg, Thomas; Bjurling, Anna Emelie; Receveur, Jean-Marie; Little, Paul Brian; Elling, Christian E.; Norregaard, Pia Karina; Ulven, Trond

PA 7TM Pharma A/S, Den.

SO PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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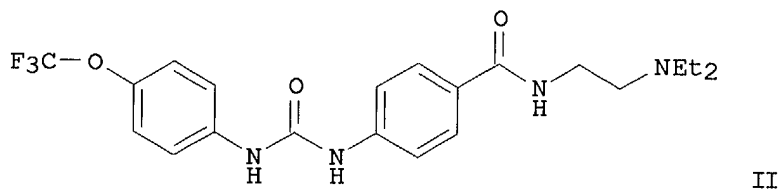
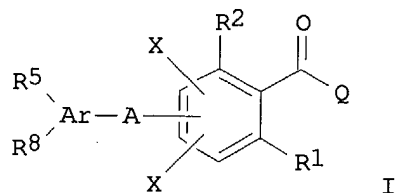
PI WO 2003087045 A1 20031023 WO 2003-DK231 20030408

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DK 2002-519 A 20020409
 DK 2002-520 A 20020409
 DK 2002-524 A 20020409
 DK 2002-1818 A 20021125

OS MARPAT 139:337787
 GI



AB Title compds. I [wherein A = a linker, e.g. CHR7CONR7, CONR7, OCONR7, SO2NR7, CHR7NR7CO, NR7COR7, hexahydro-2-oxo-pyrimidine-1,3-diyl, 2-oxoimidazolidine-1,3-diyl, 1,2,4-oxadiazolediyl, 1,3,4-oxadiazolediyl, CH=CH, OCHR7, NR7CHR7, SCHR7, or (un)substituted imidazolediyl or 1,2,4-triazolediyl; Ar = independently (hetero)aryl; R1 = alkoxy; R2 = H, OH, NH2, or alkoxy; COQ = amino-substituted amide; R5 and R6 = independently H, halo, alkoxy, OH, (di)alkylamino, hydroxyalkyl, carboxamido, acyl(amido), CHO, nitrile, alkyl, alkenyl, alkynyl, SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, SO2NH2, (di)alkylaminosulfonyl, or alkylsulfonyl; R7 = independently H, alkyl, or alkenyl; R8 = halo, (alkyl)(cyclo)alkyl, alkenyl, alkynyl, (alkyl)(hetero)aryl, (alkyl)heterocyclyl, (aryl)alkoxy, aryloxy, dialkylamino, (di)alkylcarbonyl, (di)arylcarbonyl, alkanoyl(amino), aroyl(amino), SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, or R6ArB; B = a single bond or connecting moiety; X = H, halo, SMe, CF3, OCF3, SCF3, OMe, alkyl, or alkenyl; and physiol. acceptable salts, complexes, solvates, and prodrugs thereof] were prepd. as melanin-concg.

hormone (MCH) receptor modulators. For example, coupling of procainamide with 4-trifluoromethoxyphenyl isocyanate in the presence of TEA in CH₂Cl₂ gave II (59%). In assays of [¹²⁵I]-MCH binding and phosphatidylinositol turnover using transiently transfected COS-7 cells or stably transfected CHO cells expressing the human MCH-1 receptor, II exhibited activity with IC₅₀ values of 0.07 . μ M and 0.29 . μ M, resp. Administration of II (10 mg/kg i.p.) to male Sprague Dawley rats resulted in a significant redn. of their cumulative food intake over 6 h. Thus, I and their pharmaceutical comps. are useful in the treatment or prevention of obesity, depression, diabetes, bulimia, and other MCH receptor related disorders (no data).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:777749 CAPLUS

DN **139:277029**

TI Preparation and formulation of menthol substituted antithrombotic PAI-1 inhibitors

IN Bauer, Shawn; Mohan, Raju; Shaw, Kenneth J.; Wu, Qingyu; Ye, Bin; Buckman, Brad O.; Ghannam, Ameen; Griedel, Brian D.; Khim, Seock-Kyu; Zhao, Zuchun

PA Schering Aktiengesellschaft, Germany

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DT Patent

LA English

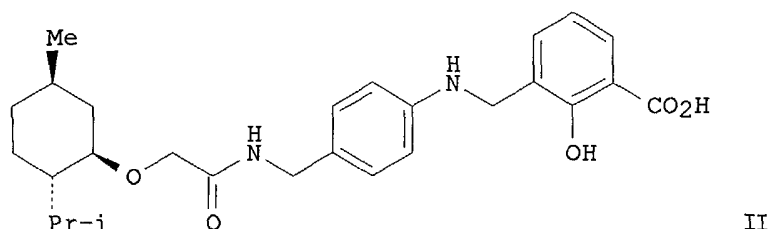
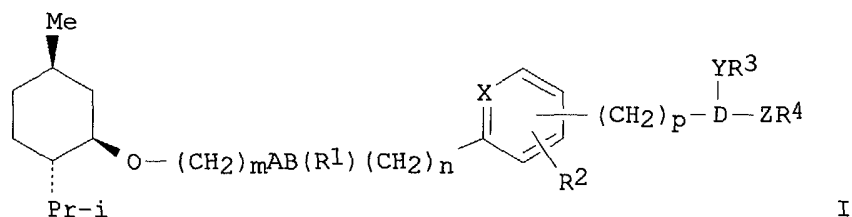
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080564	A1	20031002	WO 2003-US7506	20030312
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US 2002-365932PP 20020320

OS MARPAT 139:277029

GI



AB Menthol-substituted compds. of formula I [R1 = H, alkyl, alkylene, aryl, haloalkyl, menthoxyalkyl, heterocyclo, absent; R2 = H, alkoxy, amino, alkylaminocarbonyl, alkyl, etc.; R3 = Ph, CO2H, alkoxy, etc.; R4 = dibenzodioxepinone, pyridinyl, etc.; A = carbonyl, absent; B = N, O, absent; AB = heterocyclo; D = N, O, absent; X = C, N; Y = alkylene, aryl, carbonyl, absent; DY = heterocyclo; Z = alkylene, sulfonyl, aminocarbonyl, carbonyl, absent; m, n, p = 0-2] are prepd. which are useful as antithrombotic agents by inhibiting plasminogen activator inhibitor-1 (PAI-1). The compds. are useful in the treatment of disease-states characterized by thrombotic activity. Pharmaceutical compns. contg. I are described. Thus, II was prepd. from 4-nitrobenzylamine hydrochloride, menthoxyacetyl chloride and 2-hydroxy-3-carboxybenzaldehyde in 90% yield.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:656580 CAPLUS

DN 139:197369

TI Preparation of aryl ureas with angiogenesis inhibiting activity

IN Dumas, Jacques; Scott, William J.; Elting, James; Hatoum-Makdad, Holia

PA Bayer Corporation, USA

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

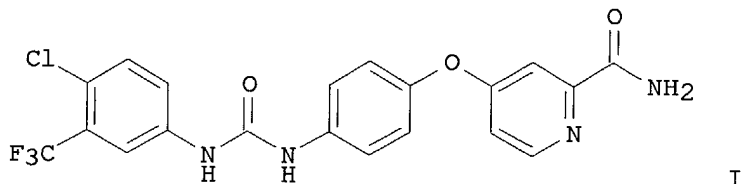
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003068228	A1	20030821	WO 2003-US4103	20030211
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,				

NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG

US 2003207870 A1 20031106 US 2002-354950PP 20020211
US 2003-361858 20030211
US 2002-354950PP 20020211
OS MARPAT 139:197369
GI



AB The title compds. ANHCONHB [A, B = (un)substituted Ph, naphthyl, 5-6 membered monocyclic heteroaryl, etc.], useful for treating diseases mediated by the VEGF induced signal transduction pathway characterized by abnormal angiogenesis or hyperpermeability processes, were claimed. Prepns. of three title ureas are described. E.g., a 3-step synthesis of the urea I (starting from Me 4-chloro-2-pyridinecarboxylate hydrochloride), was given. The KDR (VEGFR2) assay for testing the title ureas is described.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:551189 CAPLUS

DN **139:101121**

TI Preparation of 1,1'-biphenyl derivatives as biaromatic ligand activators of peroxisome proliferator-activated receptors subtype gamma (PPAR gamma receptors)

IN Bernardon, Jean-Michel; Clary, Laurence; Terranova, Eric

PA Fr.

SO U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003134885	A1	20030717	US 2002-326054	20021223
				FR 2001-16750 A	20011221
				US 2002-351425PP	20020128
				FR 2002-2647 A	20020301
	FR 2833949	A1	20030627	FR 2001-16750	20011221
	FR 2836683	A1	20030905	FR 2002-2647	20020301

PATENT FAMILY INFORMATION:

FAN 2003:492185

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2833949	A1	20030627	FR 2001-16750	20011221
	WO 2003055867	A1	20030710	WO 2002-FR4232	20021209

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003134885

A1

20030717

FR 2001-16750 A 20011221

US 2002-326054 20021223

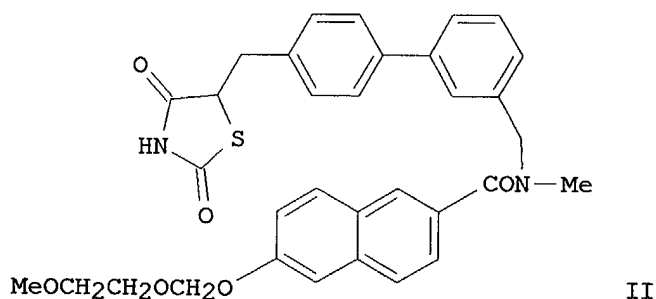
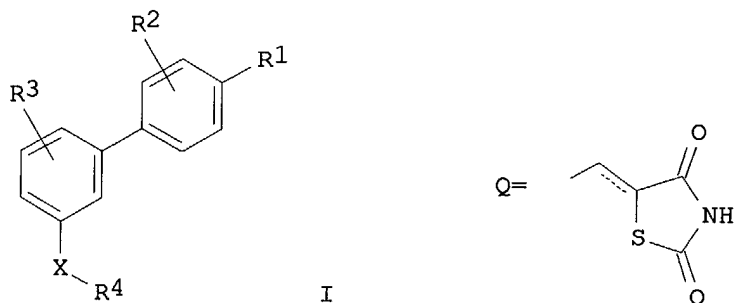
FR 2001-16750 A 20011221

US 2002-351425PP 20020128

FR 2002-2647 A 20020301

OS MARPAT 139:101121

GI



AB The title compds. [I; R1 = Q, CH2CHR6COR5; R2, R3 = H, C1-6 alkyl, aryl, halo, HO, C1-6 alkoxy, aryloxy, aralkyloxy, a polyether radical, NO2, C1-6 alkyl-(un)substituted NH2 group; X = N-(un)substituted CH2NHCO, NHCONH, NHCOCH2, or NHCH2CO whether read from left to right or vise versa; R4 = each (un)substituted Ph, benzyl, phenethyl, thienyl, furyl, or pyridyl; R5 = HO, C1-9 alkoxy; R6 = C1-6 alkyl, OR14, SR14; wherein R14 = C1-12 alkyl, CF3, aryl, aralkyl] are prepd. Novel pharmaceutical/cosmetic compns. contain at least one biarom. ligand activator of a PPAR.gamma. receptor, such biarom. ligand having the structural formula I and are well suited,

inter alia, for regulating and/or restoring skin lipid metab., for treating a wide variety of dermatol. afflictions, and for preventing and/or treating the signs of aging and/or dry skin. Thus, 1.27 g 5-(3'-methylaminomethylbiphenyl-4-ylmethyl)thiazolidine-2,4-dione was condensed with 1.97 g 6-(2-methoxyethoxymethoxy)naphthalene-2-carboxylic acid using 1-hydroxybenzotriazole, Et3N, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 at room temp. for 3 h to give 1.97 g (62%) of 6-(2-methoxyethoxymethoxy)-N-[4'-(2,4-dioxothiazolidin-5-ylmethyl)biphenyl-3-ylmethyl]-N-methylnaphthalene-2-carboxamide (II). II in vitro activated PPAR.alpha. and PPAR.gamma. receptors expressed in Hela cells by 22.9 and 93.3%, resp., with AC50 of >50,000.0 and 0.55 nM, resp. (AC50 = 50% activation of the basal signal relative to the ref. agonist (-)-3-[4-[2-(benzoxazol-2-ylmethylamino)ethoxy]phenyl]-2-ethoxypropionic acid). Various formulations contg. specific I compds., e.g. tablet contg. II, were illustrated.

L7 ANSWER 5 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:527541 CAPLUS

DN 139:79171

TI Phenylurea derivatives as vanilloid VR1 receptor antagonists and pharmaceuticals containing them

IN Yura, Takeshi; Motegi, Muneto; Ikegami, Yuka; Masuda, Tsutomu; Kokubo, Toshio; Urbahns, Klaus; Yoshida, Osahiro; Marushige, Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshiya; Tsukimi, Yasuhiro

PA Bayer A.-G., Germany

SO Jpn. Kokai Tokkyo Koho, 136 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003192659	A2	20030709	JP 2001-395032	20011226
	WO 2003055848	A2	20030710	WO 2002-EP14216	20021213
	WO 2003055848	A3	20031023		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2001-395032 A 20011226

JP 2001-395033 A 20011226

PATENT FAMILY INFORMATION:

FAN 2003:525400

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003192660	A2	20030709	JP 2001-395033	20011226
	WO 2003055848	A2	20030710	WO 2002-EP14216	20021213
	WO 2003055848	A3	20031023		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

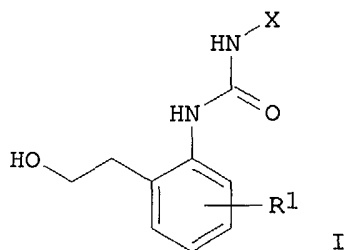
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JP 2001-395032 A 20011226

JP 2001-395033 A 20011226

OS MARPAT 139:79171

GI



AB The phenylurea derivs. I (X = Ph, benzyl, pyridyl, carbazolyl, fluorenyl, thienyl, pyrimidyl benzodioxolyl, indazolyl, quinolyl, naphthyl, or naphthyl-C1-6 alkyl, among them, (hetero)arom. group is optionally substituted with R1, R2, R3; R1, R2, R3 = H, halo, C1-6 alkyl, C1-6 haloalkyl, NO2, cyano, C1-6 alkoxy, OH, piperidino, furyl, thienyl, benzyloxy, anilino, C1-6 alkylcarbamoyl, etc.), their tautomers, their stereoisomers, or their salts are claimed. Also claimed are pharmaceuticals contg. I, their tautomers, their stereoisomers, or their salts for prophylaxis and/or treatment of urge incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritis pain, neuralgia, neuropathies, hyperesthesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence, inflammatory disorders, etc. N-(3,4-Dichlorophenyl)-N'-[2-(2-hydroxyethyl)phenyl]urea, prepd. from 2-H2NC6H4CH2CH2OH and 3,4-Cl2C6H3NCO, inhibited capsaicin-induced Ca2+ influx into CHO cells expressing human VR1 receptors at IC50 .ltoreq.0.1 .mu.M.

L7 ANSWER 6 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:492185 CAPLUS

DN **139:69254**

TI Preparation of 4-(2,4-dioxothiazolidin-5-ylmethyl)biphenyl derivatives as new ligand activators of PPAR.gamma. receptors for use in human medicine and in cosmetics

IN Bernardon, Jean Michel; Clary, Laurence

PA Galderma Research & Development, Fr.

SO Fr. Demande, 50 pp.

CODEN: FRXXBL
 DT Patent
 LA French
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2833949	A1	20030627	FR 2001-16750	20011221
	WO 2003055867	A1	20030710	WO 2002-FR4232	20021209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003134885	A1	20030717	FR 2001-16750 A	20011221
				US 2002-326054	20021223
				FR 2001-16750 A	20011221
				US 2002-351425PP	20020128
				FR 2002-2647 A	20020301

PATENT FAMILY INFORMATION:

FAN 2003:551189

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003134885	A1	20030717	US 2002-326054	20021223
				FR 2001-16750 A	20011221
				US 2002-351425PP	20020128
				FR 2002-2647 A	20020301
	FR 2833949	A1	20030627	FR 2001-16750	20011221
	FR 2836683	A1	20030905	FR 2002-2647	20020301
OS	MARPAT 139:69254				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

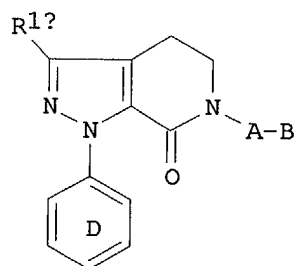
AB The title compds. [I; R1 = II, CH2CHR6COR5; R2, R3 = H, alkyl, aryl, etc.; X = CH2NR8CO, NR8CONR9, NR8COCH2, NR8CH2CO; R4 = (un)substituted Ph, CH2Ph, thienyl, etc.; R5 = OH, alkoxy; R6 = alkyl, alkoxy, thioxy, aryloxy, etc.; R8 = H, alkyl; R9 = H, alkyl], useful in pharmaceutical compns. intended for a use in medicine human or veterinary (in dermatol., in the field of the cardiovascular diseases, the immunizing diseases and/or the diseases related to the metab. of the lipids), or in cosmetic compns., were prepd. and formulated. Thus, reacting 5-(3'-methylaminomethylbiphenyl-4-ylmethyl)thiazolidine-2,4-dione (multi-step synthesis given) with cyclopentanepropionyl chloride afforded 25% III which showed Kd of 250.0 nM against PPAR.gamma. receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

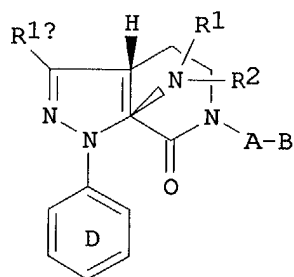
L7 ANSWER 7 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:472339 CAPLUS
 DN **139:53014**
 TI Synthesis of 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones
 IN Zhou, Jiacheng; Oh, Lynette M.; Ma, Philip; Li, Hui-yin
 PA Bristol-Myers Squibb Company, USA
 SO PCT Int. Appl., 143 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

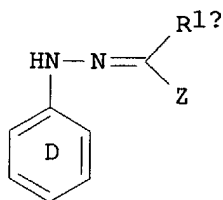
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003049681	A2	20030619	WO 2002-US38559	20021203
	WO 2003049681	A3	20030918		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003181466	A1	20030925	US 2001-339085PP	20011210
				US 2002-308741	20021203
				US 2001-339085PP	20011210
OS	MARPAT 139:53014				
GI					



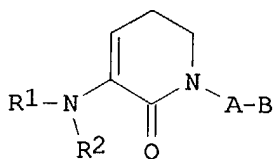
I



II



III



IV

AB A novel process and intermediates thereof for making 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones (shown as I; variables defined below; e.g. 1-(4-methoxyphenyl)-7-oxo-6-[4-(2-oxopiperidin-1-yl)phenyl]-4,5,6,7-

tetrahydro-1H-pyrazolo[3,4-c]pyridine-3-carboxylic acid amide) from appropriate Ph hydrazines is described. These compds. are useful as factor Xa inhibitors (no data). I are made from II using an acid, e.g. trifluoroacetic, sulfuric, nitric, hydrochloric. For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepd. (95% yield) from 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one (1.0 mmol) in CH₂Cl₂ on treatment with CF₃CO₂H (2.0 mL). II are made from III and IV in the presence of base (e.g. triethylamine, diisopropylethylamine, and N-methylmorpholine). For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepd. (65% yield) from 2,2,2-trifluoro-N-(3-cyano-4-fluorophenyl)ethanehydrazonoyl mesylate (4.0 mmol) and N-(4-iodophenyl)-3-morpholino-5,6-dihydro-2H-pyridin-2-one (4.0 mmol) in toluene (18 mL) in the presence of N-methylmorpholine (16.0 mmol). For I-IV: ring D = 4-chlorophenyl, 4-methoxyphenyl, 2-cyanophenyl, 2-(aminomethyl)phenyl, 2-(PgNHCH₂)phenyl, 3-cyanophenyl, 3-(aminomethyl)phenyl, 3-(PgNHCH₂)phenyl, 3-cyano-4-fluorophenyl, (3-amino)benz[d]isoxazol-6-yl, and (3-PgNH)benz[d]isoxazol-6-yl (Pg is an amine protecting group). R₁ and R₂ = C1-6 alkyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, and benzyl; alternatively, NR₁R₂ is a 3-8 membered ring consisting of C atoms, N, and 0-1 O atoms; R_{1a} = H, CH₃, CH₂CH₃, CH₂CH₂CH₃, CH₂F, CH₂Cl, Br, CH₂Br, CN, CH₂CN, CF₃, CH₂CF₃, CH₂OCH₃, CO₂CH₃, CH₂CO₂CH₃, CO₂CH₂CH₃, CH₂CO₂CH₂CH₃, CH₂SCH₃, S(O)CH₃, CH₂S(O)CH₃, S(O)CH₂CH₃, CH₂S(O)CH₂CH₃, C(O)NH₂, CH₂C(O)NH₂, SO₂NH₂, CH₂SO₂NH₂, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyridin-2-yl N-oxide, pyridin-3-yl N-oxide, pyridin-4-yl N-oxide, imidazol-1-yl, CH₂-imidazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-5-yl, CH₂-1,2,3,4-tetrazol-1-yl, and CH₂-1,2,3,4-tetrazol-5-yl, provided that R_{1a} forms other than an N-halo, N-N, N-S, N-O, or N-CN bond. A = Ph substituted with 0-1 R₄, pyridyl substituted with 0-1 R₄, and pyrimidyl substituted with 0-1 R₄; B = B1, Cl, Br, I, OMs, OTs, OSO₂Ph, CH₂Br, CH₂OH, and CHO; alternatively, A-B is H; B1 is Y or X-Y; X = C1-4 alkylene, -CR₂(CHR₂R₂b)(CH₂)t-, -C(O)-, -CR₂(OR₂)-, -CR₂(SR₂)-, -C(O)CR₂R₂a-, -CR₂R₂aC(O)-, -S(O)p-, -S(O)pCR₂R₂a-, -CR₂R₂aS(O)p-, -S(O)2NR₂-, -NR₂S(O)2-, -NR₂S(O)2CR₂R₂a-, -CR₂R₂aS(O)2NR₂-, -NR₂S(O)2NR₂-, -C(O)NR₂-, -NR₂C(O)-, -C(O)NR₂CR₂R₂a-, -NR₂C(O)CR₂R₂a-, -CR₂R₂aC(O)NR₂-, -CR₂R₂aNR₂C(O)-, -NR₂C(O)O-, -OC(O)NR₂-, -NR₂C(O)NR₂-, -NR₂-, -NR₂CR₂R₂a-, -CR₂R₂aNR₂-, O-, -CR₂R₂aO-, and -OCR₂R₂a-. Y = C3-10 carbocycle substituted with 0-2 R₄a, and 5-10 membered heterocycle contg. = 1-4 heteroatoms N, O, and S, substituted with 0-2 R₄a; addnl. details are given in the claims. For III: Z = Cl, Br, I, OSO₂Me, OSO₂Ph, OSO₂C₆H₄Me-p.

L7 ANSWER 8 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:414216 CAPLUS

DN 139:6766

TI Preparation of indole-2-carboxamides as factor Xa inhibitors

IN Nazare, Marc; Essrich, Melanie; Will, David William; Matter, Hans; Ritter, Kurt; Wehner, Volkmar

PA Aventis Pharma Deutschland GmbH, Germany

SO Eur. Pat. Appl., 90 pp.

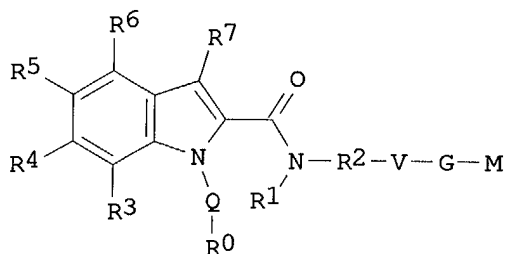
CODEN: EPXXDW

DT Patent

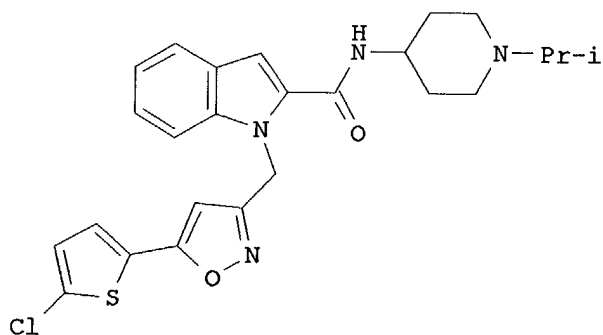
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1314733	A1	20030528	EP 2001-127809	20011122
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	WO 2003044014	A1	20030530	WO 2002-EP12500	20021108
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003199689	A1	20031023	EP 2001-127809 A	20011122
				US 2002-301397	20021121
				EP 2001-127809 A	20011122
OS	MARPAT 139:6766				
GI					



I



II

AB The title compds. [I; R0 = (un)substituted monocyclic or bicyclic 6-14 membered aryl, monocyclic or bicyclic 5-14 membered heteroaryl, etc.; Q = a bond, CO, SO2, etc.; R1 = H, alkyl; R2 = a bond, alkylene; R1 and R2 together with the N atom and V to which they are bonded form (un)substituted 5-7 membered cyclic group contg. up to 1-4 heteroatoms chosen from N, S or O; V = (un)substituted 3-7 membered cyclic residue contg. up to 1-4 heteroatoms chosen from N, S or O, 6-14 membered aryl,

etc.; G = a bond, (CH₂)_m, (CH₂)_mO(CH₂)_n, etc.; n, m = 0-6; M = H, alkyl, aryl, etc.; R₃-R₇ = H, halo, alkyl, etc.] which exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses, were prepd. Thus, amidation of 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-indole-2-carboxylic acid with 1-isopropylpiperidin-4-ylamine.HCl (preps. given) in the presence of BOP-Cl, Et₃N and DCM afforded II which showed K_i of 0.0033 .μM against factor Xa. The compds. I are reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa), and can in general be applied in conditions in which an undesired activity of factor Xa and/or factor VIIa is present or for the cure or prevention of which an inhibition of factor Xa and/or factor VIIa is intended. The invention furthermore relates to processes for the prepn. of compds. I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preps. comprising them.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:301073 CAPLUS

DN **138:321300**

TI Preparation of cyclic sulfone derivatives as inhibitors of matrix metalloproteinases, aggrecanase and/or TNF-α converting enzyme (TACE)

IN Duan, Jingwu; Xue, Chu-Biao

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003031431	A1	20030417	WO 2002-US32168	20021007
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003149031	A1	20030807	US 2001-327816PP	20011009
				US 2002-265876	20021007
				US 2001-327816PP	20011009

OS MARPAT 138:321300

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present formula application describes novel cyclic sulfone derivs. (shown as I; variables defined below; e.g. N-hydroxy-2-[4-isopropyl-2-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-1,1-dioxido-2-thiomorpholinyl]acetamide (shown as II)) or pharmaceutically acceptable salt or prodrug forms thereof, which are useful as inhibitors of matrix metalloproteinases (MMP), TNF- α converting enzyme (TACE), aggrecanase, or a combination thereof. Although the methods of prepn. are not claimed, 1 example prepn. is included and 19 specific I are mentioned in the claims. For I: A = COR₅, CO₂H, CO₂R₆, C(O)NHOH, C(O)NHOR₅, C(O)NHOR₆, NHR_a, N(OH)COR₅, N(OH)CHO, SH, CH₂SH, S(O)(:NH)R_a, S(:NH)2R_a, SC(O)R_a, PO(OH)₂, and PO(OH)NHR_a. Ring B, including the shown C and sulfonyl groups, is a 4-8 membered heterocycle consisting of C atoms and, in addn. to the sulfonyl group shown, 0-2 heteroatoms = O, N, NR₁₀, and S(O)p, provided that ring B contains other than a S-S, O-O, or S-O bond; ring B consists of 0-1 double bonds and is substituted with 0-2 R_b. X is absent or is CR₃R₄; U_a is absent or = O, NR₁, C(O), C(O)O, OC(O), C(O)NR₁, NR₁C(O), OC(O)O, OC(O)NR₁, NR₁C(O)O, NR₁C(O)NR₁, S(O)p, S(O)pNR₁, NR₁S(O)p, and NR₁SO₂NR₁; X_a is absent or = C1-4 alkylene, C2-4 alkenylene, and C2-4 alkynylene; Y_a is absent or = O, NR₁, S(O)p, and C(O); provided that U_a-X_a-Y_a form other than a bond or O; Z_a is a C3-13 carbocycle substituted with 0-5 R_c or a 5-14 membered heterocycle consisting of C atoms and 1-4 heteroatoms N, O, and S(O)p, and substituted with 0-5 R_c; provided that U_a, Y_a and Z_a do not combine to form a N-N, N-O, O-N, O-O, S(O)p-O, O-S(O)p or S(O)p-S(O)p group. R₁ = H, C1-6 alkyl substituted with 0-1 R_b, C2-6 alkenyl substituted with 0-1 R_b, and C2-6 alkynyl substituted with 0-1 R_b; R₂ = Q, C1-6 alkylene-Q, C2-6 alkenylene-Q, C2-6 alkynylene-Q, (CR_aR₁)r₁₀(CR_aR₁)r-Q, (CR_aR₁)r₁NR_a(CR_aR₁)r-Q, (CR_aR₁)r₁C(O)(CR_aR₁)r-Q, (CR_aR₁)r₁C(O)O(CR_aR₁)r-Q, (CR_aR₁)r₁OC(O)(CR_aR₁)r-Q, (CR_aR₁)r₁C(O)NR_aR₁, (CR_aR₁)r₁C(O)NR_a(CR_aR₁)r-Q, (CR_aR₁)r₁NR_aC(O)(CR_aR₁)r-Q, (CR_aR₁)r₁OC(O)O(CR_aR₁)r-Q, (CR_aR₁)r₁OC(O)NR_a(CR_aR₁)r-Q, (CR_aR₁)r₁NR_aC(O)O(CR_aR₁)r-Q, (CR_aR₁)r₁NR_aC(O)NR_a(CR_aR₁)r-Q, (CR_aR₁)r₁S(O)p(CR_aR₁)r-Q, (CR_aR₁)r₁SO₂NR_a(CR_aR₁)r-Q, (CR_aR₁)r₁NR_aSO₂(CR_aR₁)r-Q, and (CR_aR₁)r₁NR_aSO₂NR_a(CR_aR₁)r-Q; Q = H, a C3-13 carbocycle substituted with 0-5 R_d, and a 5-14 membered heterocycle consisting of C atoms and 1-4 heteroatoms N, O, and S(O)p, and substituted with 0-5 R_d; alternatively, R₁ and R₂, together with the C atom to which they are attached, combine to form a 3-10 membered heterocyclic ring consisting of C atoms and 0-2 ring heteroatoms = O, N, NR₁₀, and S(O)p, and substituted with 0-3 R_c; R_b = C1-6 alkyl substituted with 0-1 R_{c1}, OR_a, Cl, F, Br, I, O, CN, NO₂, NR_aR₁, C(O)R_a, C(O)OR_a, C(O)NR_aR₁, C(S)NR_aR₁, NR_aC(O)NR_aR₁, OC(O)NR_aR₁, NR_aC(O)OR_a, S(O)2NR_aR₁, NR_aS(O)2R_a3, NR_aS(O)2NR_aR₁, OS(O)2NR_aR₁, NR_aS(O)2R_a3, S(O)pR_a3, CF₃, CF₂CF₃, CHF₂, CH₂F, and phenyl; q = 0-2; addnl. details are given in the claims. A no. of I exhibit K_i's of <10 μ M in a metalloproteinase assay (specific compds. not mentioned).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:300876 CAPLUS

DN 138:321573

TI Preparation of p-aminobenzoic acid amino acid derivatives as integrin antagonists

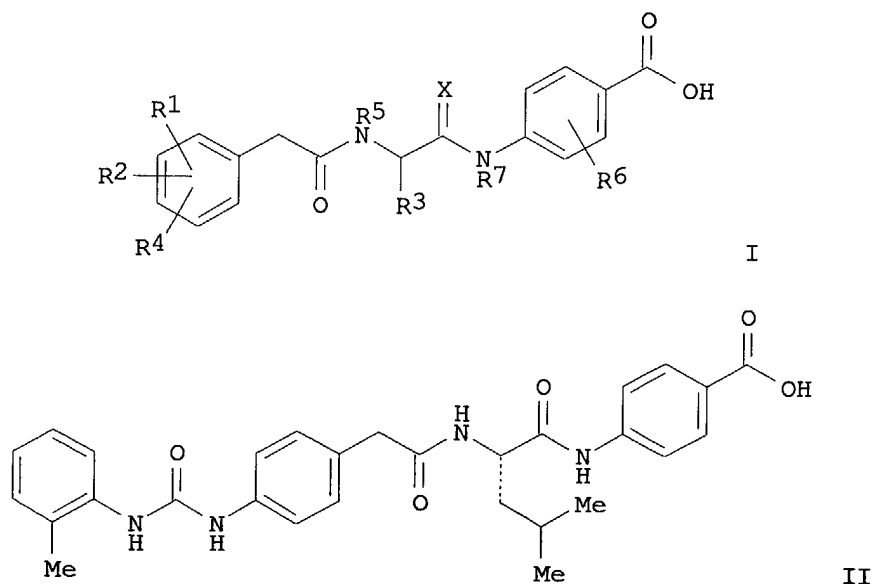
IN Lehmann, Thomas; Albers, Markus; Roelle, Thomas; Mueller, Gerhard; Hessler, Gerhard; Tajimi, Masaomi; Ziegelbauer, Karl; Okigami, Hiromi;

Bacon, Kevin; Hasegawa, Haruki
PA Bayer Aktiengesellschaft, Germany
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003030889	A1	20030417	WO 2002-EP10563	20020920
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

OS MARPAT 138:321573
GI

GB 2001-23765 A 20011003



AB The invention relates to p-aminobenzoic acid derivs. I or their pharmaceutically-acceptable salts as .alpha.4.beta.1, .alpha.4.beta.7, and/or .alpha.9.beta.1 integrin antagonists for the prodn. of pharmaceutical compns. suitable for the inhibition or prevention of cell adhesion and cell-adhesion mediated disorders. Thus, compd. II was prepd. by the solid-phase method and showed IC50 .ltoreq. 0.5 .mu.M in the VCAM-1 assay.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

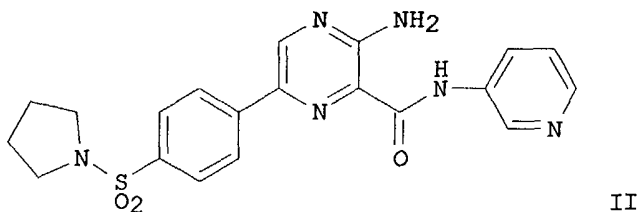
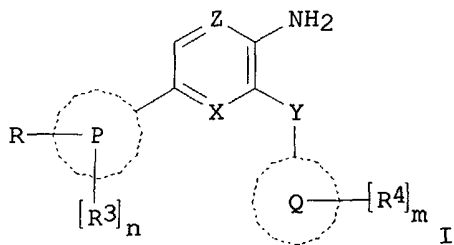
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2003:42250 CAPLUS
 DN **138:106712**
 TI Preparation of pyrazine-2-carboxamides as glycogen synthase kinase-3
 (GSK3) inhibitors
 IN Berg, Stefan; Hellberg, Sven
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003004472	A1	20030116	WO 2002-SE1339	20020703
	WO 2003004472	C1	20030313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

SE 2001-2439 A 20010705

OS MARPAT 138:106712
 GI



AB The title compds. [I; Z = CH, N; Y = CONR₅, NR₅CO, SO₂NR₅, etc.; X = CH,

N; P = Ph or 5-6 membered heteroaryl which may optionally be fused with 5-6 membered (un)satd. ring contg. one or more atoms selected from C, N, O or S; Q = Ph or 5-6 membered heteroaryl contg. one or more heteroatoms selected from N, O or S of which at least one atom is selected from N atom; R = CHO, OCH₂F, OCHF₂, OCF₃, etc.; R₃, R₄ = halo, NO₂, CHO, etc.; n, m = 0-4], useful in the prevention and/or treatment of conditions assocd. with glycogen synthase kinase-3, were prepd. and formulated. Thus, coupling 3-amino-6-bromo-N-(pyridin-3-yl)pyrazine-2-carboxamide with 4-(pyrrolidin-1-ylsulfonyl)phenylboronic acid (prepns. given) in the presence of Pd(dppf)Cl₂ and Na₂CO₃ in dimethoxyethane afforded 93% the carboxamide II. Typical K_i values for the compds. I are in the range of about 0.001 to about 10,000 nM.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:22869 CAPLUS

DN 138:89806

TI Preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease.

IN Ingraham, Richard H.; Proudfoot, John R.

PA Boehringer Ingelheim Pharmaceuticals Inc., USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DT Patent

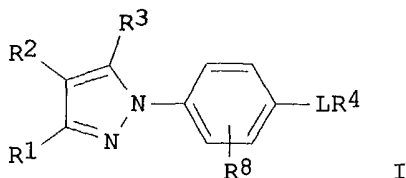
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003002555	A1	20030109	WO 2002-US18752	20020614
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003022929	A1	20030130	US 2001-302066PP	20010629
				US 2002-172457	20020614
				US 2001-302066PP	20010629

OS MARPAT 138:89806

GI



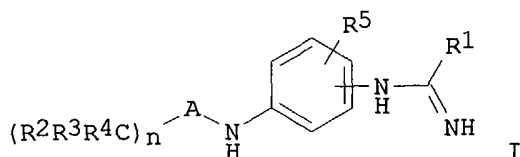
AB A method of treating cardiovascular disease comprises administration of title compds. [I; R₁, R₃ = CF₃, halo, cyano, alkyl, alkenyl, alkynyl,

(substituted) cycloalkyl, heterocyclyl, etc.; R2 = H, halo, Me; L = NHCO, NHCS, NH, NHCH2, NHCOCO, etc.; R4 = (substituted) alkyl, alkoxy, alkylthio, alkylamino, alkoxyalkyl, alkylthioalkyl, carbocyclyl, heterocyclyl, etc.; R8 = H, NH2] (no data). Thus, 2-chloronicotinic acid in MeCN was treated with EDC and then with 1-(4-aminophenyl)-3-(3-pyridyl)-5-trifluoromethylpyrazole under ice cooling followed by stirring for 1 h to give I (R1 = 3-pyridyl; R2, R8 = H; R3 = CF3; L = NHCO; R4 = 2-chloropyridin-3-yl).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695939 CAPLUS
DN 137:232452
TI Preparation of benzamidines having antiinflammatory and immunosuppressive activity
IN Makovec, Francesco; Zanzola, Simona; Artusi, Roberto; Rovati, Lucio Claudio
PA Rotta Research Laboratorium S.p.A., Italy
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070468	A2	20020912	WO 2002-EP1201	20020206
	WO 2002070468	A3	20030904		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				IT 2001-TO110	A 20010208
	EP 1363875	A2	20031126	EP 2002-718096	20020206
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				IT 2001-TO110	A 20010208
				WO 2002-EP1201	W 20020206
OS	CASREACT 137:232452; MARPAT 137:232452				
GI					



AB Title compds. [I; A = carboxamide, thiocarboxamide, carbonyl; R1 = alkyl, amino, optionally substituted with NO2 or Me; R2 = H, alkyl, MeO, EtO, PrO, mono-, bi- or tricyclic cycloalkyl having 5-12 C atoms, adamantyl, aryl, naphthyl, heterocyclyl optionally substituted with Me, MeO, OH, amino, halo; R3, R4 = H, alkyl; R5 = 1-2 of H, Me, MeO, OH; n = 0-6; the amidine groups is in the para or meta position relative to the ANH group], were prep'd. Thus, di-N-(4-aminophenyl)-N'-pentylthiourea, Et3N, Me acetimide hydrochloride were stirred 24 h in THF to give N-[4-(n-acetamidine)Ph]-N'-pentylthiourea. The latter inhibited NO prodn. in rabbit joint chondrocytes with IC50 = 6.6 .mu.M.

L7 ANSWER 14 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:695933 CAPLUS

DN 137:232445

TI Preparation of aminodicarboxylic acids for the treatment of cardiovascular diseases

IN Alonso-Alija, Cristina; Haerter, Michael; Hahn, Michael; Pernerstorfer, Josef; Weigand, Stefan; Stasch, Johannes-Peter; Wunder, Frank

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070462	A1	20020912	WO 2002-EP1941	20020225
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				DE 2001-10110749A	20010307
	DE 10110749	A1	20020912	DE 2001-10110749	20010307
	EP 1368300	A1	20031210	EP 2002-703602	20020225
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				DE 2001-10110749A	20010307
				WO 2002-EP1941 W	20020225

OS MARPAT 137:232445

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [V = absent, O, COO, etc.; Q = absent, (un)substituted alkylene, alkendiyl, etc.; Y = H, NR8R9, (un)substituted aryl, etc.; W = (un)substituted alkylene, alkendiyl; U = (un)substituted alkyl; A = (un)substituted aryl, heteroarom. contg. 1-3 heteroatoms, e.g., S, N, O; X

= (un)substituted alkylene, alkendiyl, aryl, etc.; R1 = tetrazolyl, COOR30, CONR31R32 ; R2 = tetrazolyl, COOR24, CONR25R26, R25 and R26 form 5 or 6-membered ring which can be interrupted by O or N; R3 = aryl, SR17, SO2R17, etc.; R8, R9, R17 = H, (un)substituted alkyl, alkenyl, etc.; R24 = H, (un)substituted alkyl, cycloalkyl; R25, R26 = H, (un)substituted alkyl, cycloalkyl, etc.; R30 = H, (un)substituted alkyl, cycloalkyl; R31, R32 = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-4; n = 1-2] and their pharmaceutically acceptable salts were prepd. For example, Pd(Ph3)2Cl2 mediated coupling of aryl bromide II, prepd. from ethyl-2-hydroxy-5-trifluoromethoxybenzoate in 8-steps, with 4-chlorophenyl boronic acid, followed by ester hydrolysis afforded aminodicarboxylate III. Compds. I stimulated the activation of sol. guanylate cyclase (sGC) independent of the heme group (no data).

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:675840 CAPLUS

DN 137:226590

TI Use of epothilone derivatives and a signal transduction inhibitor for the treatment of cancer

IN Buchdunger, Elisabeth; Heldin, Carl-Henrik; Oestman, Arne; Pietras, Kristian; O'Reilly, Terence; Rothermel, John David; Traxler, Peter; Wartmann, Markus

PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Brandt, Ralf

SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DT Patent

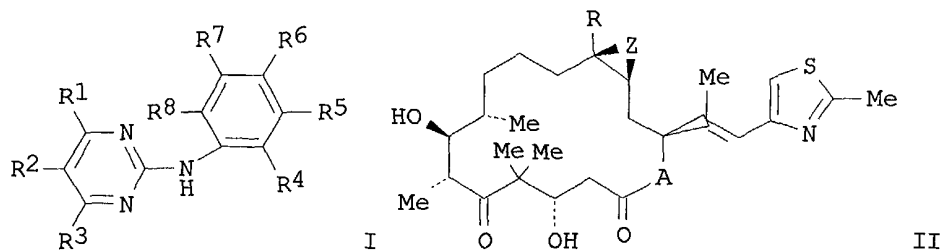
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067941	A2	20020906	WO 2002-EP2049	20020226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
			GB 2001-4840	A 20010227
			US 2001-339040PP	20011030
NO 2003003769	A	20030825	NO 2003-3769	20030825
			GB 2001-4840	A 20010227
			US 2001-339040PP	20011030
			WO 2002-EP2049 W	20020226

OS MARPAT 137:226590

GI



AB The present invention relates to a combination which comprises (a) a signal transduction inhibitor selected from a PDGF (platelet-derived growth factor) receptor tyrosine kinase inhibitor which is a N-phenyl-2-pyrimidine-amine deriv. such as I [R¹ = pyrazinyl, pyrrolyl, substituted phenyl; R², R³ = H, alkyl; R⁴, R⁵, R⁶, R⁷, R⁸ = nitro, alkoxy, -N(R⁹)-C(=X)-(Y)n-R¹⁰; R⁹ = H, alkyl; X = oxo, thio, imino, N-alkylamino, hydroximino; Y = O, NH; n = 0, 1; R¹⁰ = alkyl, aryl, cycloalkyl, heterocycle], and an active ingredient which decreases the activity of the epidermal growth factor (EGF) and (b) an epothilone deriv. such as II [A = O, NR_n; R_n = H, alkyl; R = H, alkyl; Z = O, a bond], and optionally at least one pharmaceutically acceptable carrier for simultaneous, sep. or sequential use, in particular, for the delay of progression or treatment of a proliferative disease. The invention also discloses a com. package comprising such a combination as a combined prepn. and to a method of treatment of a warm-blooded animal, esp. human.

L7 ANSWER 16 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:594872 CAPLUS

DN 137:155180

TI Preparation of tripeptides as hepatitis C inhibitors

IN Campbell, Jeffrey Allen; Good, Andrew

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 240 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060926	A2	20020808	WO 2001-US45145	20011120
	WO 2002060926	A3	20030313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002111313	A1	20020815	US 2001-1850	20011120
				US 2000-249968PP	20001120
EP 1337550	A2	20030827	EP 2001-997024	20011120	
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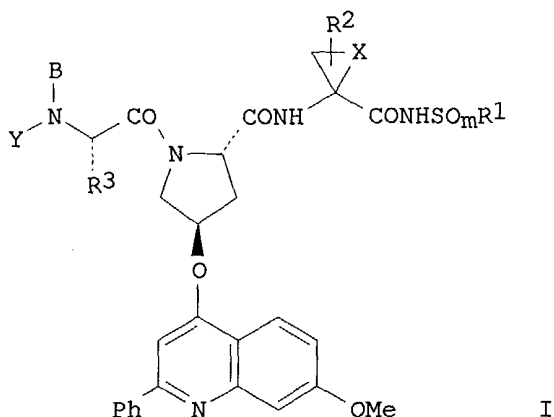
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2000-249968PP 20001120

WO 2001-US45145W 20011120

OS MARPAT 137:155180

GI



AB Tripeptides I [R1 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, or aryl; m = 1 or 2; X = CH₂ or CH₂CH₂; R2 = H or (un)substituted alkyl, alkenyl, or cycloalkyl; R3 = alkyl, phenylalkyl, alkenyl, (un)substituted cycloalkyl or alkylcycloalkyl CR3 is a cycloalkyl group optionally substituted by alkenyl; Y = H, nitrophenyl, nitropyridyl, or alkyl optionally substituted by cyano, hydroxyl, or cycloalkyl; B = H, alkyl, acyl, carbamoyl, thiocarbamoyl, or a sulfonyl group] were prepd. for the treatment of hepatitis C virus (HCV) infection. Synthetic procedures and biol. test data are given for 141 tripeptides I. Compd. I (R1 = p-AcNHC₆H₄, m = 2, X = CH₂, R2 = vinyl, R3 = tert-Bu, B = H, Y = tert-butoxycarbonyl) showed IC₅₀ < 0.05 .mu.M for inhibition of HCV NS3/4A protease (BMS strain) and EC₅₀ < 0.5 .mu.M in the HCV replicon cell-based assay.

L7 ANSWER 17 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:504777 CAPLUS

DN **137:63180**

TI Preparation of carboxamides as telomerase inhibitors

IN Haevel, Norbert; Priepke, Henning; Damm, Klaus; Schnapp, Andreas

PA Boehringer Ingelheim Pharma K.-G., Germany

SO PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051830	A1	20020704	WO 2001-EP14565	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10065043 A1 20020704 DE 2000-10065043A 20001223
 US 2002123509 A1 20020905 DE 2000-10065043 20001223
 US 6660764 B2 20031209 US 2001-25426 20011219

DE 2000-10065043A 20001223

OS MARPAT 137:63180

AB Title compds. AR1C:CR2C(O)NR3B [R1 = H, C1-3 alkyl; R2 = H, F, Cl, Br, C1-3 alkyl; R3 = H, C1-5 alkyl; A = (substituted) Ph-condensed chromanyl, chromenyl, 5-6 membered heterocyclyl; B = (substituted) 5-6 membered heteroaryl, Ph, naphthyl], were prepd. Thus, trans-3-(quinolin-6-yl)-N-(2-methoxycarbonylphenyl)but-2-enamide (prepn. given) was stirred with MeOH and 2N NaOH for 2 h at room temp. to give 92% trans-3-(quinolin-6-yl)-N-(2-carboxyphenyl)but-2-enamide. The latter at 5 .mu.M gave >50% inhibition of the telomerase activity.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:479961 CAPLUS

DN 137:41755

TI Antidiabetic agents containing amine derivatives having benzimidazole or imidazopyridine ring and their other uses

IN Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Honma, Eiji; Fujiwara, Toshihiko

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 109 pp.

CODEN: JKXXAF

DT Patent

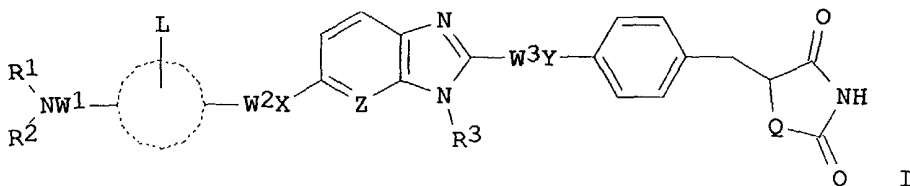
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002179568	A2	20020626	JP 2001-308814	20011004
				JP 2000-307159 A	20001006

OS MARPAT 137:41755

GI



AB Prophylactic and/or therapeutic agents for diabetes, glucose intolerance, diabetic complications, or gestational diabetes contain the derivs. I (R1 = carbamoyl which may have 1-2 .alpha., thiocarbamoyl which may have 1-2 .alpha., sulfonyl having 1 .alpha., carbonyl having 1 .alpha.; R2, R3 = H,

C1-10 alkyl, C6-10 aryl, which may have 1-3 .beta., C7-16 aralkyl which may have 1-3 .beta. on the aryl moiety; W1-W3 = direct bond, C1-8 alkylene; X, Y, Q = O, S; Z = :CH, N' Ar = benzene or naphthalene ring substituted with 1-4 L; L = H, C1-6 alkyl, C6-10 aryl which may have 1-3 .beta., C7-16 aralkyl which may have 1-3 .beta. on the aryl moiety; definitions of .alpha. and .beta. are given) or their pharmacol. acceptable salts. I and their salts are also useful as insulin resistance improving agents, hypoglycemics, inflammation inhibitors, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide formation inhibitors, PPAR activators, antiosteoporotic agents, leukotriene antagonists, adipocyte conversion promoters, cancer cell growth inhibitors, and Ca blockers. Feeding diabetic KK mice with feed contg. 0.01% 1-(4-chlorophenyl)-3-[4-[2-[4-(2,4-dioxothiazolidin-5-ylmethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-6-yloxy]-2,6-dimethylphenyl]thiourea (II) for 3 days showed 48.9% hypoglycemic effect. Capsules, tablets, and granules contg. II were also formulated.

L7 ANSWER 19 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:353418 CAPLUS

DN **136:355073**

TI Preparation of (arylacetamidophenyl)cycloalkylacetic acids as cell adhesion inhibitor for treatment of inflammatory diseases

IN Harris, Neil Victor; Fenton, Garry

PA Aventis Pharma Limited, UK

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

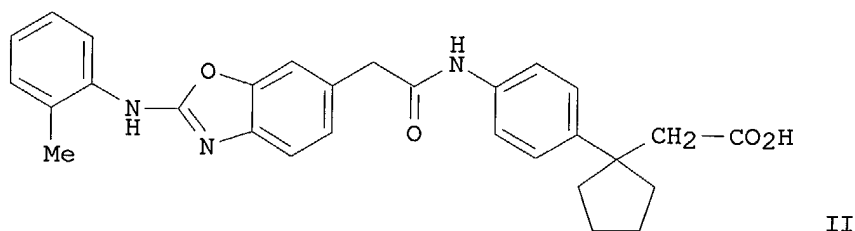
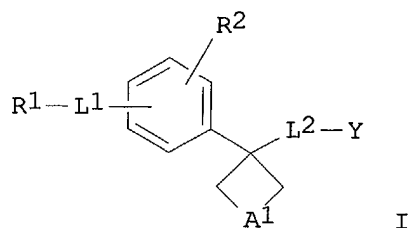
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002036553	A2	20020510	WO 2001-GB4864	20011102
	WO 2002036553	A3	20030313		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				GB 2000-27024 A	20001104
				US 2000-256170PP	20001213
				GB 2001-24528 A	20011012
AU	2002012481	A5	20020515	AU 2002-12481	20011102
				GB 2000-27024 A	20001104
				US 2000-256170PP	20001213
				GB 2001-24528 A	20011012
				WO 2001-GB4864 W	20011102
EP	1330432	A2	20030730	EP 2001-980688	20011102
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				GB 2000-27024 A	20001104
				US 2000-256170PP	20001213
				GB 2001-24528 A	20011012
				WO 2001-GB4864 W	20011102

OS MARPAT 136:355073
GI



AB Title compds. I [wherein ring A1 = (un)substituted carbocycle, indanyl, or heterocycle; R1 = R3Z1-Het or R4NR5CONHAr1; R2 = H, halo, alkyl, or alkoxy; Het = (un)substituted bicyclic ring contg. at least 1 O, S, or N; R3 = (un)substituted (hetero)aryl; R4 = H or alkyl; R5 = aryl(alkyl) or heteroaryl(alkyl); or NR4R5 = cyclic amine; R6 = direct bond or alkylene, alkenylene, or alkynylene; R7 = direct bond, (hetero)cycloalkylene, (hetero)aryldiyl; C(:Z2)NR8, NR8C(:Z2), Z2, CO, C(:NOR8), NR8, NR8C(:Z2)NR8, SO2NR8, NR8SO2, OCO, CO2, NR8CO2, or OCONR8; or R6R7 = direct bond; R8 = H or alkyl; Ar1 = (hetero)aryldiyl; L1 = R6R7; L2 = alkylene; Y = carboxy or acid bioisostere; Z1 = O or S; and N-oxides, prodrugs, pharmaceutically acceptable salts, and solvates thereof] were prep'd. as inhibitors of .alpha.4.beta.1 mediated cell adhesion for the treatment of inflammatory diseases, such as asthma. For example, coupling of [2-(o-tolylamino)benzoxazol-6-yl]acetic acid with [1-(4-aminophenyl)cyclopentyl]acetic acid tert-Bu ester to give the amide, followed by deesterification with TFA, afforded II. I exhibited IC50 values in the range of 100 .mu.M to 1 nM for inhibition of cell adhesion to VCAM-1 and fibronectin with the integrin VLA-4 (.alpha.4.beta.1).

L7 ANSWER 20 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:171844 CAPLUS

DN **136:232200**

TI Preparation of propenohydroxamic acid derivatives as TACE inhibitors for treatment of sepsis, infectious and autoimmune diseases, etc.

IN Hirata, Terukage; Misumi, Keiji; Ito, Kenji; Inokuma, Kenichi; Katayama, Kimiko

PA Wakunaga Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

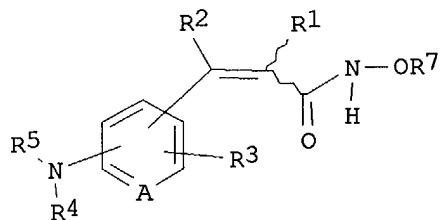
FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

PI WO 2002018326 A1 20020307 WO 2001-JP7292 20010827
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 JP 2000-263094 A 20000831
 AU 2001080167 A5 20020313 AU 2001-80167 20010827
 JP 2000-263094 A 20000831
 WO 2001-JP7292 W 20010827
 EP 1314721 A1 20030528 EP 2001-958495 20010827
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2000-263094 A 20000831
 WO 2001-JP7292 W 20010827
 OS MARPAT 136:232200
 GI



I

AB The title compds. I [R1 represents hydrogen, alkyl or halogeno; R2 represents cycloalkyl, aryl, heteroaryl, etc.; R3 represents hydrogen, alkenyl, etc.; R4 represents H, (un)substituted alkyl, etc.; R5 represents R6CO, R6SO2, R6NHCO or R6NHCS (wherein R6 represents alkyl, cycloalkyl, cyclic amino, aryl, heteroaryl, etc.); R7 represents hydrogen or a protective group; and A represents CH, nitrogen, etc.] are prepd. I are useful as drugs for preventing and/or treating diseases such as sepsis, rheumatoid arthritis, infectious diseases, autoimmune diseases, malignant neoplasm, collagen disease, etc. E-3-[3-[N-(4-methoxybenzenesulfonyl)-N-methylaminophenyl]-3-(3-pyridyl)]propenohydroxamic acid (II) in vitro showed IC50 of 7 nM against TACE. II in vitro showed IC50 of > 10000 nM against MMP-1.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:142704 CAPLUS

DN 136:200177

TI Preparation of diheteroaryl ureas as antitumor agents

IN Santora, Vent; Askew, Benny; Ghose, Arup; Hague, Andrew; Kim, Tae Seong;
 Laber, Ellen; Li, Aiwen; Lian, Brian; Liu, Gang; Norman, Mark Henry;
 Smith, Leon; Tasker, Andrew; Tegley, Christopher; Yang, Kevin

PA Amgen Inc., USA
 SO PCT Int. Appl., 371 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002014311	A2	20020221	WO 2001-US25472	20010815
	WO 2002014311	A3	20020919		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001084909	A5	20020225	US 2000-225793PP	20000815
				AU 2001-84909	20010815
				US 2000-225793PP	20000815
				WO 2001-US25472W	20010815
	EP 1309589	A2	20030514	EP 2001-964009	20010815
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2000-225793PP	20000815
				WO 2001-US25472W	20010815

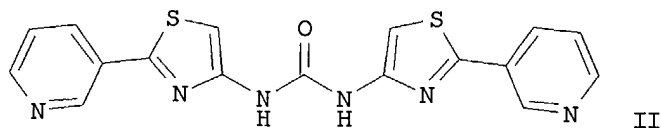
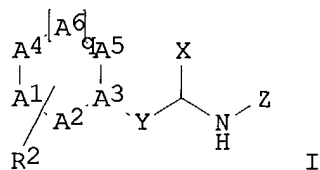
PATENT FAMILY INFORMATION:

FAN 2002:965133

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002193405	A1	20021219	US 2002-77124	20020215
	US 6645990	B2	20031111		
				US 2000-225793PP	20000815
				US 2001-930753 A2	20010814
	US 2002173507	A1	20021121	US 2001-930753	20010814
				US 2000-225793PP	20000815
	WO 2003070727	A1	20030828	WO 2003-US4537	20030213
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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US 2002-77124 A 20020215

OS MARPAT 136:200177
 GI



AB The title compds. [I; A1-A6 = CH₂, CH, C, O, S, Nh, N; X and Z taken together to form a N atom contg. ring; Y = NHCO(CH₂)_p, CH₂CO₂, NHSO₂CH₂, NHCO₂, NHCONR₆(CH₂)_r; R₂ = alkylaminoalkynyl, cycloalkenylalkynyl, phenylalkynyl, etc.; p = 1-2; q = 0-1; r = 0-3; R₆ is not defined] which are effective for prophylaxis and treatment of diseases, such as cell proliferation or apoptosis mediated diseases involving stroke, cancer and the like, were prepd. Thus, treating 3-(3-pyridyl)-4-thiazolylcarbonylazide in PhMe with a few drops of H₂O afforded the urea II which showed cdk2/cyclin and cdk5/cyclin kinase activity with IC₅₀ of < 50 .mu.M.

L7 ANSWER 22 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:122976 CAPLUS

DN **136:167181**

TI Preparation of biphenyl derivatives and their use as PPAR.gamma. receptor agonists

IN Bernardon, Jean-Michel; Clary, Laurence

PA Galderma Research & Development, Fr.

SO PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DT Patent

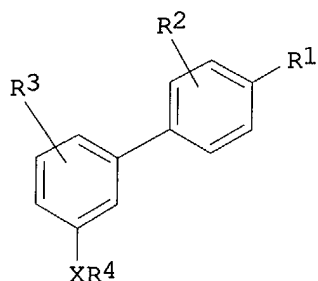
LA French

FAN.CNT 1

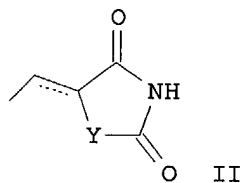
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002012210	A1	20020214	WO 2001-FR2543	20010803
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	FR 2812876	A1	20020215	FR 2000-10447	A 20000808
	FR 2812876	B1	20020927	FR 2000-10447	20000808
	AU 2001085981	A5	20020218	AU 2001-85981	20010803
				FR 2000-10447	A 20000808

EP 1309575 A1 20030514 WO 2001-FR2543 W 20010803
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 BR 2001013251 A 20030624 WO 2001-FR2543 W 20010803
 FR 2000-10447 A 20000808
 WO 2001-FR2543 W 20010803
 BR 2001-13251 20010803
 FR 2000-10447 A 20000808
 WO 2001-FR2543 W 20010803

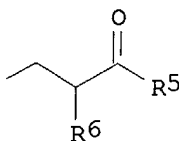
OS MARPAT 136:167181
 GI



I



II



III

AB The invention concerns compds. I (e.g. N-[[4'-(2,4-dioxothiazolidin-5-ylmethyl)biphenyl-3-yl]methyl]-N-methylbenzamide) wherein: R1 represents a radical II or III; Y represents a CH2 radical or a S atom; R5 represents hydroxy, alkoxy, NH-OH, or N(R8)(R9) radical; and R6 represents alkyl, OR10, SR10, or (CH2)*r*-COR11. Said compds. are useful as PPAR. γ receptor activators in pharmaceutical compns. for use in human or veterinary medicine (in dermatol., as well as in the field of cardiovascular diseases, immune diseases and/or diseases related to lipid metab.), or in cosmetic compns. Agonist activity for 15 of the claimed compds. is reported. Although the methods of prepn. are not claimed, 82 example prepn. are included.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:104657 CAPLUS

DN **136:151003**

TI Preparation of N-[(aryloxy)phenyl](thio)ureas and -carbamates as agrochemical fungicides

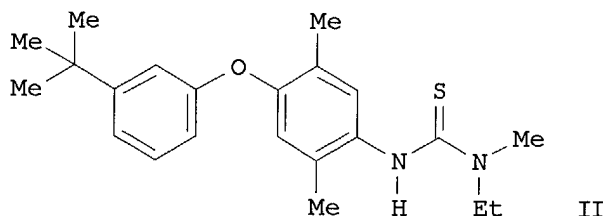
IN Gerusz, Vincent; Mansfield, Darren James; Perez, Jose; Tickle, David; Vors, Jean-Pierre; Baldwin, Derek; Hough, Thomas; Mitchell, Dale Robert

PA Aventis Cropscience S.A., Fr.

SO Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1178039	A1	20020206	EP 2001-420173	20010801
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	FR 2812633	A1	20020208	FR 2000-10305	A 20000804
	JP 2002114751	A2	20020416	FR 2000-10305	20000804
				JP 2001-238513	20010806
				FR 2000-10305	A 20000804
	US 2003008884	A1	20030109	US 2001-923124	20010806
				FR 2000-10305	A 20000804
OS	MARPAT 136:151003				
GI					



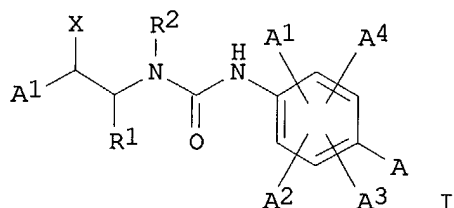
AB R6ZZ1NRC(:X)R5 [I; R = H, alkyl, etc.; R5 = NR1R2, OR3, SR3; R1,R2 = H, alkyl, acyl, etc.; RR1, RR3, R1R2 = atoms to complete a ring; R3 = H, alkyl, etc.; R6 = 2-benzothienyl, 5-tert-butyl-1,3,4-oxadiazol-2-yl, substituted Ph, etc.; X = O or S; Z = bond, O, CO, SO0-2, NH, etc.; Z1 = e.g., 2,5-dimethyl-1,4-phenylene] were prepd. Thus, 2-chloro-1,4-xylene was nitrated and the product etherified by 3-(Me3C)C6H4OH to give, after redn., the phenoxyanilline which was treated with Cl2CS and the product amidated by HNMeEt to give title compd. II. Data for biol. activity of I were given.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:51998 CAPLUS
 DN **136:118448**
 TI Preparation of N-aryl-N'-arylethylurea derivatives as thrombin receptor antagonists
 IN Barrow, James C.; Nantermet, Philippe G.; Selnick, Harold G.; Hutchinson, John H.; Breslin, Michael J.; Glass, Kristen L.; Connolly, Thomas M.; Stern, Andrew
 PA Merck & Co., Inc., USA
 SO U.S. Pat. Appl. Publ., 40 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2002007045	A1	20020117	US 2001-772353	20010130
	US 6515023	B2	20030204		
OS	MARPAT 136:118448				
GI					
				US 2000-179184PP	20000131



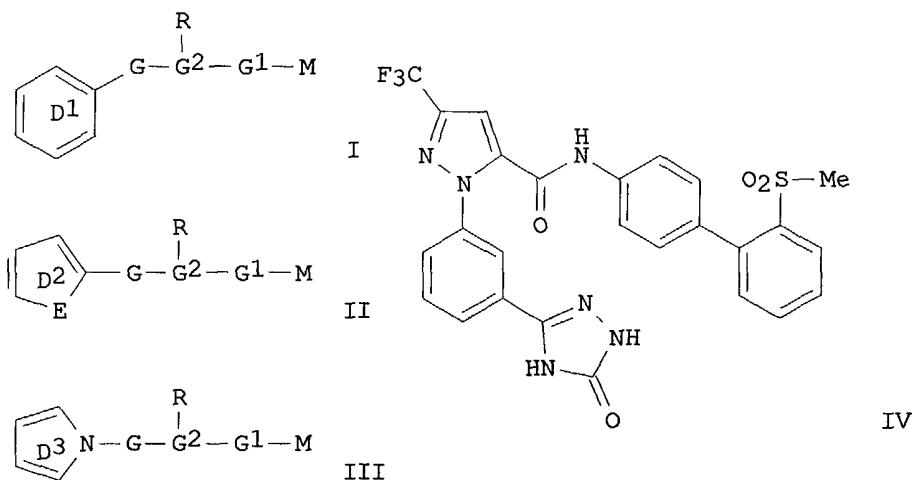
AB The title compds. [I; R1 = H, C1-10 alkyl; R2 = C1-10 alkyl, C3-8 cycloalkyl, CHMeCH2OMe, CHMeCH2F, CHMeCH2SMe; A = H, (CH2)_m N(B)COR6 (wherein m = an integer of 0-5; B = H, R12, C3-8 cycloalkyl, CHMeCH2OMe, CHMeCH2F, CHMeCH2SMe; R6 = R13, Ar2, NHAr2, C3-8 cycloalkyl, CH2Ph, CMe2NCO2CMe3, C(NH2)Me2, OCMe3); A1, A2, A3, A4 = H, halo, NO2, cyano, R10, OR10, NR10R11; X = OH, OR4, O2CR4, O2CAr1, NR4Ar1, SR4, SOR4, SO2R4; wherein R4, R10, R12, R13 = H, C1-10 alkyl; Ar1, Ar2 = (un)substituted aryl or heteroaryl] and pharmaceutically acceptable salts thereof are prepd. These compds. can be used in a method of acting upon a thrombin receptor which comprises administering a therapeutically effective but non-toxic amt. of such compd. to a mammal, preferably a human. They are useful in inhibiting thrombin activation of the thrombin receptor, inhibiting the aggregation of blood platelets, treating thrombus formation or embolus formation, or preventing thrombus or embolus formation in a mammal (no data). Thus, to a soln. of 0.11 g triphosgene in 2 mL CH2Cl2 was added a soln. of 0.22 g tert-Bu 4-aminobenzylcarbamate, and 0.18 mL diisopropylethylamine in 10 mL CH2Cl2, dropwise over 15 min, followed by adding a soln. of 0.4 g 3-(4-aminomethylphenyl)-1-[2-(3,4-dichlorophenyl)-2-hydroxyethyl]-1-isopropylurea and 0.18 mL diisopropylethylamine in 5 mL CH2Cl2 over 5 min, and the resulting mixt. was stirred for 3 h to give, after workup and silica gel flash chromatog., 1-[(2S)-2-(3,4-Dichlorophenyl)-2-hydroxyethyl]-1-isopropyl-3-[4-[3-(4-ureidomethylphenyl)ureidomethyl]phenyl]urea (II). A tablet and an i.v. formulation contg. II were prepd.

L7 ANSWER 25 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:10466 CAPLUS
 DN **136:85809**
 TI Preparation of heteroarylphenyl substituted factor Xa inhibitors for treatment of thromboembolic disorders
 IN Pinto, Donald J. P.; Quan, Mimi L.; Woerner, Francis J.
 PA Dupont Pharmaceuticals Company, USA
 SO PCT Int. Appl., 146 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000647	A1	20020103	WO 2001-US20112	20010622
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002103202	A1	20020801	US 2000-214033PP	20000623
	US 6599926	B2	20030729	US 2001-887936	20010622
	EP 1296977	A1	20030402	US 2000-214033PP	20000623
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		EP 2001-946698	20010622
				US 2000-214033PP	20000623
				WO 2001-US20112W	20010622

OS MARPAT 136:85809

GI



AB Title compds. I, II, and III [wherein ring D1 = pyridine, pyrazine, pyridazine, or pyrimidine substituted with 1 Ra and 0-1 Rb; ring D2 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; E = O, 3S, or NRc; ring D3 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; R, Ra, and Rb = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF₃, etc.; Rc = H, alkyl, alkoxy, (un)substituted (alkyl)amino, OCF₃, etc.; G = absent or (CH₂)¹⁻³, (CH₂)⁰⁻²CO(CH₂)⁰⁻², (CH₂)⁰⁻²CO(CH₂)⁰⁻², (CH₂)⁰⁻²NH(CH₂)⁰⁻², (CH₂)⁰⁻²SOp(CH₂)⁰⁻², etc.; p = 0-2; G1 = (un)substituted (CH₂)¹⁻⁵, (CH₂)⁰⁻²CH=CH(CH₂)⁰⁻², (CH₂)⁰⁻²C.tplbond.C(CH₂)⁰⁻², (CH₂)uCO(CH₂)w, (CH₂)uOCO(CH₂)w, (CH₂)uCO₂(CH₂)w, (CH₂)uNH(CH₂)w, etc.; u + w = 0-4; G2 = Ph, naphthyl, or heteroaryl; M = isoxazoline, pyrazoline, isothiazoline,

triazoline tetrazoline, Ph, or substituted 5-6 membered heteroaryl; and pharmaceutically acceptable salts or prodrugs thereof] were prepd. as factor Xa inhibitors. For example, HCl gas was bubbled through 1-(3-cyanophenyl)-3-trifluoromethyl-5-[(2'-sulfonylmethyl-[1,1']-biphen-4-yl)aminocarbonyl]pyrazole in anhyd. EtOH to afford the ethoxyimide intermediate. Addn. of N-methylmorpholine to the crude product in dioxane, followed by cyclization with semicarbazide.bul.HCl, gave the pyrazolamide IV. Some of the invention compds. inhibited factor Xa with Ki values of .ltoreq. 10 .mu.M. Thus, I are useful as anticoagulants for the treatment of thromboembolic disorders (no data).

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:693283 CAPLUS

DN **135:257039**

TI Preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade

IN South, Michael S.; Parlow, John J.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 437 pp.

CODEN: PIXXD2

DT Patent

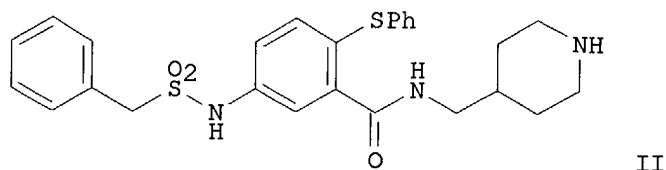
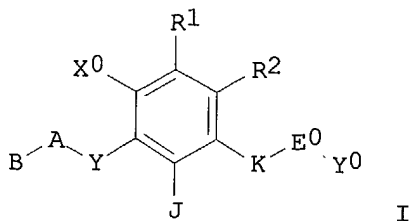
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068605	A1	20010920	WO 2001-US7918	20010313
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-188943PP	20000313
				US 2000-252159PP	20001120
	US 2002025947	A1	20020228	US 2001-804959	20010313
	US 6660885	B2	20031209		
				US 2000-188943PP	20000313
				US 2000-252159PP	20001120

OS MARPAT 135:257039

GI



AB The title compds. [I; J = H, halo, OH, etc.; B = (un)substituted aryl, heteroaryl; A = a bond, CH₂SO₂, CH₂, (CH₂)₂, etc.; Y = NH, O, CO, etc.; X₀, R₁, R₂ = H, alkyl, halo, etc.; K = a bond, CH₂, etc.; E₀ = a bond, O, CONH, etc.; Y₀ = (4-piperidinyl)methyl, (amidino)benzyl, etc.] and their pharmaceutically acceptable salts, useful as inhibitors of serine proteases of the coagulation cascade, were prepd. E.g., a multi-step synthesis of II.HCl which showed IC₅₀ of > 30 .mu.M against factor VIIa, factor Xa and thrombin, and IC₅₀ of 0.3 .mu.M against trypsin, was given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:693247 CAPLUS

DN 135:257156

TI Preparation of sulfonamido substituted phenyl heteroaryl ureas as IL-8 receptor antagonists

IN Widdowson, Katherine L.; Jin, Qi

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

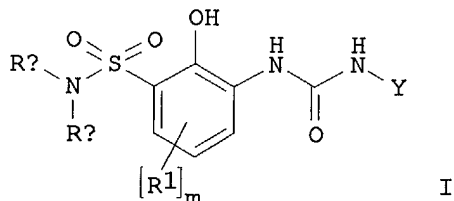
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068568	A2	20010920	WO 2001-US7746	20010309
	WO 2001068568	A3	20020321		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

AU 2001045606 A5 20010924 US 2000-188410PP 20000310
 AU 2001-45606 20010309
 US 2000-188410PP 20000310
 WO 2001-US7746 W 20010309
 EP 1261336 A2 20021204 EP 2001-918542 20010309
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2000-188410PP 20000310
 WO 2001-US7746 W 20010309
 JP 2003535820 T2 20031202 JP 2001-567669 20010309
 US 2000-188410PP 20000310
 WO 2001-US7746 W 20010309
 NO 2002004193 A 20020903 NO 2002-4193 20020903
 US 2000-188410PP 20000310
 WO 2001-US7746 W 20010309
 US 2003055286 A1 20030320 US 2002-220772 20020905
 US 6608077 B2 20030819
 WO 2001-US7746 W 20010309
 OS MARPAT 135:257156
 GI



AB The title compds. [I; Rb = H, OH, aryl, etc.; m = 1-3; R1 = H, halo, NO2, etc.; Y = furyl, thiophenyl, pyridyl, etc.], useful in the treatment of disease states mediated by the chemokine, Interleukin-8 (IL-8), were prepd. Thus, reacting 3-amino-6-chloro-2-hydroxybenzenesulfonamide with 2-(azidocarbonyl)pyridine (preps. given) in DMF afforded 62% I [Rb = H; R1 = 4-Cl; Y = 2-pyridyl]. The IL-8, and GRO- α . chemokine effects of compds. I were detd. by in vitro assay (IC50 < 30 μ M).

L7 ANSWER 28 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:672048 CAPLUS

DN **135:246996**

TI Preparation of 2,5-Diamino-benzaldehyde-derivates and their usage in hair dyes

PA Wella A.-G., Germany

SO Ger. Gebrauchsmusterschrift, 38 pp.

CODEN: GGXXFR

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 20108608	U1	20010913	DE 2001-20108608	20010523
				DE 2001-20108608	20010523

OS MARPAT 135:246996

AB The invention concerns the synthesis of 2,5-Diamino-benzaldehyde-derivs.

and their usage in hair dye compns. as developers along with coupling agents and optionally direct dyes. Thus a hair dye contained (g):
 1,4-diamino-2-(piperidine-1-yl-iminomethyl)-benzene 0.30;
 3-methyl-4-aminophenol 0.30; 1-naphthol 0.30; 1,3-dihydroxy benzene 0.18;
 potassium oleate 10.0; ammonia (22% soln.) 10.0; ethanol 10; ascorbic acid 0.3; water to 100. Upon usage, 30 g of the compn. were mixed with 30 g 6% hydrogen peroxide soln.; after 30 min the dye was rinsed, the resulting color was reddish brown.

L7 ANSWER 29 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:661391 CAPLUS

DN **135:210946**

TI Preparation of pyridylamides as Factor Xa inhibitors.

IN Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064642	A2	20010907	WO 2001-US6247	20010228
	WO 2001064642	A3	20020502		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 2000-185746PP	20000229
				US 2000-663420 A	20000915

PATENT FAMILY INFORMATION:

FAN 2001:208239

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019788	A2	20010322	WO 2000-US25196	20000915
	WO 2001019788	A3	20010809		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-154332PP	19990917
				US 2000-185746PP	20000229
				EP 2000-963452	20000915
EP 1216228		A2	20020626		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
				US 1999-154332PP	19990917

				US 2000-185746PP	20000229
				WO 2000-US25196W	20000915
BR	2000014076	A	20021015	BR 2000-14076	20000915
				US 1999-154332PP	19990917
				US 2000-185746PP	20000229
				WO 2000-US25196W	20000915
JP	2003509406	T2	20030311	JP 2001-523368	20000915
				US 1999-154332PP	19990917
				US 2000-185746PP	20000229
				WO 2000-US25196W	20000915
NO	2002001229	A	20020521	NO 2002-1229	20020312
				US 1999-154332PP	19990917
				US 2000-185746PP	20000229
				WO 2000-US25196W	20000915
FAN	2001:208248				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001019798	A2	20010322	WO 2000-US25195	20000915
	WO 2001019798	A3	20011025		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-154332PP	19990917
EP	1216231	A2	20020626	EP 2000-963451	20000915
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
				US 1999-154332PP	19990917
				WO 2000-US25195W	20000915
BR	2000014078	A	20021231	BR 2000-14078	20000915
				US 1999-154332PP	19990917
				WO 2000-US25195W	20000915
JP	2003509412	T2	20030311	JP 2001-523378	20000915
				US 1999-154332PP	19990917
				WO 2000-US25195W	20000915
NO	2002001230	A	20020521	NO 2002-1230	20020312
				US 1999-154332PP	19990917
				WO 2000-US25195W	20000915
FAN	2001:661392				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2001064643	A2	20010907	WO 2001-US6255	20010228
	WO 2001064643	A3	20020404		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

US 2000-185746PP 20000229
 US 2000-663420 A 20000915
 EP 1259485 A2 20021127 EP 2001-918257 20010228
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2000-185746PP 20000229
 US 2000-663420 A 20000915
 WO 2001-US6255 W 20010228

FAN	2002:11104				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002002183	A1	20020103	US 2001-794225	20010228
	US 6376515	B2	20020423		
	US 2003162690	A1	20030828	US 2000-185746PP	20000229
				US 2000-663420 A2	20000915
				US 2002-126976	20020422
				US 2000-185746PP	20000229
				US 2000-663420 A2	20000915
				US 2001-794225 A1	20010228

FAN	2002:522631				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002091116	A1	20020711	US 2001-794214	20010228
	US 6632815	B2	20031014		
				US 1999-154332PP	19990917
				US 2000-662807 A2	20000915

OS MARPAT 135:210946
 AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R1C(:NR3), (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl, etc.; R1-R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; R1R2 or R2R3 = atoms to form a 3-8 membered (substituted) (heterocyclic) ring; Q = bond, CH2, CO, O, NR7, etc.; R7 = H, alkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, S, SO, SO2, alkoxy, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, heterocyclyl, fused cyclic system; J = bond, NR9CO, O, S, SO, SO2, SO2NR9, CH2, NR9, etc.; R9 = H, alkyl, (alkyl)aryl, etc.; X = (substituted) Ph, naphthyl, heteroaryl, fused bicycyl], were prep'd. as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl) 2-aminophenylcarboxamide (prepn. given), 4-[(2-tert-butylaminosulfonyl)phenyl]benzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 85% N-(5-bromo-2-pyridinyl)-[2-4-[(2-aminosulfonyl)phenyl]phenylcarbonylamino]phenylcarboxamide.

L7 ANSWER 30 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2001:661241 CAPLUS
 DN **135:221308**
 TI Use of PDGF receptor tyrosine kinase inhibitors for the treatment of diabetic nephropathy
 IN Atkins, Robert Charles; Chadban, Steven James; Cooper, Mark Emmanuel; Gilbert, Richard Ernest; Hill, Prudence Ann; Kelly, Darren James; Nikolic-Paterson, David John
 PA Novartis A.-G., Switz.; The University of Melbourne; Southern Health
 SO PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001064200	A2	20010907	WO 2001-EP2340	20010301
	WO 2001064200	A3	20020117		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,				
	HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,				
	LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,				
	RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,				
	VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1259242	A2	20021127	EP 2000-810181 A	20000303
	R:			EP 2001-921298	20010301
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EP 2000-810181 A			20000303	
	WO 2001-EP2340 W			20010301	
JP	2003525240	T2	20030826	JP 2001-563097	20010301
				EP 2000-810181 A	20000303
				WO 2001-EP2340 W	20010301
US	2003186977	A1	20031002	US 2003-220214	20030415
				EP 2000-810181 A	20000303
				WO 2001-EP2340 W	20010301
OS	MARPAT 135:221308				
AB	The present invention relates to the use of PDGF receptor tyrosine kinase inhibitors, esp. N-phenyl-2-pyrimidineamine derivs. for the treatment of diabetic nephropathy, glomerulonephritis, chronic pyelonephritis or IgA nephropathy. Thus, CGP 57148B administered in gum arabic as an oral suspension to rats, the left kidney of which was removed, was shown to inhibit the disorder.				
L7	ANSWER 31 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN				
AN	2001:416931 CAPLUS				
DN	135:33495				
TI	Arylamine derivatives and their use as anti-telomerase agent				
IN	Mailliet, Patrick; Riou, Jean-Francois; Mergny, Jean-Louis; Laoui, Abdelazize; Lavelle, Francois; Petitgenet, Odile				
PA	Aventis Pharma S.A., Fr.				
SO	PCT Int. Appl., 66 pp.				
	CODEN: PIXXD2				
DT	Patent				
LA	French				
FAN.CNT	1				

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001040218	A1	20010607	WO 2000-FR3310	20001127
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
	HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,				
	ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			FR 1999-15031		19991129
FR 2801588	A1	20010601			
FR 2801588	B1	20020301			
BR 2000015992	A	20020806	BR 2000-15992		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
EP 1244650	A1	20021002	EP 2000-985339		20001127
EP 1244650	B1	20030625			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
JP 2003515604	T2	20030507	JP 2001-541902		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
EE 200200263	A	20030616	EE 2002-263		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
AT 243692	E	20030715	AT 2000-985339		20001127
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
US 6645964	B1	20031111	US 2000-722361		20001128
			FR 1999-15031	A	19991129
			US 2000-176632PP		20000119
			US 2000-218059PP		20000713
			FR 2000-10561	A	20000811
NO 2002002528	A	20020528	NO 2002-2528		20020528
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127
BG 106753	A	20030228	BG 2002-106753		20020529
			FR 1999-15031	A	19991129
			FR 2000-10561	A	20000811
			WO 2000-FR3310	W	20001127

OS MARPAT 135:33495

AB Nitrogen heterocycles, esp. diaminotriazines, were prepd. for use as telomerase inhibitors and anticancer agents. Thus, 2-amino-4,6-dichloro-1,3,5-triazine was treated with 1-methyl-4,6-quinaldinium chloride hydrochloride to give 2-amino-4,6-bis(1-methyl-4-amino-6-quinaldinio)amino-1,3,5-triazine dichloride hydrochloride which was converted to its free base. The free base had a telomerase-inhibiting IC50 of 0.25 .mu.M and a cytotoxic IC50 of 0.59-1.9 .mu.M.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:265376 CAPLUS

DN **134:295625**

TI Preparation of novel diarylamide derivatives and use thereof as remedies of abnormal propagation of vascular smooth muscle cells

IN Ogita, Haruhisa; Isobe, Yoshiaki; Takaku, Haruo

PA Japan Energy Corporation, Japan

SO PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DT Patent

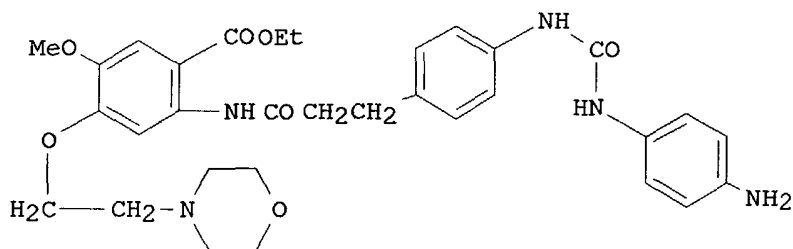
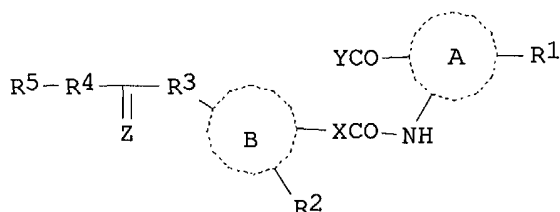
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025190	A1	20010412	WO 2000-JP6667	20000927
	W: AU, CA, JP, NZ, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				JP 1999-281271 A	19991001
				JP 1999-290789 A	19991013
	AU 2000074466	A5	20010510	AU 2000-74466	20000927
				JP 1999-281271 A	19991001
				JP 1999-290789 A	19991013
				WO 2000-JP6667 W	20000927
	EP 1229010	A1	20020807	EP 2000-962891	20000927
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
				JP 1999-281271 A	19991001
				JP 1999-290789 A	19991013
				WO 2000-JP6667 W	20000927

OS MARPAT 134:295625

GI



AB Title compds. [I; wherein A and B are each an arom. ring such as benzene ring; COY and NHCOX are adjacent to each other and bonded to carbon atoms constituting A; X is alkylene, alkyleneoxy, or a single bond; Y is alkyl, alkoxy, hydroxyl, or optionally substituted amino; R1 is hydrogen, halogeno, hydroxyl, alkyl, or the like, with the proviso that when A is a

benzene ring, R1 is not hydrogen; R2 is hydrogen, halo, hydroxyl, alkyl; R3 and R4 are each optionally substituted imino, oxygen, or a single bond; R5 is alkyl, optionally substituted Ph, etc.; Z is oxygen or sulfur] and pharmaceutical compns. contg. the derivs. or salts as the active ingredient for prevention or treatment of diseases caused by abnormal propagation of vascular smooth muscle cells. Thus, the title compd. II was prepd. and tested.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:513673 CAPLUS

DN **133:135235**

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

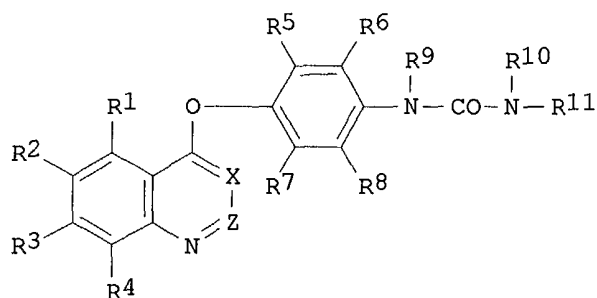
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				JP 1999-14858	A 19990122
				JP 1999-26691	A 19990203
				JP 1999-142493	A 19990521
				JP 1999-253624	A 19990907
CA	2361057	AA	20000727	CA 2000-2361057	20000120
				JP 1999-14858	A 19990122
				JP 1999-26691	A 19990203
				JP 1999-142493	A 19990521
				JP 1999-253624	A 19990907
BR	2000007656	A	20011030	WO 2000-JP255	W 20000120
				BR 2000-7656	20000120
				JP 1999-14858	A 19990122
				JP 1999-26691	A 19990203
				JP 1999-142493	A 19990521
				JP 1999-253624	A 19990907
EP	1153920	A1	20011114	WO 2000-JP255	W 20000120
EP	1153920	B1	20031029	EP 2000-900841	20000120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				JP 1999-14858	A 19990122
				JP 1999-26691	A 19990203

JP 2003286263 A2 20031010

NO 2001002617 A 20010914

OS MARPAT 133:135235
GI

JP 1999-142493 A 19990521
 JP 1999-253624 A 19990907
 WO 2000-JP255 W 20000120
 JP 2003-128216 20000120
 JP 1999-14858 A 19990122
 JP 1999-26691 A 19990203
 JP 1999-142493 A 19990521
 JP 1999-253624 A 19990907
 JP 2000-594782 A320000120
 NO 2001-2617 20010529
 JP 1999-14858 A 19990122
 JP 1999-26691 A 19990203
 JP 1999-142493 A 19990521
 JP 1999-253624 A 19990907
 WO 2000-JP255 W 20000120



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:457050 CAPLUS

DN 133:79374

TI Aromatic heterocyclic compounds as thrombin or factor Xa inhibitors

IN Lam, Patrick Yuk Sun; Clark, Charles G.; Li, Hui Yin; Pinto, Donald J. P.

PA Du Pont Pharmaceuticals Co., USA

SO PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

Patel

<12/10/2003>

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000039108	A1	20000706	WO 1999-US30512	19991222
	W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1140871	A1	20011010	US 1998-113627PP	19981223
				EP 1999-967485	19991222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1998-113627PP	19981223
				WO 1999-US30512W	19991222
	US 6369227	B1	20020409	US 1999-469830	19991222
				US 1998-113627PP	19981223
	US 6403583	B1	20020611	US 1999-469835	19991222
				US 1998-113627PP	19981223
	JP 2002537227	T2	20021105	JP 2000-591019	19991222
				US 1998-113627PP	19981223
				WO 1999-US30512W	19991222
	US 2002115854	A1	20020822	US 2001-7195	20011204
	US 6602871	B2	20030805		
				US 1998-113627PP	19981223
				US 1999-469831 B1	19991222
	US 6500855	B1	20021231	US 2002-33137	20020102
	US 2003004344	A1	20030102		
				US 1998-113627PP	19981223
				US 1999-469830 A3	19991222

PATENT FAMILY INFORMATION:

FAN 2000:456883

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000038683	A1	20000706	WO 1999-US30737	19991221
	W: AL, AU, BR, CA, CN, CR, CZ, DM, EE, HU, IL, IN, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TZ, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1998-113627PP	19981223
	CA 2320730	AA	20000706	CA 1999-2320730	19991221
				US 1998-113627PP	19981223
				WO 1999-US30737W	19991221
	EP 1058549	A1	20001213	EP 1999-967554	19991221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1998-113627PP	19981223
				WO 1999-US30737W	19991221
	US 6369227	B1	20020409	US 1999-469830	19991222
				US 1998-113627PP	19981223
	US 6403583	B1	20020611	US 1999-469835	19991222
				US 1998-113627PP	19981223
	US 2002115854	A1	20020822	US 2001-7195	20011204
	US 6602871	B2	20030805		
				US 1998-113627PP	19981223
				US 1999-469831 B1	19991222
	US 6500855	B1	20021231	US 2002-33137	20020102

US 2003004344 A1 20030102

US 1998-113627PP 19981223
US 1999-469830 A319991222

FAN 2000:457044

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039102	A1	20000706	WO 1999-US30735	19991221
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140862	A1	20011010	US 1998-113627PP	19981223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				EP 1999-965337 19991221
US 6369227	B1	20020409	US 1998-113627PP	19981223
US 6403583	B1	20020611	WO 1999-US30735W	19991221
US 2002115854	A1	20020822	US 1999-469830	19991222
US 6602871	B2	20030805	US 1998-113627PP	19981223
US 6500855	B1	20021231	US 1999-469835	19991222
US 2003004344	A1	20030102	US 1998-113627PP	19981223
				US 2001-7195 20011204
				US 1998-113627PP 19981223
				US 1999-469831 B119991222
				US 2002-33137 20020102
				US 1998-113627PP 19981223
				US 1999-469830 A319991222

OS MARPAT 133:79374

AB This invention relates generally to inhibitors of trypsin-like serine protease enzymes, esp. factor Xa or thrombin, pharmaceutical compns. contg. the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

L7 ANSWER 35 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:368323 CAPLUS

DN **133:17481**

TI Preparation of IL-5 inhibiting 6-azauracil derivatives

IN Lacrampe, Jean Fernand Armand; Ligny, Yannick; Freyne, Eddy Jean Edgard; Deroose, Frederik Dirk

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031053	A1	20000602	WO 1999-EP9154	19991122
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1133483 A1 20010919
 EP 1133483 B1 20030423

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO

EP 1998-203929 A 19981123
 EP 1999-963332 19991122

JP 2002530390 T2 20020917

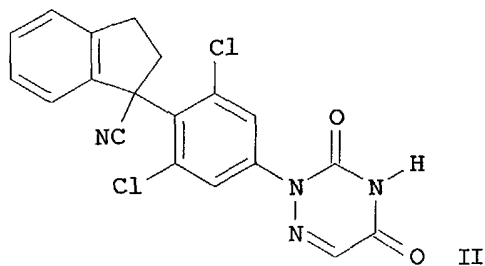
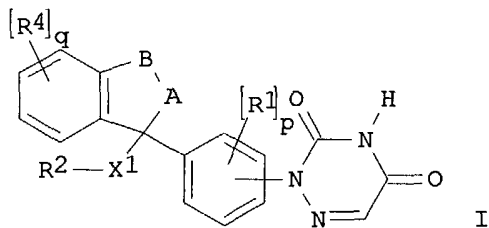
AU 759405 B2 20030417

AT 238287 E 20030515

US 6498158 B1 20021224

EP 1998-203929 A 19981123
 WO 1999-EP9154 W 19991122
 JP 2000-583881 19991122
 EP 1998-203929 A 19981123
 WO 1999-EP9154 W 19991122
 AU 2000-19677 19991122
 EP 1998-203929 A 19981123
 WO 1999-EP9154 W 19991122
 AT 1999-963332 19991122
 EP 1998-203929 A 19981123
 WO 1999-EP9154 W 19991122
 US 2001-856626 20010522
 EP 1998-203929 A 19981123
 WO 1999-EP9154 W 19991122

OS MARPAT 133:17481
 GI



AB The title compds. [I; p = 0-3; q = 0-4; AB = (CH₂)_r, (CH₂)_tO, (CH₂)_tS(O)_u, (CH₂)_tNR₃; r = 2-4; t = 1-3; u = 0-2; X₁ = O, S, NR₃, a direct bond; R₁, R₄ = alkyl, halo, polyhaloalkyl, etc.; R₂ = aryl, heteroaryl, cycloalkyl, etc.; R₃ = H, alkyl], useful in treating eosinophil-dependent inflammatory diseases, were prepd. and formulated. E.g., a multi-step synthesis of

azauracil II which showed 70.5% inhibition of IL-5 prodn. at 1×10^{-6} M, was given.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

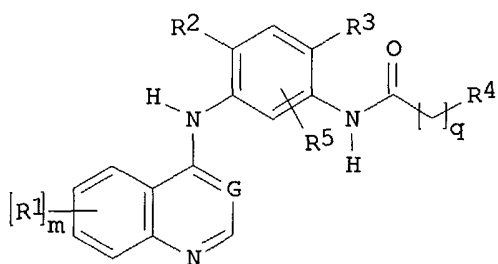
L7 ANSWER 36 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:241203 CAPLUS
DN 132:265207
TI Preparation of 4-anilinoquinazolines and 4-anilinoquinolines as inhibitors of cytokine mediated disease
IN Cumming, John Graham
PA Zeneca Limited, UK
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000020402	A1	20000413	WO 1999-GB3220	19990927	
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	RW:			GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
				GB 1998-21338	A 19981001	
				GB 1999-6564	A 19990323	
	CA 2341374	AA	20000413	CA 1999-2341374	19990927	
				GB 1998-21338	A 19981001	
				GB 1999-6564	A 19990323	
				WO 1999-GB3220	W 19990927	
	AU 9961064	A1	20000426	AU 1999-61064	19990927	
	AU 761552	B2	20030605			
				GB 1998-21338	A 19981001	
				GB 1999-6564	A 19990323	
				WO 1999-GB3220	W 19990927	
	BR 9914162	A	20010626	BR 1999-14162	19990927	
				GB 1998-21338	A 19981001	
				GB 1999-6564	A 19990323	
				WO 1999-GB3220	W 19990927	
	EP 1117653	A1	20010725	EP 1999-947686	19990927	
	EP 1117653	B1	20030205			
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				GB 1998-21338	A 19981001	
				GB 1999-6564	A 19990323	
				WO 1999-GB3220	W 19990927	
	JP 2002526538	T2	20020820	JP 2000-574519	19990927	
				GB 1998-21338	A 19981001	
				GB 1999-6564	A 19990323	
				WO 1999-GB3220	W 19990927	
	AT 232205	E	20030215	AT 1999-947686	19990927	
				GB 1998-21338	A 19981001	

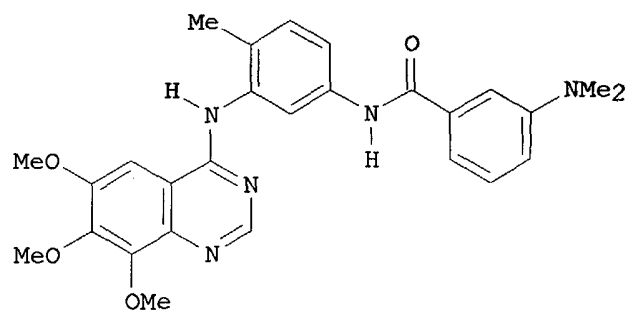
NZ 510210	A	20030630
ES 2191462	T3	20030901
ZA 2001002187	A	20020618
US 6593333	B1	20030715
NO 2001001631	A	20010521
US 2003216417	A1	20031120

GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
NZ 1999-510210		19990927
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
ES 1999-947686		19990927
GB 1998-21338	A	19981001
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ZA 2001-2187		20010315
GB 1998-21338	A	19981001
US 2001-787883		20010323
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
NO 2001-1631		20010330
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
US 2003-441084		20030520
GB 1998-21338	A	19981001
GB 1999-6564	A	19990323
WO 1999-GB3220	W	19990927
US 2001-787883	A3	20010323

OS MARPAT 132:265207
GI



I



II

AB The title compds. [I; G = N, CH; R1 = OH, halo, CF3, etc.; R2, R3 = H, halo, alkyl, etc.; R4 = H, OH, alkyl, etc.; R5 = H, halo, CF3; m = 1-3; q = 0-4] and their pharmaceutically acceptable salts or in vivo cleavable esters, useful in the treatment of diseases or medical conditions mediated by cytokines, were prepd. and formulated. E.g., a multi-step synthesis of II which showed IC50 of 0.2 .mu.M against p38.alpha. kinase and IC50 of 5.2 .mu.M against TNF.alpha. prodn., was given.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:227634 CAPLUS

DN **132:265091**

TI Preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors

IN Brown, Dearg Sutherland; Brown, George Robert

PA Zeneca Limited, UK

SO PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018738	A1	20000406	WO 1999-GB3144	19990921
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
	CA 2340454	AA	20000406	CA 1999-2340454	19990921
				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
	AU 9961034	A1	20000417	WO 1999-GB3144	W 19990921
	AU 761361	B2	20030605	AU 1999-61034	19990921
				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
				WO 1999-GB3144	W 19990921
	BR 9913947	A	20010612	BR 1999-13947	19990921
				GB 1998-20770	A 19980925
				GB 1998-26938	A 19981209
				GB 1999-5969	A 19990317
				WO 1999-GB3144	W 19990921
	EP 1115707	A1	20010718	EP 1999-947653	19990921
	EP 1115707	B1	20031112		
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2002525358 T2 20020813

NZ 509836 A 20030630

ZA 2001002185 A 20020618

NO 2001001492 A 20010523

US 6455520 B1 20020924

GB 1998-20770 A 19980925

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JP 2000-572198 19990921

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NZ 1999-509836 19990921

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GB 1999-5969 A 19990317

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ZA 2001-2185 20010315

GB 1998-20770 A 19980925

NO 2001-1492 20010323

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GB 1999-5969 A 19990317

WO 1999-GB3144 W 19990921

US 2001-787882 20010323

GB 1998-20770 A 19980925

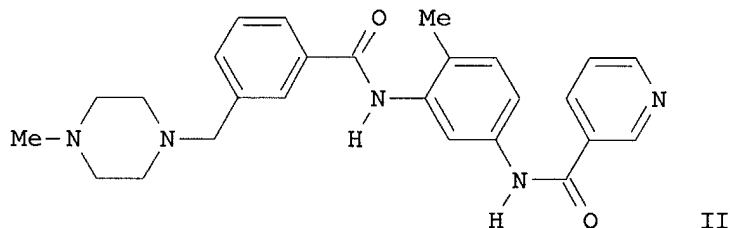
GB 1998-26938 A 19981209

GB 1999-5969 A 19990317

WO 1999-GB3144 W 19990921

OS MARPAT 132:265091

GI



AB R4Z4ZCONHZ1NHCOZ2R2 [I; R2 = Z3R3; R3 = (un)substituted heteroaryl; R4 = (di)(alkyl)amino(alkyl), heterocycl(alkyl), heteroaryl(alkyl), etc.; Z = (un)substituted phenylene; Z1= 2-halo- or -alkyl-1,5-phenylene; Z2 = bond or (CH2)1-4; Z3 = bond, O, NH, alkyleneoxy, alkyleneamino, etc.; Z4 = bond, alkylene(oxy), alkyleneamino,, etc.] were prepd. as p38 kinase inhibitors. Thus, 3-(ClCH2)C6H4COCl was amidated by 2-methyl-5-nitroaniline and the product aminated by 1-methylpiperazine to give, after redn. and pyridine-3-carbonyl chloride amidation, title compd. II. Data for biol. activity of I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

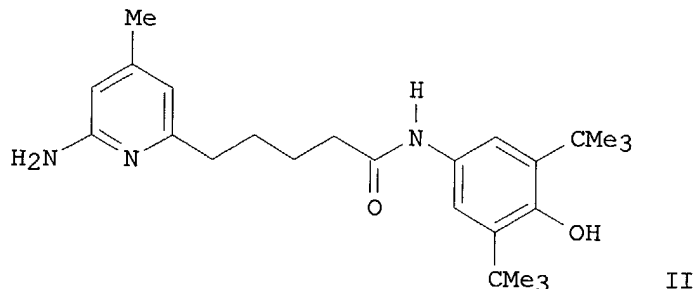
AN 2000:53594 CAPLUS

DN 132:93214

TI Preparation of aminopyridinealkanamides and analogs as nitric oxide

synthase inhibitors and reactive oxygen scavengers
 IN Chabrier De Lassauniere, Pierre-Etienne; Auvin, Serge; Harnett, Jerry;
 Pons, Dominique; Ulibarri, Gerard; Bigg, Dennis
 PA Societe de Conseils de Recherches et d'Applications Scientifiques
 (S.C.R.A.S, Fr.
 SO PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000002860	A1	20000120	WO 1999-FR1610	19990705
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
	FR 2780971	A1	20000114	FR 1998-8732	19980708
	FR 2780971	B1	20010928		
	FR 2791674	A1	20001006	FR 1999-4133	19990402
	CA 2337258	AA	20000120	CA 1999-2337258	19990705
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
	AU 9946223	A1	20000201	WO 1999-FR1610 W	19990705
	AU 756221	B2	20030109	AU 1999-46223	19990705
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
				WO 1999-FR1610 W	19990705
	EP 1095020	A1	20010502	EP 1999-929395	19990705
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
	JP 2002520317	T2	20020709	WO 1999-FR1610 W	19990705
				JP 2000-559091	19990705
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
	TW 509677	B	20021111	WO 1999-FR1610 W	19990705
				TW 1999-88111513	19990818
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
	NO 2001000031	A	20010105	NO 2001-31	20010103
				FR 1998-8732	A 19980708
				FR 1999-4133	A 19990402
OS	MARPAT 132:93214			WO 1999-FR1610 W	19990705
GI					



AB RZZ1Z2NH2 [I; R = free-radical scavenging moiety, e.g., (un)substituted Ph, -naphthyl, 2,5,7,8-tetramethyl-6-hydroxy- or -alkoxy-2H-1-benzopyran-2-yl, etc.; Z = NHCO, COZ3, etc.; Z1 = alk(en)ylene, (CH2)nNR13(CH2)p, etc.; R13 = H or alkyl; Z2 = (un)substituted pyridine-m,6-diyl; Z3 = (homo)piperazinediyl; m = 2-5; n,p = 0-6] were prepd. Thus, 6-(2,5-dimethylpyrrolo)-4-methyl-2-pyridinepentanoic acid was amidated by 4-amino-2,6-bis(1,1-dimethylethyl)phenol (prepn. each given) and the product deprotected to give title compd. II. Data for biol. activity of I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:511140 CAPLUS

DN **131:157771**

TI Preparation of five-membered, benzo-condensed heterocycles as antithrombotics

IN Ries, Uwe; Haeu, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer

PA Boehringer Ingelheim Pharma Kg, Germany

SO PCT Int. Appl., 250 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940072	A1	19990812	WO 1999-EP537	19990128
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			DE 1998-19804085A	19980203
			DE 1998-19834325A	19980730
DE 19804085	A1	19990805	DE 1998-19804085	19980203
DE 19834325	A1	20000217	DE 1998-19834325	19980730
CA 2319494	AA	19990812	CA 1999-2319494	19990128
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			WO 1999-EP537	W 19990128

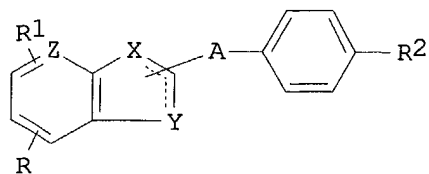
AU 9927201	A1	19990823	AU 1999-27201	19990128
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EP 1060166	A1	20001220	WO 1999-EP537 W	19990128
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			DE 1998-19834325A	19980730
JP 2002502844	T2	20020129	WO 1999-EP537 W	19990128
			JP 2000-530502	19990128
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			DE 1998-19834325A	19980730
			WO 1999-EP537 W	19990128

PATENT FAMILY INFORMATION:

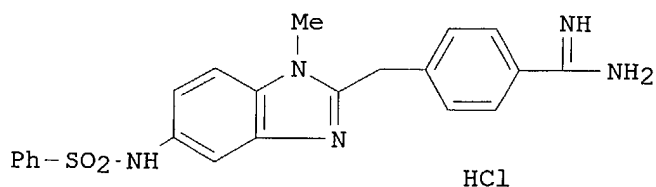
FAN	1999:505930				
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PI	DE 19804085	A1	19990805	DE 1998-19804085	19980203
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				DE 1998-19834325A	19980730
				WO 1999-EP537 W	19990128
WO 9940072	A1	19990812	WO 1999-EP537	19990128	
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				DE 1998-19804085A	19980203
				DE 1998-19834325A	19980730
AU 9927201	A1	19990823	AU 1999-27201	19990128	
			DE 1998-19804085A	19980203	
			DE 1998-19834325A	19980730	
			WO 1999-EP537 W	19990128	
EP 1060166	A1	20001220	EP 1999-907437	19990128	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
				DE 1998-19804085A	19980203
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JP 2002502844	T2	20020129	WO 1999-EP537 W	19990128	
			JP 2000-530502	19990128	
			DE 1998-19804085A	19980203	
			DE 1998-19834325A	19980730	
			WO 1999-EP537 W	19990128	
US 6114532	A	20000905	US 1999-243200	19990202	
			DE 1998-19804085A	19980203	
			US 1998-77694P P	19980312	
			DE 1998-19834325A	19980730	

OS MARPAT 131:157771

GI



I



HCl

II

AB Title compds. [I; R = 5-C₆H₅SO₂NH, 6-C₆H₅SO₂NH, 5-C₆H₅NHSO₂, 5-C₆H₅SO₂N(CH₂COOEt), 5-C₆H₅SO₂N(CH₃), 5-C₆H₅N(CH₂CH₂CH₂COOEt)CO, 5-C₆H₅, CH₃N(C₆H₅)CO, 8; R₁ = H, 7-CH₃, 3-Br, 3-EtO; R₂ = C(:NH)NH₂; A = CH₂, NH; X = CH, MeN, EtOCOCH₂CH₂N, O, S, NCH₂CO₂H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2, or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or org. acids or bases are prepd. and title compds in which R₂ is a cyano group, present valuable intermediate products for the prodn. of the remaining compds. of the general formula I, with R₂ is amidino, which have valuable pharmacol. properties, esp. an antithrombotic activity. Thus, the title compd. II was prepd.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 40 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:421639 CAPLUS

DN **131:58657**

TI Thiourea and benzamide compounds, compositions and methods of treating or preventing inflammatory diseases and atherosclerosis

IN Connor, David Thomas; Roark, William Howard; Sexton, Karen; Sorenson, Roderick Joseph

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 226 pp.

CODEN: PIXXD2

DT Patent

LA English

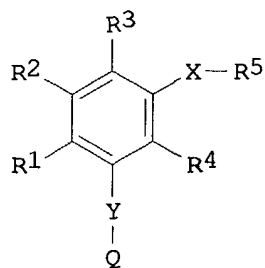
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932433	A1	19990701	WO 1998-US24688	19981120
	W:	AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,			

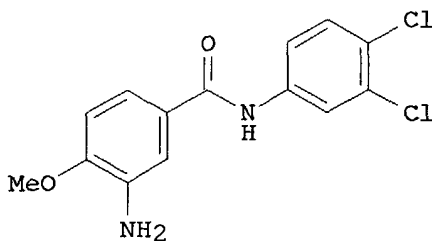
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2300197	AA	19990701	US 1997-68604P P 19971223 CA 1998-2300197 19981120 US 1997-68604P P 19971223 WO 1998-US24688W 19981120
AU 9915297	A1	19990712	AU 1999-15297 19981120 US 1997-68604P P 19971223 WO 1998-US24688W 19981120
BR 9814327	A	20001003	BR 1998-14327 19981120 US 1997-68604P P 19971223 WO 1998-US24688W 19981120
EP 1042276	A1	20001011	EP 1998-959510 19981120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			US 1997-68604P P 19971223 WO 1998-US24688W 19981120
JP 2001526255	T2	20011218	JP 2000-525370 19981120 US 1997-68604P P 19971223 WO 1998-US24688W 19981120
NZ 502963	A	20020628	NZ 1998-502963 19981120 US 1997-68604P P 19971223 WO 1998-US24688W 19981120
ZA 9811805	A	19990629	ZA 1998-11805 19981222 US 1997-68604P P 19971223
MX 200001870	A	20001109	MX 2000-1870 20000223 US 1997-68604P P 19971223 WO 1998-US24688W 19981120
US 6268387	B1	20010731	US 2000-529135 20000405 US 1997-68604P P 19971223 WO 1998-US24688W 19981120
US 2001031874	A1	20011018	US 2001-858089 20010515
US 6528528	B2	20030304	US 1997-68604P P 19971223 WO 1998-US24688W 19981120 US 2000-529135 A320000405

OS MARPAT 131:58657
GI



I



II

AB The invention provides compds. I [X = NH, O, S, NHC(:S)NH, CONH, NHCO, (CH2)n, etc., or their alkyl derivs.; n = 0-3; Y = NH, CONH, NHCO, CH2CH2, NHSO2, etc., or their alkyl derivs.; Q = alkyl, (un)substituted Ph or heteroaryl, (di)(alkyl)amino, or cycloalkyl; R1-R4 = H, alkoxy, alkyl, halo, OH, CF3, cyano, (un)substituted (hetero)aryl, etc.; R5 = H, alkyl,

(un)substituted heteroaryl, naphthyl, benzyl, or dansyl; with several provisos]. The invention also provides methods of treating or preventing inflammation or atherosclerosis, and a pharmaceutical compn. that contains a compd. I. The compds. are inhibitors of 15-lipoxygenase (15-LO), and act as inhibitors of the chemotaxis of monocytes. Approx. 280 synthetic examples are given. For instance, amidation of 3-nitro-4-methoxybenzoic acid with 3,4-dichloroaniline using oxalyl chloride and DMF catalyst in THF/CH₂Cl₂ mixt., followed by hydrogenation over Raney Ni, gave title compd. II. The latter had an IC₅₀ of 10 nM against human 15-LO in vitro.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 65 CAPLUS COPYRIGHT 2003 ACS on STM

AN 1999:325902 CAPLUS

DN 130:352546

TI Preparation of amides containing leucine or methionine for inhibition of the interaction of vascular cell-adhesion molecule-1 (VCAM-1) and fibronectin with integrin very late antigen 4 (.alpha.4.beta.1)

IN Brittain, David Robert; Johnstone, Craig

PA Zeneca Limited, UK

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924398	A2	19990520	WO 1998-GB3334	19981109
	WO 9924398	A3	19990805		
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				GB 1997-23789	A 19971112
	CA 2308716	AA	19990520	CA 1998-2308716	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	AU 9910420	A1	19990531	AU 1999-10420	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	EP 1030835	A2	20000830	EP 1998-952872	19981109
	EP 1030835	B1	20030122		
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	JP 2001522831	T2	20011120	JP 2000-520412	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	AT 231488	E	20030215	AT 1998-952872	19981109
				GB 1997-23789	A 19971112
				WO 1998-GB3334	W 19981109
	ZA 9810330	A	19990512	ZA 1998-10330	19981111

NO 2000002158	A	20000711	GB 1997-23789	A 19971112
			NO 2000-2158	20000427
			GB 1997-23789	A 19971112
US 6344570	B1	20020205	WO 1998-GB3334	W 19981109
			US 2000-554224	20000711
			GB 1997-23789	A 19971112
			WO 1998-GB3334	W 19981109

OS MARPAT 130:352546
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = II (in the para or meta position); R2, R3 = H, NO2, alkyl, etc.; R2 and R3 together with the Ph to which they are attached form a 9-10 membered bicyclic ring system; R4 = alkyl; R5 = H, alkyl; R6 = alkyl, alkylcycloalkyl, alkylalkoxyl, etc.; R7 = alkyl, alkoxycarbonyl, alkenyl, etc.; R8 = (un)substituted aryl, heteroaryl, bicyclic heteroaryl ring system linked to the nitrogen via a ring carbon, etc.; R9, R10 = H, alkyl; NR8R9 = dihydroindolyl, dihydroquinolinyl; R11 = CO2H, tetrazolyl, alkyl sulfonylcarbonyl, sulfo, sulfinyl; Y = O, S, SO2; m = 0-1; n = 0-4; with the proviso that when m and n cannot both be 0 and when m = 1, n = 0] and their pharmaceutically acceptable salts, useful in the treatment of multiple sclerosis, rheumatoid arthritis, asthma, coronary artery disease and psoriasis, were prepd. E.g., a multi-step synthesis of amide III was given. Compds. I are effective at 0.1-15 mg/kg/day.

L7 ANSWER 42 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:311177 CAPLUS

DN 130:352091

TI Preparation of ureidophenylacetanilides and analogs as integrin-mediated cell adhesion inhibitors

IN Astles, Peter Charles; Clark, David Edward; Collis, Alan John; Cox, Paul Joseph; Eastwood, Paul Joseph; Harris, Neil Victor; Lai, Justine Yeun Quai; Morley, Andrew David; Porter, Barry

PA Rhone-Poulenc Rorer Limited, UK

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9923063	A1	19990514	WO 1998-GB3294	19981102
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
	DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,				
	KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,				
	MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,				
	TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				
	CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				GB 1997-23072	A 19971031
				US 1997-69695P	P 19971216

CA 2303848	AA	19990514	GB 1998-14276 A 19980701 US 1998-104287PP 19981014 CA 1998-2303848 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 AU 1998-97550 19981102
AU 9897550	A1	19990524	
AU 748041	B2	20020530	
			GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 ZA 1998-10004 19981102 GB 1997-23072 A 19971031 EP 1998-951596 19981102
ZA 9810004	A	20000502	
EP 1027328	A1	20000816	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO			
			GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102 BR 1998-13331 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102
BR 9813331	A	20000822	
			JP 2000-518939 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102
JP 2001521921	T2	20011113	
			NZ 1998-503407 19981102 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102
NZ 503407	A	20020828	
			US 2000-558812 20000426 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 A119981102
US 6479519	B1	20021112	
			NO 2000-2276 20000428 GB 1997-23072 A 19971031 US 1997-69695P P 19971216 GB 1998-14276 A 19980701 US 1998-104287PP 19981014 WO 1998-GB3294 W 19981102
NO 2000002276	A	20000525	
OS	MARPAT 130:352091		
AB	R1NHCONHR2 [I; R1 = (un)substituted Ph or -2-pyridyl; R2 = ZZ1Z2Z3R; R =		

CO₂H, CONY₁Y₂, etc.; Y₁,Y₂ = H, (cyclo)alk(en)ylene, (hetero)aryl, etc.; NY₁Y₂ = heterocyclyl; Z = (un)substituted phenylene, -pyridinediyl, -pyrimidinediyl, etc.; Z₁ = CH₂CONR₄, etc.; R₄ = H or alkyl; Z₂ = (hetero)arylene; Z₃ = (un)substituted alkylene, etc.], which regulate interaction of VCAM-1 and fibronectin with integrin .alpha.4.beta.1, were prepd. Thus, (R)-2-MeC₆H₄NHCONHZCH₂CONHZ₂CH(NHSO₂Me)CH₂CO₂H (Z = 2-methoxy-1,4-phenylene, Z₂ = 1,4-phenylene) was prepd. in 9 steps from 2-nitroanisole. Data for biol. activity of I were given.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:34888 CAPLUS
DN **130:66491**
TI Preparation of urea derivatives as inhibitors of p38
IN Salituro, Francesco Gerald; Bemis, Guy W.; Green, Jeremy; Kofron, James L.
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900357	A1	19990107	WO 1998-US13496	19980629
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6093742	A	20000725	US 1997-884160 A	19970627
	AU 9883776	A1	19990119	US 1997-884160	19970627
				AU 1998-83776	19980629
				US 1997-884160 A	19970627
				WO 1998-US13496W	19980629
	EP 993441	A1	20000419	EP 1998-934195	19980629
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1997-884160 A	19970627
				WO 1998-US13496W	19980629

OS MARPAT 130:66491

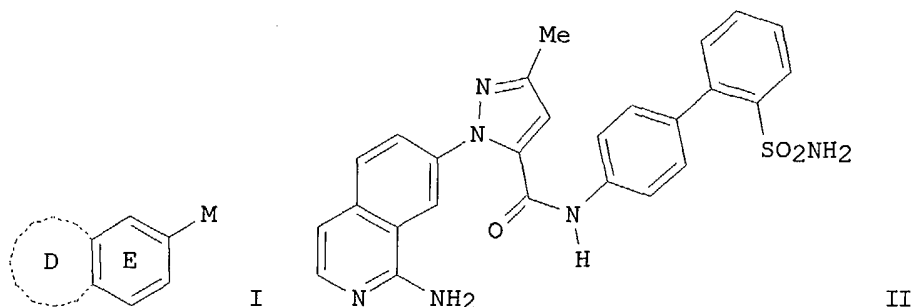
AB The title compds. WX₁C(:Y)X₂Z [W = (un)substituted satd., partially satd. or arom. monocyclic or bicyclic ring system optionally comprising up to 4 heteroatoms; Y = O, etc.; X₁, X₂ = O, S, etc.; Z = cycloalkyl, etc.] are prepd. Compds. of this invention are inhibitors of p38, a mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. In in vitro assays for inhibition of phosphorylation of EGF receptor peptide, compds. of this invention showed IC₅₀ values of 0.14 .mu.M to 19 .mu.M.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:9833 CAPLUS

DN **130:66494**
 TI Preparation of novel guanidine mimics as factor Xa inhibitors
 IN Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew;
 Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell;
 Quan, Mimi Lifan
 PA The Du Pont Merck Pharmaceutical Company, USA
 SO PCT Int. Appl., 268 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857951	A1	19981223	WO 1998-US12680	19980618
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	ZA 9805247	A	19991217	US 1997-878884 A	19970619
				ZA 1998-5247	19980617
	AU 9879768	A1	19990104	US 1997-878884 A	19970619
	AU 756755	B2	20030123	AU 1998-79768	19980618
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	EP 991638	A1	20000412	EP 1998-930361	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	BR 9810137	A	20000808	BR 1998-10137	19980618
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	EE 9900583	A	20000815	EE 1999-583	19980618
	EE 4153	B1	20031015		
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	JP 2002505686	T2	20020219	JP 1999-504785	19980618
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	NZ 502370	A	20021025	NZ 1998-502370	19980618
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	NO 9905965	A	19991203	NO 1999-5965	19991203
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	MX 9911908	A	20000531	MX 1999-11908	19991216
				US 1997-878884 A	19970619
				WO 1998-US12680W	19980618
	LV 12496	B	20010120	LV 1999-178	19991216
				US 1997-878884 A	19970619
	LT 4705	B	20000925	LT 1999-147	19991217
				US 1997-878884 A	19970619
OS	MARPAT 130:66494				
GI					



AB The title compds. [I; rings D-E represent guanidine mimics; ring D = CH₂N:CH, CH₂CH₂N:CH, a 5-6 membered arom. system contg. 0-2 heteroatoms selected from the group N, O, and S; ring D is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, Cl-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a Ki of .ltoreq. 15 .mu.M against factor Xa.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 45 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:9820 CAPLUS

DN **130:81510**

TI Preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors

IN Galemno, Robert Anthony, Jr.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Lam, Patrick Yuk-sun; Pinto, Donald Joseph Philip; Pruitt, James Russell; Quan, Mimi Lifan

PA The Du Pont Merck Pharmaceutical Company, USA

SO PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DT Patent

LA English

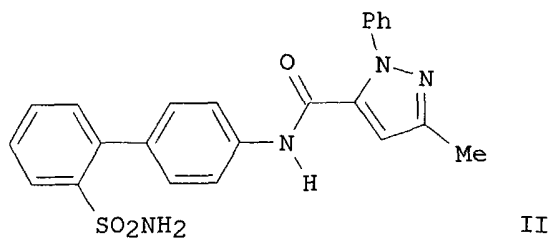
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857937	A2	19981223	WO 1998-US12681	19980618
	WO 9857937	A3	19990318		
	W:		AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE		
				US 1997-50219P P	19970619
				US 1997-878885 A	19970619
				US 1998-76691P P	19980227
	ZA 9805251	A	19991217	ZA 1998-5251	19980617
				US 1997-878885 A	19970619
	AU 9881503	A1	19990104	AU 1998-81503	19980618
				US 1997-878885 A	19970619

US 5998424	A	19991207	US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
			US 1998-99752 19980618
			US 1997-50219P P 19970619
			US 1998-76691P P 19980227
EP 991625	A2	20000412	EP 1998-931355 19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
BR 9810151	A	20000808	US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
			BR 1998-10151 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
EE 9900584	A	20000815	EE 1999-584 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
SI 20208	C	20001031	SI 1998-20043 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
JP 2002507968	T2	20020312	JP 1999-504786 19980618
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
US 6403620	B1	20020611	US 1999-393782 19990910
			US 1998-99752 A319980618
LV 12516	B	20010320	LV 1999-177 19991216
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
NO 9906316	A	19991217	NO 1999-6316 19991217
			US 1997-878885 A 19970619
			US 1998-76691P P 19980227
			WO 1998-US12681W 19980618
LT 4702	B	20000925	LT 1999-146 19991217
			US 1997-878885 A 19970619
US 2003092740	A1	20030515	US 2002-150698 20020516
US 6602895	B2	20030805	

US 1997-50219P P 19970619
US 1998-76691P P 19980227
US 1998-99752 A319980618
US 1999-393782 A319990910

OS MARPAT 130:81510
GI



AB EZ1M [I; E = halo, OH, alkyl, aloxy, etc.; M = Z2ZAB; A = (un)substituted carbocyclylene, -heterocyclylene; B = H, Y, XY; X = alkylene, CO, O, (un)substituted NH, etc.; Y = amino(alkyl), substituted carbocyclyl, -heterocyclyl, etc.; Z = bond, (heteroatom- or functional group-interrupted) alkylene, etc.; Z1 = (un)substituted Ph, Z2 = N-contg. heteroarylene, etc.] were prepd. Thus, MeCOCH2C(:NOMe)CO2Et was cyclocondensed with PhNHNH2 and the product amidated by 4-(H2N)C6H4C6H4(SO2NHCM3)-2 to give, after deprotection, title compd. II. Data for biol. activity of I were given.

L7 ANSWER 46 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:394328 CAPLUS

DN **129:67773**

TI Preparation of benzamide derivatives having a vasopressin antagonistic activity

IN Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo

PA Fujisawa Pharmaceutical Co., Ltd., Japan; Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sawada, Yuki; Oku, Teruo

SO PCT Int. Appl., 332 pp.

CODEN: PIXXD2

DT Patent

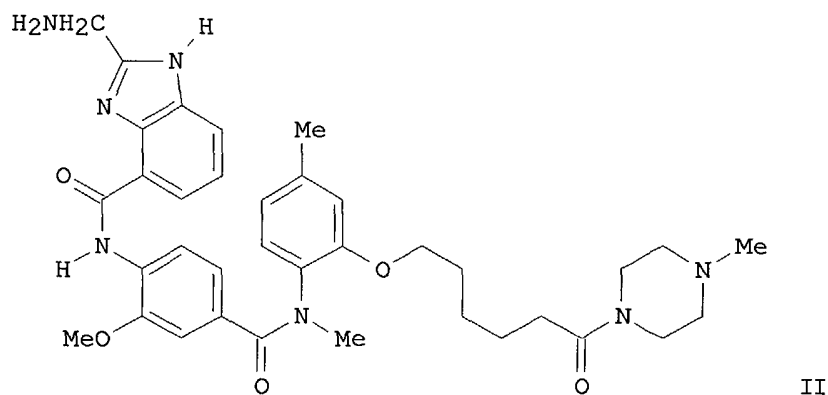
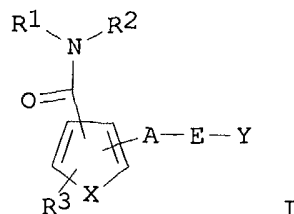
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824771	A1	19980611	WO 1997-JP4192	19971118
	W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9749672	A1	19980629	AU 1996-3953	A 19961202
				AU 1997-49672	19971118
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	EP 946519	A1	19991006	EP 1997-912493	19971118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	JP 2001505193	T2	20010417	JP 1998-521225	19971118
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	US 6207693	B1	20010327	US 1999-308662	19990602
				AU 1996-3953	A 19961202
				WO 1997-JP4192 W	19971118
	US 6316482	B1	20011113	US 2000-614132	20000711
				AU 1996-3953	A 19961202
				US 1999-308662	A319990602

OS MARPAT 129:67773

GI



AB The title compds. [I; R1 = (un)substituted aryl, cyclo(lower)alkyl, heterocyclyl; R2 = H, lower alkyl, etc.; R3 = H, halo, OH, etc.; A = a single bond, O, NH; E = lower alkylene, lower alkenylene, etc.; X = CH:CH, CH:N, S; Y = (un)substituted aryl, condensed heterocyclyl, etc.] and their pharmaceutically acceptable salts, useful in treatment and/or prevention of hypertension, heart failure, renal insufficiency, edema, ascites, vasopressin parasecretion syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic, circulation disorder, cerebrovascular disease, Meniere's disease or motion sickness, were prepd. Thus, the title compd. II showed IC50 of 1.5 nM against vasopressin 1 receptor binding.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 47 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:42277 CAPLUS

DN 128:110886

TI Phenylurea IL-8 receptor antagonists, preparation thereof, and therapeutic use

IN Widdowson, Katherine L.

PA Smithkline Beecham Corp., USA; Widdowson, Katherine L.

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA English

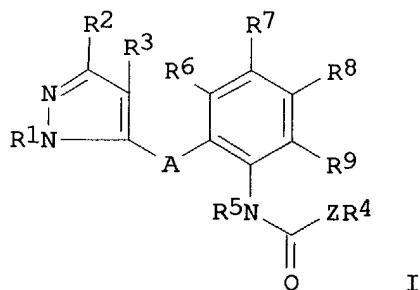
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9749399	A1	19971231	WO 1997-US10904	19970624
	W: JP, US				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 US 1996-22000P P 19960627
 EP 907362 A1 19990414 EP 1997-930205 19970624
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 US 1996-22000P P 19960627
 WO 1997-US10904W 19970624
 JP 2000513359 T2 20001010 JP 1998-503449 19970624
 US 1996-22000P P 19960627
 WO 1997-US10904W 19970624
 OS MARPAT 128:110886
 AB Phenylurea derivs. (Markush included) are provided for the treatment of
 disease states mediated by the chemokine, Interleukin-8 (IL-8). Prepn. of
 e.g. N-(2-hydroxy-4-nitrophenyl)-N'-(2-pyridyl)urea is described.
 Diseases treatable by the compds. of the invention include e.g. psoriasis,
 asthma, chronic obstructive pulmonary disease, stroke, and Alzheimer's
 disease.
 L7 ANSWER 48 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1998:1292 CAPLUS
 DN **128:71993**
 TI Preparation of herbicidal pyrazole derivatives
 IN Mathews, Christopher John; Baker, Don Robert
 PA Zeneca Ltd., UK
 SO U.S., 21 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5698495	A	19971216	US 1996-742010	19961031
	US 5786302	A	19980728	US 1997-905749	19970804
				US 1996-742010	19961031

OS MARPAT 128:71993
 GI



AB The pyrazole derivs. I [R1 = (un)substituted alkyl or haloalkyl; R2 = R1, (un)substituted cycloalkyl; R3 = H, halo, alkyl or haloalkyl; R4 = (un)substituted alkyl, haloalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl or alkoxyalkyl; R6-9 = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; A = O, S, SO or SO2; Z = S or bond] are prepd. as herbicides.

L7 ANSWER 49 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:684401 CAPLUS

DN **127:346304**

TI Preparation of pyridinioarylcarbamoyleindoline derivatives as serotonin receptor antagonists.

IN Bromidge, Steven Mark

PA Smithkline Beecham Plc, UK; Bromidge, Steven Mark

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9737989	A1	19971016	WO 1997-EP1611	19970326
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 891348	A1	19990120	EP 1997-915465	19970326
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
				GB 1996-7219	A 19960404
				WO 1997-EP1611	W 19970326
	JP 2001508399	T2	20010626	JP 1997-535805	19970326
				WO 1997-EP1611	W 19970326
	US 6028085	A	20000222	US 1998-155589	19980930
				GB 1996-7219	A 19960404
				WO 1997-EP1611	W 19970326

OS MARPAT 127:346304

AB (R1)nP1A[P2(R2)m]NR3COR4 [R1, R2 = H, (substituted) alkyl; R3 = H, alkyl; R4 = (substituted) N-bonded bicycloheterocyclyl, aminopyrazinyl, aminopyridinyl, aminophenyl, etc.; P1, P2 = Ph, heterocyclyl contg. a quaternary N atom; A = bond, chain of 1-5 atoms (substituted) phenylene, heterocyclylene; n, m = 0-2], were prepd. as 5-HT2B/5-HT2C antagonists with increased soly./activity (no data). Thus, 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-(pyridin-3-yl)phenylcarbamoyleindoline in MeCN was treated with sodium tetraphenylboron and bromomethyl acetate followed by 4 h reflux to give a tetraphenylborate salt which was subjected to ion exchange to give 100% 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-[1-(acetyloxy)methylpyridinium-3-yl]phenylcarbamoyleindoline chloride.

L7 ANSWER 50 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:618728 CAPLUS

DN **127:278147**

TI Preparation of pyridylurea derivatives as antitumor and antiviral agents

IN Shudo, Koichi; Fukutomi, Ryuta

PA Shudo Koichi, Japan; Nisshin Flour Milling Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

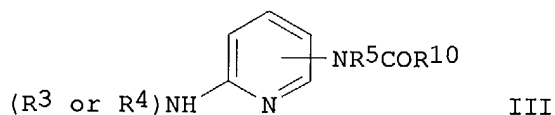
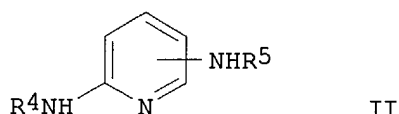
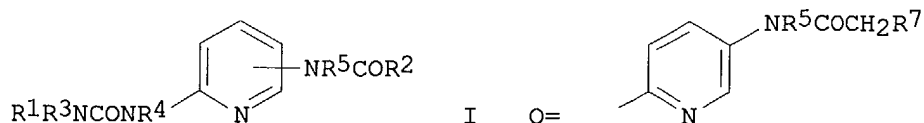
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09241243	A2	19970916	JP 1996-46086	19960304
				JP 1996-46086	19960304

OS CASREACT 127:278147; MARPAT 127:278147
GI



AB The title derivs. I [R1 = C6H4C(:NH)NH2-4, C6H4R7-4, Q; R2 = NR1R6, CH2R7; R7 = N(R8)2, N+R83; R3-R6, R8 = H, C1-6 alkyl] and their pharmaceutically acceptable salts, useful as antitumor and antiviral agents, are prepd. by treatment of R9R3NCOC1 (R9 = C6H4CN-4, C6H4Y-4; Y = protected amino) or PhOCONR3R9 with diaminopyridines II followed by conversion of cyano group to guanyl group when R9 = C6H4CN-4 or deprotection of amino group and N-alkylation when R9 = C6H4Y-4. I are also prepd. by condensation of R9NHR3 with diaminopyridines III (R10 = protected aminomethyl) through CO group in the presence of carbonyldiimidazole or by autocondensation of III through CO group in the presence of carbonyldiimidazole followed by conversion of cyano group to guanyl group when R9 = C6H4CN-4 or deprotection of amino group and N-alkylation when R9 = C6H4Y-4 and removal of protective group of R10. N,N'-dimethyl-2,6-diaminopyridine (prepn. given) was treated with 4-(N-phenoxycarbonyl)aminobenzonitrile (prepn. given) in DMSO at 100-110.degree. for 36 h to give N,N'-dimethyl-N,N'-bis[(N-4-cyanophenyl)aminocarbonyl]-2,6-diaminopyridine, which in MeOH was bubbled with HCl at 0.degree. and the resulting product in MeOH was bubbled with NH3 at 0.degree. to give N,N'-dimethyl-N,N'-bis[(N-4-amidinophenyl)aminocarbonyl]-2,6-diaminopyridine hydrochloride (IV). IV showed 57% binding rate for DNA derived from calf thymus, which was comparable to or greater than that of netropsin.

L7 ANSWER 51 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:394295 CAPLUS

DN **127:5010**

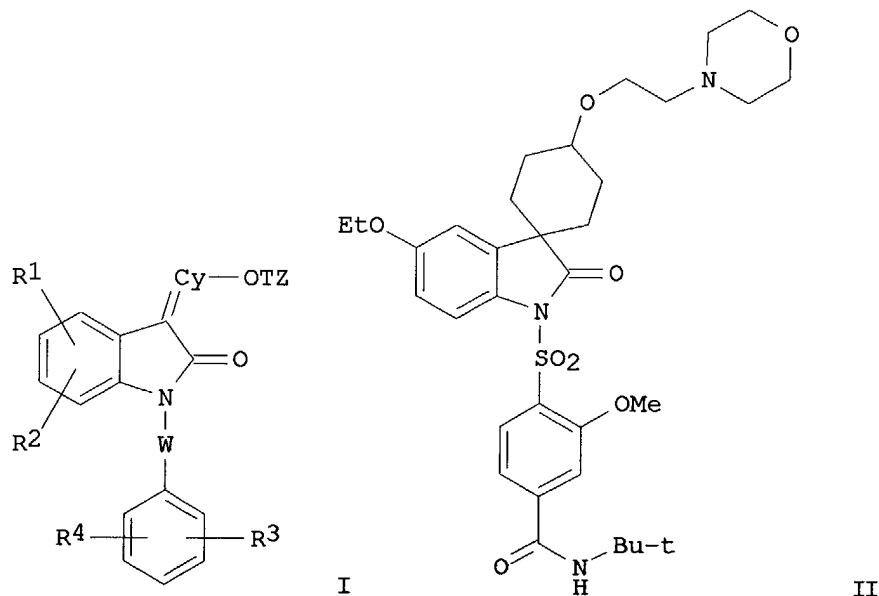
TI 3-Spiroindolin-2-one derivatives as vasopressin and/or oxytocin receptor ligands

IN Foulon, Loic; Garcia, Georges; Serradeil-Le Gal, Claudine; Valette, Gerard
PA Sanofi, Fr.; Foulon, Loic; Garcia, Georges; Serradeil-Le Gal, Claudine;

Valette, Gerard
 SO PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9715556	A1	19970501	WO 1996-FR1666	19961024
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
	FR 2740136	A1	19970425	FR 1995-12533	A 19951024
	FR 2740136	B1	19980109	FR 1995-12533	19951024
	TW 474917	B	20020201	TW 1996-85112955	19961022
				FR 1995-12533	A 19951024
	IN 185328	A	20001230	IN 1996-DE2288	19961023
				FR 1995-12533	A 19951024
	CA 2235686	AA	19970501	CA 1996-2235686	19961024
				FR 1995-12533	A 19951024
	AU 9673080	A1	19970515	AU 1996-73080	19961024
	AU 715841	B2	20000210		
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	ZA 9608945	A	19970529	ZA 1996-8945	19961024
				FR 1995-12533	A 19951024
	EP 873309	A1	19981028	EP 1996-934967	19961024
	EP 873309	B1	20021218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	CN 1202886	A	19981223	CN 1996-198579	19961024
	CN 1106384	B	20030423		
				FR 1995-12533	A 19951024
	BR 9611198	A	19990406	BR 1996-11198	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	JP 11509232	T2	19990817	JP 1996-516363	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	NZ 320352	A	20000128	NZ 1996-320352	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	RU 2167864	C2	20010527	RU 1998-109945	19961024
				FR 1995-12533	A 19951024
				WO 1996-FR1666	W 19961024
	JP 2001302631	A2	20011031	JP 2001-75467	19961024
				FR 1995-12533	A 19951024
				JP 1997-516363	A3 19961024
	JP 3274471	B2	20020415	JP 1997-516363	19961024
				FR 1995-12533	A 19951024

IL 124002	A1	20021110	IL 1996-124002	19961024
			FR 1995-12533	A 19951024
AT 229940	E	20030115	WO 1996-FR1666	W 19961024
			AT 1996-934967	19961024
ES 2191769	T3	20030916	FR 1995-12533	A 19951024
			WO 1996-FR1666	W 19961024
US 5994350	A	19991130	ES 1996-934967	19961024
			FR 1995-12533	A 19951024
NO 9801817	A	19980423	US 1998-51900	19980417
			FR 1995-12533	A 19951024
HK 1016596	A1	20030404	WO 1996-FR1666	W 19961024
			NO 1998-1817	19980423
US 6046341	A	20000404	FR 1995-12533	A 19951024
			WO 1996-FR1666	W 19961024
OS	MARPAT 127:5010		HK 1999-101588	19990414
GI			FR 1995-12533	A 19951024
			WO 1996-FR1666	W 19961024
			US 1999-417190	19991012
			FR 1995-12533	A 19951024



AB Indolin-2-one derivs. I [W = CH₂ or SO₂; Cy = atoms to form spirocyclic (un)satd. non-arom. C₃-12 hydrocarbon ring optionally fused or substituted by .gtoreq. 1 C₁-7 alkyl or by C₃-6 spirocycloalkyl; T = C₁-4 alkylene optionally interrupted by C₃-6 cycloalkylene, said alkylenes optionally substituted by C₁-3 alkyl, or T = direct bond; Z = particularly amino; R₁-R₄ = H or substituents, e.g. halo, alkyl, etc.] and their salts are claimed. The compds. may be used in drugs having vasopressin and/or oxytocin receptor affinity. For example, 5-ethoxy-3-spiro[4-(2-

chloroethoxy)cyclohexane]indolin-2-one (mixed isomers, prepn. given) was treated with KOBu-tert and 4-(N-tert-butylcarbonyl)-2-methoxybenzenesulfonyl chloride to give the corresponding 1-sulfonylated deriv., which then reacted with morpholine and NaI in DMF at 60.degree. to give 2 isomers of title compd. II. I had IC50 values of 10⁻⁵ to 10⁻⁹ M for inhibition of binding of tritiated arginine-vasopressin to rat mammary vasopressin receptors in vitro. Diuretic effects of I in rats showed them to be strong V2 antagonists.

L7 ANSWER 52 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:287158 CAPLUS

DN **126:349686**

TI Color photographic element containing yellow colored magenta masking coupler

IN Kapp, Daniel L.; Younathan, Janet N.; Ross, Robert J.; Merrill, James P.

PA Eastman Kodak Company, USA

SO U.S., 23 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5622818	A	19970422	US 1995-564515	19951129
				US 1995-564515	19951129

OS MARPAT 126:349686

AB A multilayer silver halide color photog. element comprising a support bearing a light-sensitive silver halide emulsion layer and a non-diffusible yellow-colored magenta masking coupler wherein the masking coupler is a 2'-hydroxy-5'-substituted-4-phenylazo-5-pyrazolone. The masking coupler has good coupling activity and desirable hues and can be obtained in good yields by simple syntheses.

L7 ANSWER 53 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:596172 CAPLUS

DN **125:247613**

TI Preparation of indolines as 5-HT2B/2C receptor antagonists

IN Gaster, Laramie Mary; Wyman, Paul Adrian; Mulholland, Keith Raymond; Davies, David Thomas; Duckworth, David Malcom; Forbes, Ian Thomson; Jones, Graham Elgin

PA Smithkline Beecham Plc, UK

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9623783	A1	19960808	WO 1996-EP368	19960126
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
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				GB 1995-8327	A 19950425

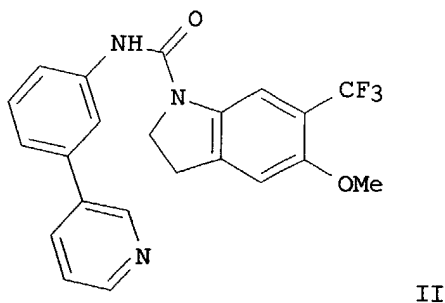
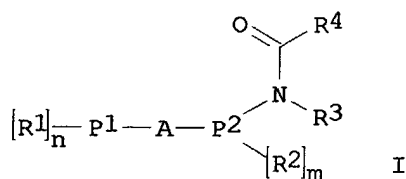
			GB 1995-8967	A 19950503
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			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
AU 9646646	A1	19960821	AU 1996-46646	19960126
AU 699727	B2	19981210		
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
BR 9607016	A	19971028	WO 1996-EP368	W 19960126
			BR 1996-7016	19960126
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
EP 808312	A1	19971126	WO 1996-EP368	W 19960126
EP 808312	B1	20001102	EP 1996-902259	19960126
			R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI	
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
CN 1179156	A	19980415	WO 1996-EP368	W 19960126
			CN 1996-192777	19960126
JP 10513442	T2	19981222	GB 1995-2052	A 19950202
			JP 1996-523247	19960126
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
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			GB 1995-18574	A 19950912
RO 115522	B3	20000330	WO 1996-EP368	W 19960126
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			WO 1996-EP368	W 19960126

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			GB 1995-18574	A 19950912
ES 2151652	T3	20010101	WO 1996-EP368	W 19960126
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			GB 1995-16845	A 19950817
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PT 808312	T	20010330	PT 1996-96902259	19960126
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			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
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IL 116998	A1	20010808	GB 1995-2052	A 19950202
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			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
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			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
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			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
US 5990133	A	19991123	WO 1996-EP368	W 19960126
			US 1997-875506	19971016
			GB 1995-2052	A 19950202
			GB 1995-8327	A 19950425

			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
HK 1003883	A1	20010831	WO 1996-EP368	W 19960126
			HK 1998-103018	19980409
			GB 1995-2052	A 19950202
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			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
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			GB 1995-8327	A 19950425
			GB 1995-8967	A 19950503
			GB 1995-16845	A 19950817
			GB 1995-17542	A 19950826
			GB 1995-18574	A 19950912
US 2003105139	A1	20030605	WO 1996-EP368	W 19960126
US 6638953	B2	20031028	US 1997-875506	A319971016
			US 2001-767245	20010122

GB 1995-2052	A 19950202
GB 1995-8327	A 19950425
GB 1995-8967	A 19950503
GB 1995-16845	A 19950817
GB 1995-17542	A 19950826
GB 1995-18574	A 19950912
WO 1996-EP368	W 19960126
US 1997-875506	A319971016
US 1999-359606	A319990723

OS CASREACT 125:247613; MARPAT 125:247613
GI



AB The title compds. [I; P1, P2 = Ph, arom. or partially satd. monocyclic or bicyclic heterocyclic ring; A = bond, (substituted) C1-5 alkylene, etc.; R1, R2 = H, (substituted) C1-6 alkyl, C2-6 alkenyl, etc.; R3 = H, C1-6 alkyl; R4 = 1-indolinyl, etc.; n, m = 0-2], useful in the treatment of CNS disorders such as anxiety, were prepd. Thus, treatment of 3-(3-pyridyl)aniline with 1,1-dicarbonyldiimidazole in CH2Cl2 followed by

reaction of the intermediate with 5-methoxy-6-trifluoromethylindoline in DMF afforded 85% the indoline II which showed pK_i of 5.8-9.7 against [3H]-mesulergine binding to rat or human 5-HT_{2C} clones expressed in 293 cells in vitro.

L7 ANSWER 54 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1996:467112 CAPLUS
 DN **125:114503**
 TI Substituted 2-acylamino-pyridines as inhibitors of nitric oxide synthase
 IN Guthikonda, Ravindra K.; Hagmann, William K.; Maccoss, Malcolm; Shah, Shrenik K.; Durette, Philippe L.
 PA Merck and Co., Inc., USA
 SO PCT Int. Appl., 79 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

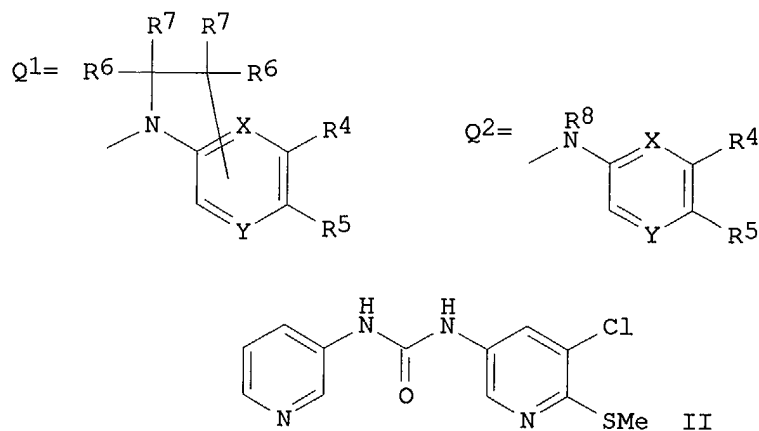
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9618617	A1	19960620	WO 1995-US16158	19951208
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9645158	A1	19960703	US 1994-353859	19941212
				AU 1996-45158	19951208
				US 1994-353859	19941212
				WO 1995-US16158	19951208
	US 5908842	A	19990601	US 1997-836863	19970520
				WO 1995-US16158	19951208
OS	MARPAT 125:114503				
AB	Substituted 2-acylaminopyridine compds. and pharmaceutically acceptable salts were prepd. which were found useful in the treatment of nitric oxide synthase mediated diseases and disorders.				

L7 ANSWER 55 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1996:446514 CAPLUS
 DN **125:114487**
 TI CNS-Active pyridinylurea derivatives
 IN Forbes, Ian Thomson; Jones, Graham Elgin
 PA Smithkline Beecham P.L.C., UK
 SO PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9611930	A1	19960425	WO 1995-EP3944	19951005
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1994-20999	A 19941018
	EP 788499	A1	19970813	EP 1995-934135	19951005
	R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL, SE				
				GB 1994-20999	A 19941018

JP 10508584	T2	19980825	WO 1995-EP3944 W 19951005
			JP 1995-512907 19951005
			GB 1994-20999 A 19941018
US 5866586	A	19990202	WO 1995-EP3944 W 19951005
			US 1997-817580 19970417
			GB 1994-20999 A 19941018
			WO 1995-EP3944 W 19951005

OS MARPAT 125:114487
GI



AB The invention relates to heterocyclic compds. R1-G-N(R2)-CO-R3 [I; G = Ph ring, quinoline or isoquinoline nucleus, or a 5- or 6-membered arom. heterocycle contg. 1-3 heteroatoms (N, O, and/or S); R1 = H, alkyl, alkylthio, cyano, NO2, halo, CF3, amino, etc.; R2 = H, alkyl; R3 = group Q1 or Q2; X = Y = N, or one of X and Y = N and the other = C or CH; R4, R5 = alkyl, alkoxy, OH, halo, NO2, (un)substituted Ph, etc.; or R4R5 forms (un)substituted 5-membered carbo- or heterocyclic ring; R6, R7, R8 = H, alkyl]. Compds. I are 5-HT2C receptor antagonists, and some or all of them are also 5-HT2B antagonists. They are useful in the treatment of a variety of CNS and GI disorders. For example, 5,6-dichloronicotinic acid underwent sulfurization in the 6-position by thiourea (87%) and S,O-dimethylation with MeI (50%) to give Me 3-chloro-2-(methylthio)pyridine-5-carboxylate. This was converted to the corresponding hydrazide (32%) and then the carbonyl azide (72%). The latter was decompd. in refluxing PhMe, and the intermediate isocyanate treated with 3-aminopyridine, to give 85% title compd. II. The three example compds. had pKi of 7.4-8.1 in a test for displacement of [3H]-mesulergine from rat or human 5-HT2C clones, expressed in 293 cells in vitro.

L7 ANSWER 56 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:380210 CAPLUS
DN **125:114681**
TI Pyrimidine derivatives and processes for the preparation thereof
IN Zimmermann, Juerg
PA Ciba-Geigy Corporation, USA

SO U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 42,322, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5521184	A	19960528	US 1994-234889	19940428
				CH 1992-1083	A 19920403
				US 1993-42322	B219930402
				CH 1993-2966	A 19931001
	CA 2148477	AA	19950413	CA 1994-2148477	19940921
				CH 1993-2966	A 19931001

PATENT FAMILY INFORMATION:

FAN 1994:107056

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 564409	A1	19931006	EP 1993-810219	19930325
	EP 564409	B1	20000119		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 188964	E	20000215	CH 1992-1083	A 19920403
				AT 1993-810219	19930325
	ES 2142857	T3	20000501	CH 1992-1083	A 19920403
				ES 1993-810219	19930325
	CA 2093203	AA	19931004	CH 1992-1083	A 19920403
	CA 2093203	C	20021126	CA 1993-2093203	19930401
				CH 1992-1083	A 19920403
	CZ 283944	B6	19980715	CZ 1993-560	19930401
				CH 1992-1083	A 19920403
	RU 2125992	C1	19990210	RU 1993-5357	19930401
				CH 1992-1083	A 19920403
	IL 105264	A1	19990411	IL 1993-105264	19930401
				CH 1992-1083	A 19920403
	SK 280620	B6	20000516	SK 1993-280	19930401
				CH 1992-1083	A 19920403
	NO 9301283	A	19931004	NO 1993-1283	19930402
				CH 1992-1083	A 19920403
	ZA 9302397	A	19931004	ZA 1993-2397	19930402
				CH 1992-1083	A 19920403
	AU 9335694	A1	19931007	AU 1993-35694	19930402
	AU 666709	B2	19960222		
				CH 1992-1083	A 19920403
	CN 1077713	A	19931027	CN 1993-103566	19930402
	CN 1043531	B	19990602		
				CH 1992-1083	A 19920403
	HU 64050	A2	19931129	HU 1993-982	19930402
				CH 1992-1083	A 19920403
	JP 06087834	A2	19940329	JP 1993-78096	19930405
	JP 2706682	B2	19980128		
				CH 1992-1083	A 19920403

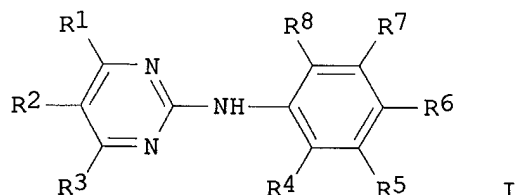
FAN 1995:735375

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9509852	A1	19950413	WO 1994-EP3149	19940921
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TJ, TT, UA, UZ, VN
 RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
 MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
 TD, TG

US 5543520	A	19960806	CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			US 1994-306333	19940915
			CH 1993-2966	A 19931001
CA 2148477	AA	19950413	CH 1994-2278	A 19940718
			CA 1994-2148477	19940921
AU 9476975	A1	19950501	CH 1993-2966	A 19931001
AU 693804	B2	19980709	AU 1994-76975	19940921
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
EP 672040	A1	19950920	WO 1994-EP3149	W 19940921
			EP 1994-927633	19940921
			R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE	
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
JP 08504834	T2	19960528	WO 1994-EP3149	W 19940921
			JP 1994-510576	19940921
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			WO 1994-EP3149	W 19940921

OS MARPAT 125:114681
 GI



AB There are described N-phenyl-2-pyrimidine-amine derivs. (I) wherein R1 is 4-pyrazinyl, 1-methyl-1H-pyrrolyl, amino- or amino-lower alkyl-substituted Ph wherein the amino group in each case is free, alkylated or acylated, 1H-indolyl or 1H-imidazolyl bonded at a five-membered ring carbon atom, or unsubstituted or lower alkyl-substituted pyridyl bonded at a ring carbon atom and unsubstituted or substituted at the nitrogen atom by oxygen; R2 and R3 are hydrogen or lower alkyl; one or two of R4, R5, R6, R7 are each nitro, fluoro-substituted lower alkoxy or -N(R9)C(:X)(Y)nR10. These compds. can be used, for example, in the therapy of tumoral diseases. Three example formulations are given.

L7 ANSWER 57 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:228503 CAPLUS

DN **124:261021**

TI Six-membered nitrogen-containing heteroaryl oxazolidinones useful as antibacterials

IN Riedl, Bernd; Haebich, Dieter; Stolle, Andreas; Wild, Hanno; Endermann,
Rainer; Bremm, Klaus Dieter; Kroll, Hein-Peter; Labischinski, Harald;
Schaller, Klaus; Werling, Hans-Otto

PA Bayer A.-G., Germany

SO Eur. Pat. Appl., 99 pp.

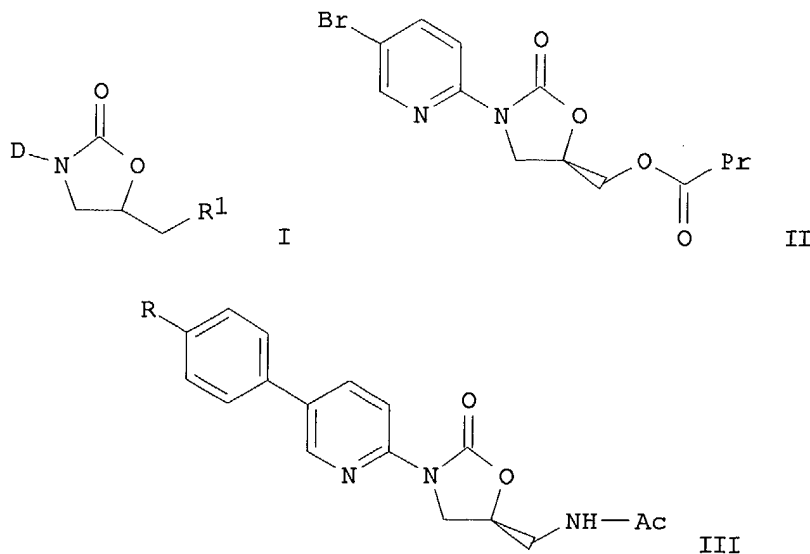
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 694543	A1	19960131	EP 1995-110624	19950707
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	DE 4425612	A1	19960404	DE 1994-4425612A	19940720
	AU 9524985	A1	19960201	DE 1994-4425612	19940720
	AU 699940	B2	19981217	AU 1995-24985	19950713
				DE 1994-4425612A	19940720
	RO 115262	B1	19991230	RO 1995-1312	19950714
				DE 1994-4425612A	19940720
	CA 2154025	AA	19960121	CA 1995-2154025	19950717
				DE 1994-4425612A	19940720
	JP 08041056	A2	19960213	JP 1995-201799	19950717
				DE 1994-4425612A	19940720
	US 5627181	A	19970506	US 1995-503369	19950717
				DE 1994-4425612A	19940720
	IL 114626	A1	19990817	IL 1995-114626	19950717
				DE 1994-4425612A	19940720
	FI 9503477	A	19960121	FI 1995-3477	19950718
				DE 1994-4425612A	19940720
	NO 9502865	A	19960122	NO 1995-2865	19950719
				DE 1994-4425612A	19940720
	ZA 9506018	A	19960313	ZA 1995-6018	19950719
				DE 1994-4425612A	19940720
	HU 75035	A2	19970328	HU 1995-2173	19950719
				DE 1994-4425612A	19940720
	CN 1119647	A	19960403	CN 1995-107584	19950720
				DE 1994-4425612A	19940720
	US 5843967	A	19981201	US 1996-749581	19961115
				DE 1994-4425612A	19940720
				US 1995-503369 A1	19950717
OS	MARPAT 124:261021				
GI					



AB The title compds. I are prepd. [in which R1 = N3, OH, OR2, OSO2R3, NR4R5; R2 = acyl, protecting group; R3 = alkyl, Ph, alkylphenyl; R4, R5 = cycloalkyl, H, Ph, alkyl, protecting group, COR6; R6 = cycloalkyl, alkyl, Ph, H; D = [all optionally substituted] C-bound, 6-membered, arom., N-contg. heterocyclyl; or 6-membered-ring-contg. bi- or tricyclic arom. N-contg. heterocyclyl; or .beta.-carbolin-3-yl; or indoliziny]. For example, cyclization of 5-bromo-2-isocyanatopyridine-HCl with (R)-glycidyl butyrate in the presence of Bu3P:O in xylene gave 26% title compd. II. This was subjected to a sequence of ester methanolysis (69%), conversion of the resulting alc. to a mesylate (95%), then to an azide (95%), and then to an amine (85%), N-acetylation of the amine (98%), and Pd0-catalyzed coupling with 4-MeC6H4B(OH)2 (60%), to give title compd. III [R = Me]. The analogously prepd. compd. III [R = H] had MIC of 0.5-1 .mu.g/mL against 4 strains of Staphylococcus.

L7 ANSWER 58 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:214745 CAPLUS

DN **124:289261**

TI Preparation of 4-(2-phenylethyl)pyridine derivatives as phosphodiesterase IV inhibitors

IN Warrellow, Graham John; Boyd, Ewan Campbell; Alexander, Rikki Peter

PA Celltech Therapeutics Ltd., UK

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

LA English

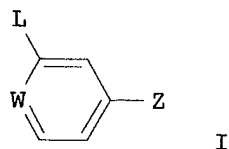
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9535283	A1	19951228	WO 1995-GB1461	19950621
	W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT			
	RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,			

LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
SN, TD, TG

			GB 1994-12384	A 19940621
			GB 1994-12386	A 19940621
			GB 1994-12493	A 19940622
			GB 1994-15836	A 19940805
US 6245774	B1	20010612	US 1995-492855	19950620
			GB 1994-12384	A 19940621
			GB 1994-12386	A 19940621
			GB 1994-12493	A 19940622
			GB 1994-15836	A 19940805
CA 2192645	AA	19951228	CA 1995-2192645	19950621
			GB 1994-12384	A 19940621
			GB 1994-12386	A 19940621
			GB 1994-12493	A 19940622
			GB 1994-15836	A 19940805
AU 9527463	A1	19960115	AU 1995-27463	19950621
AU 707717	B2	19990715		
			GB 1994-12384	A 19940621
			GB 1994-12386	A 19940621
			GB 1994-12493	A 19940622
			GB 1994-15836	A 19940805
EP 766669	A1	19970409	WO 1995-GB1461	W 19950621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			EP 1995-922635	19950621
			GB 1994-12384	A 19940621
			GB 1994-12386	A 19940621
			GB 1994-12493	A 19940622
			GB 1994-15836	A 19940805
JP 10503174	T2	19980324	WO 1995-GB1461	W 19950621
			JP 1995-501845	19950621
			GB 1994-12384	A 19940621
			GB 1994-12386	A 19940621
			GB 1994-12493	A 19940622
			GB 1994-15836	A 19940805
US 2002143011	A1	20021003	WO 1995-GB1461	W 19950621
			US 2001-805842	20010314
			GB 1994-12384	A 19940621
			GB 1994-12386	A 19940621
			GB 1994-12493	A 19940622
			GB 1994-15836	A 19940805
			US 1995-492855	A319950620

OS MARPAT 124:289261
GI



AB Title compds. I [W = C(OMe), C(halo), N, etc.; L = (substituted) alkenyl, alkyl, aryloxy, etc.; Z = (substituted) alkenyl, alkyl, aryl, heterocycloalkyl, etc.], useful in the prophylaxis and treatment of

asthma, were prepd. Treatment of (R)-I [W = C(OMe); L = OH; Z = RCH₂CH(Ph) wherein R = 4-pyridinyl] with t-BuOK in THF/DMF followed by addn. of PhCH₂Br afforded (R)-I [W = C(OMe); L = OCH₂Ph; Z = RCH₂CH(Ph)]. Compds. I showed a concn.-dependent inhibition of recombinant PDE IV at 0.1-1000 nM with little or no activity against PDE I, II, III or V at concns. up to 100 .mu.M.

L7 ANSWER 59 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1995:851671 CAPLUS
 DN **123:256505**
 TI Amidine derivatives with nitric oxide synthetase activities
 IN Gentile, Robert James; Murray, Robert John; MacDonald, James Edwin; Shakespeare, William Calvin
 PA Fisons Corp., UK; Fisons PLC
 SO PCT Int. Appl., 103 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9505363	A1	19950223	WO 1994-GB1767	19940812
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				GB 1993-16806	A 19930812
				GB 1993-19835	A 19930925
				GB 1993-25410	A 19931211
				GB 1994-1580	A 19940127
				GB 1994-11700	A 19940610
	CA 2169280	AA	19950223	CA 1994-2169280	19940812
				GB 1993-16806	A 19930812
				GB 1993-19835	A 19930925
				GB 1993-25410	A 19931211
				GB 1994-1580	A 19940127
				GB 1994-11700	A 19940610
	AU 9473875	A1	19950314	AU 1994-73875	19940812
	AU 682381	B2	19971002		
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				GB 1993-25410	A 19931211
				GB 1994-1580	A 19940127
				GB 1994-11700	A 19940610
				WO 1994-GB1767	W 19940812
	ZA 9406095	A	19950419	ZA 1994-6095	19940812
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	EP 713483	A1	19960529	EP 1994-923776	19940812
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				GB 1993-16806	A 19930812
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				GB 1994-1580	A 19940127
				GB 1994-11700	A 19940610
				WO 1994-GB1767	W 19940812

CN 1132505	A	19961002	CN 1994-193688	19940812
CN 1071746	B	20010926		
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			GB 1993-19835	A 19930925
BR 9407515	A	19970107	BR 1994-7515	19940812
			GB 1993-16806	A 19930812
			GB 1993-19835	A 19930925
			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
JP 09501918	T2	19970225	WO 1994-GB1767	W 19940812
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			GB 1993-25410	A 19931211
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			GB 1994-11700	A 19940610
HU 75876	A2	19970528	WO 1994-GB1767	W 19940812
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			GB 1993-16806	A 19930812
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			GB 1993-25410	A 19931211
			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
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			GB 1993-16806	A 19930812
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			GB 1994-1580	A 19940127
			GB 1994-11700	A 19940610
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			GB 1993-16806	A 19930812
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			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
PL 180081	B1	20001229	PL 1994-312961	19940812
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			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
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			GB 1993-16806	A 19930812
			GB 1993-19835	A 19930925
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			GB 1994-11700	A 19940610
			WO 1994-GB1767	W 19940812
US 5807885	A	19980915	US 1996-586761	19960130
			WO 1994-GB1767	W 19940812
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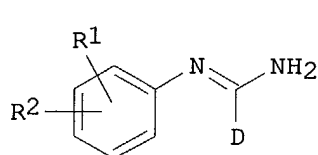
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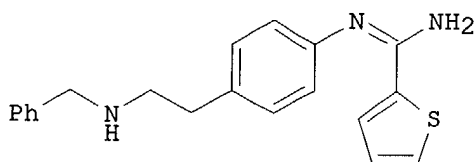
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 GB 1993-16806 A 19930812
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 GB 1993-25410 A 19931211
 GB 1994-1580 A 19940127
 GB 1994-11700 A 19940610
 WO 1994-GB1767 W 19940812
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 GB 1993-16806 A 19930812
 GB 1993-19835 A 19930925
 GB 1993-25410 A 19931211
 GB 1994-1580 A 19940127
 GB 1994-11700 A 19940610

OS MARPAT 123:256505

GI



I



II

AB Title compds. I [D = Ph, pyridinyl, 5-membered heterocyclic arom. ring contg. 1-4 O, S and/or N atoms, or perfluoroalkyl, with 1st 3 groups optionally substituted by alkyl, alkoxy, halo, and/or perfluoroalkyl; R1 = H, alkyl, halo; R2 = X(CH2)nZCONR3R4, X(CH2)nNHCO(CH2)sNR3R4, X(CH2)pNR3R4, X(CH2)nNHCOR5, or (CH2)qNHC(:NH)R6; X = O, bond; Z = O, NR7, bond; R3, R4 = H, alkyl, (CH2)rA, (CH2)mOA, CHMe(CH2)tA; or NR3R4 = 1-indanyl (sic), piperonylamino, piperidinyl, morpholinyl, pyrrolidinyl, 1,2,3,4-tetrahydroisoquinolinyl, (4-alkyl)piperazinyl; R5 = alkyl, perfluoroalkyl, (CH2)rA, O(CH2)wA; A = (un)substituted Ph, pyridinyl, pyrimidinyl, 5-membered heteroaryl; R6 = (un)substituted Ph, pyridinyl, 5-membered heteroaryl, perfluoroalkyl; R7 = H, alkyl; n, r = 0-6; p, w = 1-5; m = 2-5; q, t = 0-5; s = 1-3; 8 addnl. provisos] and pharmaceutically acceptable salts are described, together with processes for their prepn. and compns. contg. them. I have nitric oxide synthetase (II) inhibitory activity, and are potentially useful for treatment of neurodegenerative disorders, migraine, tolerance to opiates and diazepines, and drug addiction. For example, 4-nitrophenethylamine-HCl underwent N-trifluoroacetylation (80%), N-benylation using NaH and PhCH2Br in THF (44%), hydrogenation of the nitro group over Pd/C (used directly), and condensation of the resultant amine with S-methyl-2-thiophenethiocarboximide hydriodide and simultaneous hydrolysis of the amide (34%) to give title compd. III. In a screen for activity against a neuronal isoform of II, III showed an IC50 of < 10 .mu.M, indicating therapeutic utility. III also showed > 10-fold less potency against macrophage and endothelial isoforms of II, indicating desirable selectivity. Approx. 250 specific I and salts were prepd. and/or claimed, and 72 synthetic examples are given.

L7 ANSWER 60 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:305146 CAPLUS

DN 122:80891

TI Preparation of arylurea and amide derivatives and their use in the control of cell membrane potassium channels

IN Olesen, Soeren-Peter; Moldt, Peter; Pedersen, Ove

PA Neurosearch A/S, Den.

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

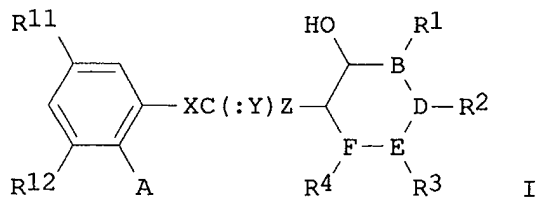
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422807	A1	19941013	WO 1994-EP1008	19940330
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2160128	AA	19941013	DK 1993-411	19930407
				CA 1994-2160128	19940330
	AU 9465378	A1	19941024	DK 1993-411	19930407
	AU 683654	B2	19971120	AU 1994-65378	19940330
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	EP 693053	A1	19960124	EP 1994-913095	19940330
	EP 693053	B1	19990120		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	JP 08510448	T2	19961105	JP 1994-521674	19940330
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	AT 175955	E	19990215	AT 1994-913095	19940330
				DK 1993-411	19930407
	FI 9504746	A	19951117	FI 1995-4746	19951005
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	NO 9503956	A	19951207	NO 1995-3956	19951005
				DK 1993-411	19930407
				WO 1994-EP1008	19940330
	US 5696138	A	19971209	US 1995-535267	19951227
				DK 1993-411	19930407
				WO 1994-EP1008	19940330

OS MARPAT 122:80891

GI



AB Title compds. I (X, Z = HN, H₂C, at least one of X and Z being HN; Y = O, S, NCN, HN; B, D, E, F = C, N, at least 3 of B, D, E, and F being C; R₁, R₄ = H, halo, F₃C, HO₂C, alkyl-O₂C, aryl-O₂C, H₂NCO, NC, alky, alkoxy, HO, etc.; R₂ = H, F₃C, HO₂C NC, HOCH₂, aryloxy, etc.; R₃ = H, halo, HO₂C, NC, alkylcarbonyl, etc.; R₂R₃, R₃R₄ with the Cs to which they are attached form an (unsatd.) addnl. fused carbocyclyl; one of R₁₁, R₁₂ = halo, F₃C, HO₂C, NC, alkyl, aloxy HO, O₂N, HOCH₂, etc. and the other is H; A = H, AR₁₂ and the Cs to which they are attached form (unsatd.) fused carbocyclyl) or a salt thereof, are prepd. I are claimed for treatment of arterial hypertension, coronary artery spasms, asthma, irritable bowel syndrome, spastic bladder, ischemia, psychosis, convulsions. 2-Hydroxy-5-nitroaniline and 3-(trifluoromethyl)phenyl isocyanate were added to MePh and stirred overnight at room temp. to give I (X, Z = HN, Y = O, B, D, E, F, = C, R₁ = R₃ = R₄ = R₁₂ = H, R₂ = O₂N, R₁₁ = F₃C) (II). The activity (1-10 .mu.M) was demonstrated by I (R₂ = H, R₃ = Cl, everything else as in II). Pharmaceutical formulations comprising I are given.

L7 ANSWER 61 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:655671 CAPLUS

DN **121:255671**

TI Preparation of N-phenyl-N'-heteroarylureas as 5HT_{2C} receptor antagonists

IN Forbes, Ian Thomson; Ham, Peter; Martin, Roger Thomas; Thompson, Mervyn

PA SmithKline Beecham PLC, UK

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9418170	A1	19940818	WO 1994-EP189	19940125
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1993-2275	19930205
	EP 682656	A1	19951122	EP 1994-905697	19940125
	R: BE, CH, DE, FR, GB, IT, LI, NL				
				GB 1993-2275	19930205
				WO 1994-EP189	19940125
	JP 08506114	T2	19960702	JP 1994-517583	19940125
				GB 1993-2275	19930205
				WO 1994-EP189	19940125

OS MARPAT 121:255671

AB R₁NR₂CONR₃R₄ [R₁ = (un)substituted (iso)quinolinyl, -heteroaryl; R₂,R₃ = H, alkyl; R₄ = (un)substituted Ph] were prepd. Thus, nicotinoyl azide was refluxed in PhMe after which 3,4-ClMeC₆H₃NH₂ was added to give, after acidification, 3,4-ClMeC₆H₃NHCONHR₁.HCl (R₁ = 3-pyridyl) which had ID₅₀ of 78mg/kg orally against mCPP-induced hypolocomotion in rats.

L7 ANSWER 62 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:107056 CAPLUS

DN **120:107056**

TI Preparation of 2-anilinopyrimidines as antiatherosclerotics and neoplasm inhibitors

IN Zimmermann, Juerg

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 564409	A1	19931006	EP 1993-810219	19930325
	EP 564409	B1	20000119		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 188964	E	20000215	CH 1992-1083	A 19920403
				AT 1993-810219	19930325
	ES 2142857	T3	20000501	CH 1992-1083	A 19920403
				ES 1993-810219	19930325
	CA 2093203	AA	19931004	CH 1992-1083	A 19920403
	CA 2093203	C	20021126	CA 1993-2093203	19930401
				CH 1992-1083	A 19920403
	CZ 283944	B6	19980715	CZ 1993-560	19930401
				CH 1992-1083	A 19920403
	RU 2125992	C1	19990210	RU 1993-5357	19930401
				CH 1992-1083	A 19920403
	IL 105264	A1	19990411	IL 1993-105264	19930401
				CH 1992-1083	A 19920403
	SK 280620	B6	20000516	SK 1993-280	19930401
				CH 1992-1083	A 19920403
	NO 9301283	A	19931004	NO 1993-1283	19930402
				CH 1992-1083	A 19920403
	ZA 9302397	A	19931004	ZA 1993-2397	19930402
				CH 1992-1083	A 19920403
	AU 9335694	A1	19931007	AU 1993-35694	19930402
	AU 666709	B2	19960222		
				CH 1992-1083	A 19920403
	CN 1077713	A	19931027	CN 1993-103566	19930402
	CN 1043531	B	19990602		
				CH 1992-1083	A 19920403
	HU 64050	A2	19931129	HU 1993-982	19930402
				CH 1992-1083	A 19920403
	JP 06087834	A2	19940329	JP 1993-78096	19930405
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PATENT FAMILY INFORMATION:

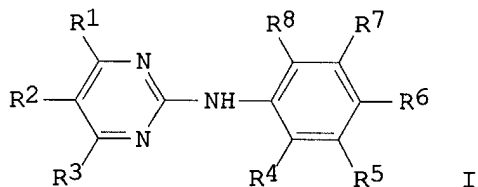
FAN 1995:735375

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9509852	A1	19950413	WO 1994-EP3149	19940921
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	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				CH 1993-2966	A 19931001
				CH 1994-2278	A 19940718
	US 5543520	A	19960806	US 1994-306333	19940915
				CH 1993-2966	A 19931001
				CH 1994-2278	A 19940718

CA 2148477	AA	19950413	CA 1994-2148477	19940921
AU 9476975	A1	19950501	CH 1993-2966	A 19931001
AU 693804	B2	19980709	AU 1994-76975	19940921
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			WO 1994-EP3149	W 19940921
EP 672040	A1	19950920	EP 1994-927633	19940921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			WO 1994-EP3149	W 19940921
JP 08504834	T2	19960528	JP 1994-510576	19940921
			CH 1993-2966	A 19931001
			CH 1994-2278	A 19940718
			WO 1994-EP3149	W 19940921

FAN	1996:380210				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 5521184	A	19960528	US 1994-234889	19940428
				CH 1992-1083	A 19920403
				US 1993-42322	B219930402
				CH 1993-2966	A 19931001
	CA 2148477	AA	19950413	CA 1994-2148477	19940921
				CH 1993-2966	A 19931001

OS	MARPAT 120:107056
GI	



AB Title compds. [I; R1 = pyridyl, 4-pyrazinyl, (acyl)aminophenyl, etc.; R2, R3 = H, alkyl; 1 or 2 of R4-R8 = NO₂, fluoroalkoxy, NR₉C(:X)YnR₁₀ and the others = H, alkyl, alkanoyl, CF₃, etc.; R₉ = H, alkyl; R₁₀ = (cyclo)aliph. group, heterocyclyl, aryl, etc.; X = O, S, NH, etc.; Y = O or NH; n = 0 or 1] were prepd. Thus, 3-(O₂N)C₆H₄NHC(:NH)NH₂ [prepn. from 3-(O₂N)C₆H₄NH₂ given] was cyclocondensed with R₁COCH:CHNMe₂ (R₁ = 3-pyridyl) (prepn. from 3-acetylpyridine given) to give I (R₁ = 3-pyridyl, R₂ = R₃ = R₅-R₈ = H, R₄ = NO₂). I had IC₅₀ of .apprx.0.5 to 5 .mu.M against protein kinase C in vitro.

L7 ANSWER 63 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1994:77171 CAPLUS
 DN 120:77171
 TI Preparation of indolylurea derivatives as antagonists
 IN Forbes, Ian Thomson; Martin, Roger Thomas; Jones, Graham Elgin
 PA SmithKline Beecham PLC, UK

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

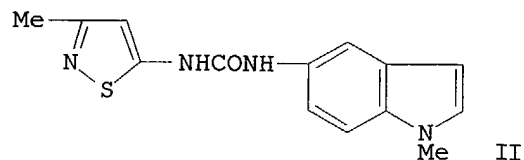
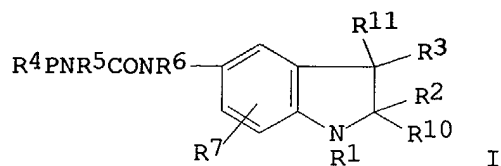
LA English

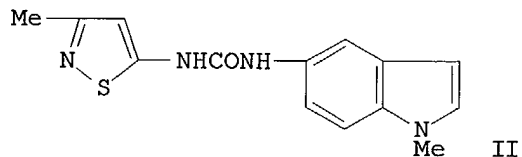
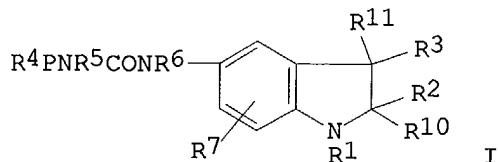
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9318028	A1	19930916	WO 1993-GB449	19930304
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
	AU 9336411	A1	19931005	AU 1993-36411	19930304
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304
	EP 630373	A1	19941228	EP 1993-905507	19930304
	R: BE, CH, DE, FR, GB, IT, LI, NL				
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304
	JP 07504429	T2	19950518	JP 1993-515449	19930304
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304
	ZA 9301713	A	19940922	ZA 1993-1713	19930310
				GB 1992-5415	19920312
	US 5508288	A	19960416	US 1994-295694	19940830
				GB 1992-5415	19920312
				GB 1992-5416	19920312
				GB 1992-5422	19920312
				GB 1992-5442	19920312
				WO 1993-GB449	19930304

OS MARPAT 120:77171

GI





AB Title compds. I (P = quinolinyl, isoquinolinyl, 5,6-membered heterocyclyl; R1 = H, C1-6 alkyl; R2, R3, R10, R11 = C2-6 alkylene; R4 = H, C1-6 alkyl, halo, R8R9N, R12O, R12OC wherein R8, R9, R12 = H, C1-6 alkyl; R5, R6 = H, C1-6 alkyl; R7 = H, C1-6 alkyl, C1-6 alkoxy, halo; etc.) or a salt thereof, are prepd. to NaH was added 5-amino-3-methylbisthiazole-HCl followed by N-(1-methyl-5-indolyl)carbamate (prepn. given) to give the title compd. II. The affinity of II for 5-HT1C binding site by assessing its ability to displace [3H]-mesulergine from 5-HT1C binding sites was shown by pA2 as 7.9.

L7 ANSWER 64 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1993:552116 CAPLUS

DN **119:152116**

TI Use of renin inhibitors for the treatment of glaucoma

IN Tanaka, Yoko; Kagayama, Akira; Hata, Takehisa

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

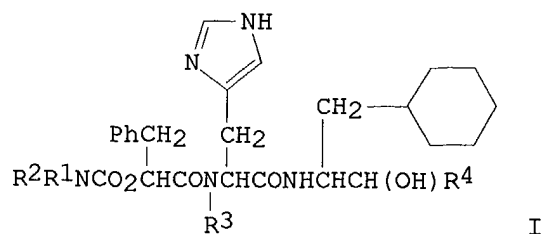
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9312796	A1	19930708	WO 1992-JP1656	19921218
	W: AU, CA, HU, JP, KR, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	ZA 9209738	A	19930617	GB 1991-27041	19911220
				ZA 1992-9738	19921215
				GB 1991-27041	19911220
	AU 9331712	A1	19930728	AU 1993-31712	19921218
	AU 661748	B2	19950803		
				GB 1991-27041	19911220
				WO 1992-JP1656	19921218
	EP 617622	A1	19941005	EP 1993-900396	19921218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				GB 1991-27041	19911220
				WO 1992-JP1656	19921218
	JP 07506807	T2	19950727	JP 1992-511545	19921218
				GB 1991-27041	19911220
				WO 1992-JP1656	19921218
	CN 1088934	A	19940706	CN 1993-101190	19930102
				GB 1991-27041	19911220

OS MARPAT 119:152116
GI



AB The renin-inhibiting histidine derivs. I [R1 = (un)substituted alkyl or amino; R2, R3 = H, alkyl; NR1R2 = heterocyclyl; R4 = alkyl] or I salts are drugs for the treatment of glaucoma. Eye application of 0.2% 2(S)-[N.alpha.-[2(S)-[N-methyl-N-[2-[N-(morpholinocarbonyl)-N-methylamino]ethyl]aminocarbonyloxy]-3-phenylpropionyl]-N.alpha.-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane-HCl lower intraocular pressure in the rabbit.

L7 ANSWER 65 OF 65 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:449694 CAPLUS

DN **115:49694**

TI Preparation of arylazole platelet activating factor (PAF) antagonists

IN Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Birke, Franz; Heuer, Hubert; Muacevic, Gojko

PA Boehringer Ingelheim K.-G., Germany

SO Ger. Offen., 21 pp.

CODEN: GWXXBX

DT Patent

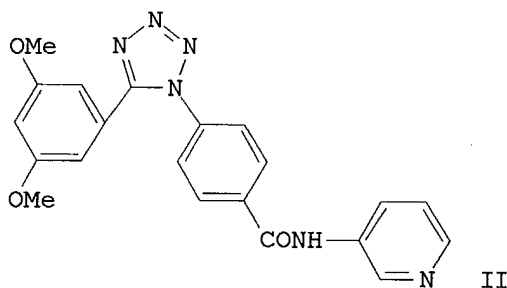
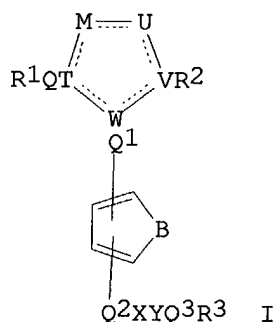
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3927483	A1	19910221	DE 1989-3927483	19890819
	WO 9102730	A1	19910307	WO 1990-EP1340	19900816
	W: AU, CA, FI, HU, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
				DE 1989-3927483	19890819
	WO 9102731	A1	19910307	WO 1990-EP1341	19900816
	W: AU, CA, FI, HU, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
				DE 1989-3927483	19890819
				DE 1989-3929655	19890906
	AU 9061571	A1	19910403	AU 1990-61571	19900816
				DE 1989-3927483	19890819
				DE 1989-3929655	19890906
				WO 1990-EP1341	19900816
	AU 9061600	A1	19910403	AU 1990-61600	19900816
				DE 1989-3927483	19890819
				WO 1990-EP1340	19900816
	DD 298928	A5	19920319	DD 1990-343519	19900817
				DE 1989-3927483	19890819

PATENT FAMILY INFORMATION:

FAN	1991:449686				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 3929655	A1	19910307	DE 1989-3929655	19890906
	WO 9102731	A1	19910307	WO 1990-EP1341	19900816
	W: AU, CA, FI, HU, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
				DE 1989-3927483	19890819
				DE 1989-3929655	19890906
	AU 9061571	A1	19910403	AU 1990-61571	19900816
				DE 1989-3927483	19890819
				DE 1989-3929655	19890906
				WO 1990-EP1341	19900816
OS	MARPAT 115:49694				
GI					



AB Title compds. [I; R1 = (substituted) Ph, 5- or 6-membered heteroaryl; R2 = H, (unsatd.) (O-, S-, or imino-interrupted) (substituted) alkyl, cycloalipharyl, aminoalkyl; R3 = (substituted) (polynuclear) (arom.) carbocyclyl, N-heterocyclyl; M, U = N, alkylimino, CH, alkylmethine; T, V, W = N, C; M, V may addnl. = S; B = CH:CH, S, O, imino, etc.; Q-Q3 = bond, C1-3 alkylene; dotted lines = double bonds when possible], were prepd. as PAF antagonists (no data). Thus, 3,5-(MeO)2C6H3CO2H was refluxed with SOCl2 in CHCl3 to give the acid chloride, which was condensed with 4-H2NC6H4CO2Et in the presence of Et3N to give the amide, which was refluxed with PCl5 in PhMe to give the imide chloride. This was stirred with NaN3 in DMF to give Et 4-[5-(3,5-dimethoxyphenyl)-1H-tetrazol-1-yl]benzoate. The latter was treated as above to give the acid chloride, which was heated with 3-aminopyridine in dioxane to give title compd. II.

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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:695962 CAPLUS

DN 137:232680

TI Preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use
as radiosensitizers and chemosensitizers for treating diseases and
conditions related to DNA damage or lesions in DNA replication

IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam
Wade; Cowen, Scott Douglas; Burgess, Laurence Edward

PA Icos Corporation, USA

SO PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070494	A1	20020912	WO 2002-US6452	20020301
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	US 2003069284	A1	20030410	US 2001-273124PP	20010302
				US 2002-87715	20020301
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OS MARPAT 137:232680

IT **457096-69-4P**, 1-(5-Amino-2-methoxyphenyl)-3-(pyrazin-2-yl)urea

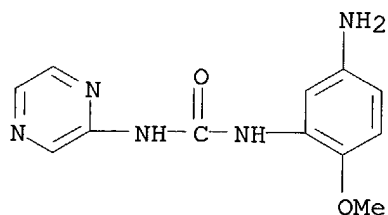
457096-75-2P, 1-(4-Amino-2-methoxyphenyl)-3-(pyrazin-2-yl)urea

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; prepn. of aryl and heteroaryl urea selective Chk1 inhibitors for use as radiosensitizers and chemosensitizers for treating diseases and conditions related to DNA damage or lesions in DNA replication)

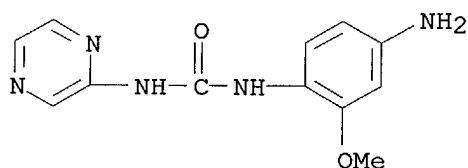
RN 457096-69-4 CAPLUS

CN Urea, N-(5-amino-2-methoxyphenyl)-N'-pyrazinyl- (9CI) (CA INDEX NAME)



RN 457096-75-2 CAPLUS

CN Urea, N-(4-amino-2-methoxyphenyl)-N'-pyrazinyl- (9CI) (CA INDEX NAME)



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RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 16:13:45 ON 10 DEC 2003

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FILE 'MARPAT' ENTERED AT 16:14:18 ON 10 DEC 2003

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<12/10/2003>

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L6 FILE 'CAPLUS' ENTERED AT 16:15:28 ON 10 DEC 2003
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L8 1 S L4
L9 0 S L6 AND L7 AND L8
L10 1 S L8 AND L6

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L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695962 CAPLUS
DN 137:232680

TI Preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use
as radiosensitizers and chemosensitizers for treating diseases and
conditions related to DNA damage or lesions in DNA replication

IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam
Wade; Cowen, Scott Douglas; Burgess, Laurence Edward

PA Icos Corporation, USA

SO PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DT Patent

LA English

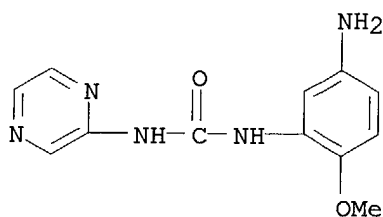
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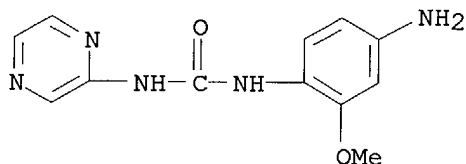
OS MARPAT 137:232680

IT **457096-69-4P**, 1-(5-Amino-2-methoxyphenyl)-3-(pyrazin-2-yl)urea
457096-75-2P, 1-(4-Amino-2-methoxyphenyl)-3-(pyrazin-2-yl)urea
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; prepn. of aryl and heteroaryl urea selective Chk1 inhibitors for use as radiosensitizers and chemosensitizers for treating diseases and conditions related to DNA damage or lesions in

DNA replication)
 RN 457096-69-4 CAPLUS
 CN Urea, N-(5-amino-2-methoxyphenyl)-N'-pyrazinyl- (9CI) (CA INDEX NAME)



RN 457096-75-2 CAPLUS
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RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 16:13:35 ON 10 DEC 2003)

FILE 'REGISTRY' ENTERED AT 16:13:45 ON 10 DEC 2003

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FILE 'MARPAT' ENTERED AT 16:14:18 ON 10 DEC 2003

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FILE 'CAOLD' ENTERED AT 16:15:11 ON 10 DEC 2003
S L1

L4 FILE 'REGISTRY' ENTERED AT 16:15:17 ON 10 DEC 2003
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L5 FILE 'CAOLD' ENTERED AT 16:15:18 ON 10 DEC 2003
0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:15:28 ON 10 DEC 2003
L6 1 S L2
L7 65 S L3
L8 1 S L4
L9 0 S L6 AND L7 AND L8
L10 1 S L8 AND L6

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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695962 CAPLUS
DN 137:232680

TI Preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use
as radiosensitizers and chemosensitizers for treating diseases and
conditions related to DNA damage or lesions in DNA replication

IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam
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PA Icos Corporation, USA

SO PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070494	A1	20020912	WO 2002-US6452	20020301
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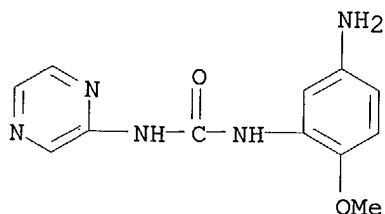
OS MARPAT 137:232680

IT **457096-69-4P**, 1-(5-Amino-2-methoxyphenyl)-3-(pyrazin-2-yl)urea
457096-75-2P, 1-(4-Amino-2-methoxyphenyl)-3-(pyrazin-2-yl)urea
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; prepn. of aryl and heteroaryl urea selective Chk1 inhibitors for use as radiosensitizers and chemosensitizers for

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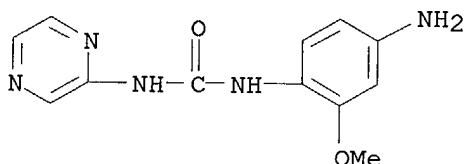
RN 457096-69-4 CAPLUS

CN Urea, N-(5-amino-2-methoxyphenyl)-N'-pyrazinyl- (9CI) (CA INDEX NAME)



RN 457096-75-2 CAPLUS

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RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 379 CHK1

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=> s l11 and l7
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L14 1 L11 AND L10

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L13 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695962 CAPLUS
DN 137:232680

TI Preparation of aryl and heteroaryl urea selective **Chk1**
inhibitors for use as radiosensitizers and chemosensitizers for treating
diseases and conditions related to DNA damage or lesions in DNA
replication

IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam
Wade; Cowen, Scott Douglas; Burgess, Laurence Edward

PA Icos Corporation, USA
SO PCT Int. Appl., 236 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003069284 A1 20030410

US 2001-273124PP 20010302

US 2002-87715 20020301

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OS MARPAT 137:232680

IT **457096-69-4P**, 1-(5-Amino-2-methoxyphenyl)-3-(pyrazin-2-yl)urea

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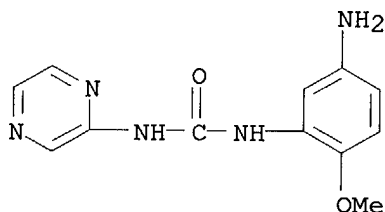
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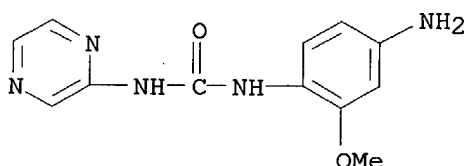
RN 457096-69-4 CAPLUS

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'CAPLUS' ENTERED AT 16:15:28 ON 10 DEC 2003
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L15 3 L11 AND PYRAZINE

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The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALI ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data

IPC ----- International Patent Classifications
 MAX ----- ALL, plus Patent FAM, RE
 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
 SCAN must be entered on the same line as the DISPLAY,
 e.g., D SCAN or DISPLAY SCAN)
 STD ----- BIB, IPC, and NCL

 IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels

 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

 SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

 HIT ----- Fields containing hit terms
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
 containing hit terms
 HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and
 its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

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 TI Preparation of substituted **pyrazines** as protein kinase
 modulators
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 Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen,
 Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nuss, John M.;
 Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed Abdulkader; Schnepp,
 Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa

Esther; Forsyth, Timothy Patrick; Huynh, Tai Phat; Mann, Grace; Mann,
 Lary Wayne; Takeuchi, Craig Stacy
 PA Exelixis, Inc., USA
 SO PCT Int. Appl., 468 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093297	A2	20031113	WO 2003-US13869	20030502
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2002-377933P	P	20020503		

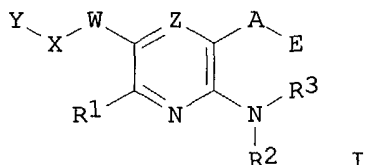
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L15 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2003:892800 CAPLUS
 ED Entered STN: 14 Nov 2003
 TI Preparation of substituted **pyrazines** as protein kinase modulators
 IN Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai, Zerom; Wang, Longcheng; Co, Erick Wang; Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili; Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.; Nuss, John M.; Peto, Csaba J.; Rice, Kenneth D.; Ibrahim, Mohamed Abdulkader; Schnepf, Kevin Luke; Shi, Xian; Leahy, James William; Chen, Jeff; Dalrymple, Lisa Esther; Forsyth, Timothy Patrick; Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne; Takeuchi, Craig Stacy
 PA Exelixis, Inc., USA
 SO PCT Int. Appl., 468 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07K
 CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093297	A2	20031113	WO 2003-US13869	20030502
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,			

MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
 GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-377933P P 20020503
 GI



AB This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un)substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicycyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un)substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos] for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. contg. such compds. Even more specifically, the invention relates to compds. I that inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or **Chk1**. Prepn. of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (prepn. given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)**pyrazine**-2-carboxamide which showed IC50 of 10,000 nM or greater against **Chk1**. Table presenting activity data with respect to **Chk1** for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds.

ST **pyrazine** prepn checkpoint kinase **Chk1** protein kinase modulator antitumor

IT INDEXING IN PROGRESS

IT Human

(prepn. of protein kinase modulators)

IT UV radiation

(prepn. of substituted **pyrazines** as protein kinase modulators for use in combination with UV radiation)

IT Alkylating agents, biological

Antibiotics

Antitumor agents

Neoplasm

(prepn. of substituted **pyrazines** as protein kinase modulators for use in combination with other cancer therapeutic agents)

IT Antisense DNA

Antisense RNA
 Interleukins
 Ribozymes
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (prepn. of substituted **pyrazines** as protein kinase modulators
 for use in combination with other cancer therapeutic agents)

IT Alkaloids
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (vinca; prepn. of substituted **pyrazines** as protein kinase
 modulators for use in combination with other cancer therapeutic agents)

IT Interferons
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (.alpha.; prepn. of substituted **pyrazines** as protein kinase
 modulators for use in combination with other cancer therapeutic agents)

IT 154907-65-0
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (**Chk1**; prepn. of substituted **pyrazines** as protein
 kinase modulators)

IT 142805-56-9, Topoisomerase II 143180-75-0
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors; prepn. of substituted **pyrazines** as protein
 kinase modulators for use in combination with other cancer therapeutic
 agents)

IT 70-11-1, Bromoacetophenone 91-59-8, Naphthalen-2-amine 92-54-6,
 N-Phenylpiperazine 95-54-5, 1,2-Phenylenediamine 100-07-2, p-Anisoyl
 chloride 100-46-9, Benzylamine 100-51-6, Benzyl alcohol 100-52-7,
 Benzaldehyde 100-53-8, Benzylmercaptan 100-63-0, Phenylhydrazine
 103-63-9, 2-(Bromoethyl)benzene 103-80-0, Phenylacetyl chloride
 104-86-9, 4-Chlorobenzylamine 107-19-7, Prop-2-yn-1-ol 110-91-8,
 Morpholine 456-22-4, 4-Fluorobenzoic acid 591-19-5, 3-Bromoaniline
 613-94-5, Benzoic hydrazide 615-21-4, 2-Hydrazinobenzothiazole
 622-40-2, 2-(Morpholin-4-yl)ethanol 622-95-7, 4-Chlorobenzyl bromide
 626-58-4, 4-Methylpiperidine 644-36-0, 2-Methylphenylacetic acid
 697-64-3, (R)-1-Indanol 960-16-7, Tributyl(phenyl)stannane 1656-44-6,
 2,4-Dinitrobenzenesulfonyl chloride 1924-77-2, 2-Phenylbenzylamine
 2361-27-5, Thiophene-2-carboxylic acid hydrazide 2905-24-0,
 3-Bromobenzenesulfonyl chloride 3173-56-6, Benzyl isocyanate
 3272-08-0, 3-Nitro-4-hydroxybenzonitrile 4403-71-8, [4-
 (Aminomethyl)phenyl]amine 4524-93-0, Cyclopentanecarbonyl chloride
 5006-62-2, Ethyl nipecotate 6165-68-0, Thiophene-2-boronic acid
 6966-01-4, Methyl 3-amino-6-bromopyrazine-2-carboxylate 13922-41-3,
 1-Naphthylboronic acid 15205-15-9, 2-Chloro-6-fluorobenzylamine
 16298-03-6, Methyl 3-aminopyrazine-2-carboxylate 17231-51-5,
 3-Amino-6-bromopyrazine-2-carbonitrile 17318-03-5, 3-
 Fluorophenylmagnesium bromide 17933-03-8, 3-Methylphenylboronic acid
 19501-58-7, 4-Methoxyphenylhydrazine hydrochloride 20826-04-4,
 5-Bromonicotinic acid 25487-66-5, 3-Carboxybenzeneboronic acid
 30418-59-8, 3-Aminophenylboronic acid 34598-49-7, 5-Bromo-1-indanone
 37675-18-6, (S)-Ethyl nipecotate 51760-21-5, 5-Bromoisophthalic acid
 dimethyl ester 52833-94-0, 2-Amino-5-bromopyridine-3-carboxylic acid
 57848-46-1, 4-Bromo-2-fluorobenzaldehyde 58481-01-9, 2-Aminoisonicotinic
 acid hydrazide 61341-86-4, (S)-1-Aminoindan 72235-57-5,
 4-Chloro-2-fluorobenzylamine 87199-15-3, 3-(Hydroxymethyl)phenylboronic
 acid 87199-18-6, 3-Hydroxyphenylboronic acid 99769-19-4,
 3-Methoxycarbonylphenylboronic acid 184000-11-1, 4-
 Benzyloxycarbonylphenylboronic acid 235106-09-9, 3-Fluoro-4-
 trifluoromethylbenzylamine 251085-87-7, Methyl 5-bromo-2-chlorobenzoate

477904-89-5, Benzenecarboximidamide hydrochloride 486424-37-7,
 3-Amino-6-bromopyrazine-2-carboxylic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of protein kinase modulators)

IT 50-07-7, Mitomycin C 50-18-0, Cyclophosphamide 50-44-2, Mercaptopurine
 50-76-0, Dactinomycin 51-18-3, Triethylenemelamine 51-21-8,
 5-Fluorouracil 51-75-2, Mechlorethamine 52-24-4, Thiotepea 53-03-2,
 Prednisone 53-19-0, Mitotane 55-86-7, Nitrogen mustard 55-98-1,
 Busulfan 56-53-1, Diethylstilbestrol 57-22-7, Vincristine 57-63-6,
 Ethinyl estradiol 57-85-2, Testosterone propionate 59-05-2,
 Methotrexate 68-76-8, Trenimon 71-58-9, Medroxyprogesterone acetate
 76-43-7, Fluoxymesterone 125-84-8, Aminoglutethimide 127-07-1,
 Hydroxyurea 147-94-4, Ara-C 148-82-3, Melphalan 154-42-7,
 Thioguanine 154-93-8, BCNU 305-03-3, Chlorambucil 320-67-2,
 5-Azacytidine 595-33-5, Megestrol acetate 630-56-8,
 Hydroxyprogesterone caproate 645-05-6, Hexamethylmelamine 671-16-9,
 Procarbazine 738-70-5, Trimethoprim 865-21-4, Vinblastine 2998-57-4,
 Estramustine 3094-09-5, Furtulon 3778-73-2, Ifosfamide 4342-03-4,
 Dacarbazine 7689-03-4, Camptothecin 9015-68-3, L-Asparaginase
 10318-26-0, Dibromodulcitol 10540-29-1, Tamoxifen 11056-06-7,
 Bleomycin 13010-47-4, CCNU 13311-84-7, Flutamide 13909-09-6,
 Methyl-CCNU 15663-27-1, Cisplatin 16268-62-5, Pentamethylmelamine
 17902-23-7, Ftorafur 18378-89-7, Mithramycin 18883-66-4,
 Streptozotocin 20830-81-3, Daunorubicin 21416-67-1, Razoxane
 23214-92-8, Doxorubicin 23261-20-3, Dianhydrogalactitol 24584-09-6,
 Dexrazoxane 29069-24-7, Prednimustine 29767-20-2, Teniposide
 33069-62-4, Paclitaxel 33419-42-0, Etoposide 41575-94-4, Carboplatin
 51264-14-3, Amsacrine 53714-56-0, Leuprolide 53910-25-1, Pentostatin
 54749-90-5, Chlorozotocin 56420-45-2, Epirubicin 58957-92-9,
 Idarubicin 59989-18-3, Eniluracil 61825-94-3, Oxaliplatin
 80576-83-6, Edatrexate 85622-93-1, Temozolomide 91421-42-0,
 9-Nitrocamptothecin 91421-43-1, 9-Aminocamptothecin 95058-81-4,
 Gemcitabine 97682-44-5, Irinotecan 112887-68-0, Raltitrexed
 114977-28-5, Docetaxel 117091-64-2, Etoposide Phosphate 123948-87-8,
 Topotecan 147149-76-6, Nilotrexed 152459-95-5, Imatinib 154361-50-9,
 Capecitabine 203923-89-1, Karenitecin
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (prepn. of substituted **pyrazines** as protein kinase modulators
 for use in combination with other cancer therapeutic agents)

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
246.84	648.70

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-45.57	-45.57

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